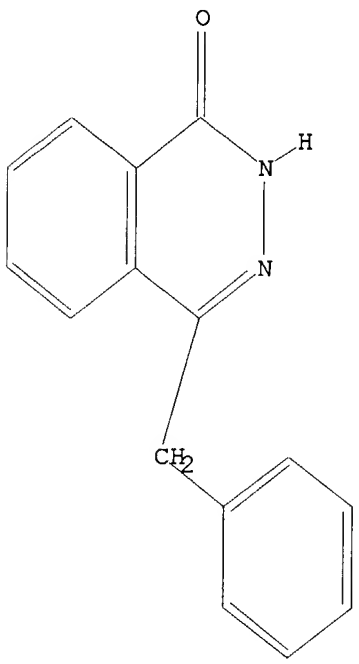
=> d l8
L8 HAS NO ANSWERS
L8 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l8 sss full
FULL SEARCH INITIATED 16:55:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 3837 TO ITERATE

100.0% PROCESSED 3837 ITERATIONS 400 ANSWERS
SEARCH TIME: 00.00.01

L9 400 SEA SSS FUL L8

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.84	703.44

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-10.40

FILE 'CAPLUS' ENTERED AT 16:55:30 ON 06 MAY 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 May 2004 VOL 140 ISS 19
FILE LAST UPDATED: 5 May 2004 (20040505/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

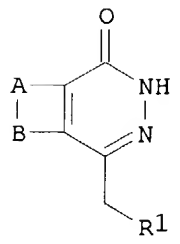
=> s l9
L10 72 L9

=> d l10 1-72 ibib abs hitstr

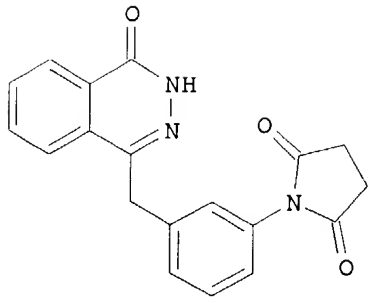
L10 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:892770 CAPLUS
DOCUMENT NUMBER: 139:381498
TITLE: Phthalazinone derivatives useful as inhibitors of PARP (i.e., poly(ADP-ribose) polymerase) and their preparation, pharmaceutical compositions, and use, e.g., as potentiators in the treatment of cancer
INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; Eversley, Penny Jane; Cockcroft, Xiao-Ling Fan; Kerrigan, Frank; Hoare, Janet; Dixon, Lesley
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge PLC
SOURCE: PCT Int. Appl., 131 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003093261	A1	20031113	WO 2003-GB1817	20030429
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004023968	A1	20040205	US 2003-426147	20030429

PRIORITY APPLN. INFO.: US 2002-376497P P 20020430
OTHER SOURCE(S): MARPAT 139:381498
GI



I



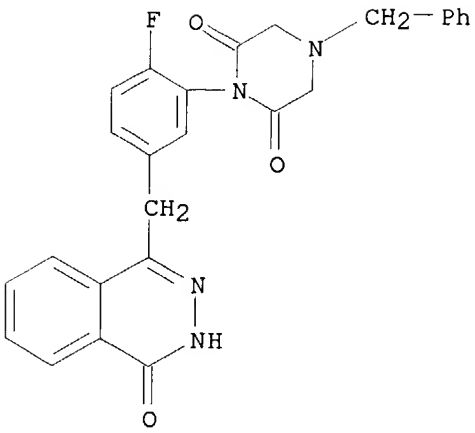
II

AB Title compds. I and their isomers, salts, solvates, chemical protected forms, and prodrugs thereof, are useful as pharmaceuticals, in particular, for the treatment of diseases ameliorated by inhibiting the activity of PARP, i.e., poly(ADP-ribose) polymerase [wherein: A and B together = optionally substituted, fused aromatic ring; R1 = C5-7 aryl group substituted in the meta position by the group R2, and optionally further substituted; R2 = 5- or 6-membered lactams or cyclic ureas, bound at the amide N, or 5- or 6-membered cyclic imides, including piperazine-2,6-diones, bound at the imide N]. I are claimed as useful for therapy, in human or animals, and particularly for 3 cases: (1) inhibiting the activity of PARP, preferably to maximize DNA repair inhibition; (2) in treatment of a variety of disorders, including cardiovascular conditions, ischemia, neurotoxicity, and inflammation; and (3) as an adjunct in cancer therapy, or for potentiating tumor cells for treatment with ionizing radiation or chemotherapeutics. Examples include 43 prepns. of specific compds. I. For instance, phthalide was cyclocondensed with 3-nitrobenzaldehyde to give 2-(3-nitrophenyl)indan-1,3-dione, which was re-cyclized with hydrazine to give 4-(3-aminobenzyl)-2H-phthalazin-1-one. This amine was cyclized with succinic anhydride in refluxing acetic acid to give invention compound II, a preferred compound In a test for inhibition of HeLa cellular PARP in vitro, II had an IC50 value of < 0.03 μM, vs. 7.2 μM for the base structure, 1(2H)-phthalazinone. In a test for potentiation of the alkylating agent Me methanesulfonate (MMS) against HeLa cells in vitro, several compds., including II, had potentiating factors (PF50) of ≥1 at 200 nM.

IT **623578-26-7P**, 4-Benzyl-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperazine-2,6-dione
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of phthalazinone derivs. as PARP inhibitors)

RN 623578-26-7 CAPLUS

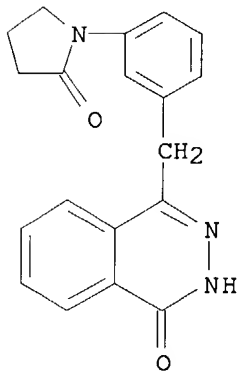
CN 2,6-Piperazinedione, 1-[5-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-2-fluorophenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



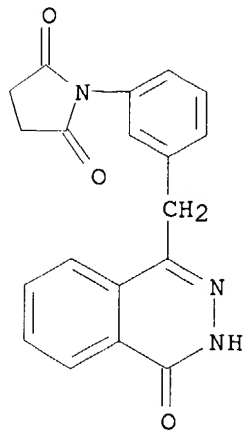
IT **623577-83-3P**, 4-[3-(2-Oxopyrrolidin-1-yl)benzyl]-2H-phthalazin-1-one **623577-84-4P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623577-85-5P**, 3-Methyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-86-6P**, 4-Nitro-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-87-7P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3a,4,5,6,7,7a-hexahydroisoindole-1,3-dione **623577-88-8P**, 5-Methyl-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-89-9P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrole-2,5-dione **623577-90-2P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]isoindole-1,3-dione **623577-91-3P**, 8-Methyl-4-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-4-azatricyclo[5.2.1.02,6]dec-8-ene-3,5-dione **623577-92-4P**, 6-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2,3-dihydro-[1,4]dithiino[2,3-c]pyrrole-5,7-dione **623577-93-5P**, 3,4-Dimethyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-94-6P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrole-2,5-dione **623577-95-7P**, 3-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.2.0]heptane-2,4-dione **623577-96-8P**, 4-[3,5-Bis(trifluoromethyl)phenyl]-2-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3a,4,7,7a-tetrahydroisoindole-1,3-dione **623577-99-1P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-00-7P**, 3,3-Dimethyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-01-8P**, 3-Oct-2-enyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-02-9P**, 3-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-03-0P**, 3-(Hex-2-enyl)-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-04-1P**, 3-Methyl-1-[3-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-05-2P**, 2-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2-azaspiro[4.4]nonane-1,3-dione **623578-06-3P**, 1-[3-[(4-Oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenyl-3-propylpyrrolidine-2,5-dione **623578-11-0P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-13-2P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperidine-2,6-dione **623578-15-4P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-17-6P**, 1-[2-Fluoro-5-[(4-oxo-

3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3,3-dimethylpyrrolidine-2,5-dione **623578-19-8P**, 3-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-22-3P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(oct-2-enyl)pyrrolidine-2,5-dione **623578-24-5P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(hex-2-enyl)pyrrolidine-2,5-dione **623578-25-6P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(non-2-enyl)pyrrolidine-2,5-dione **623578-27-8P**, 2-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-2-azaspiro[4.4]nonane-1,3-dione **623578-29-0P**, 3-Benzyl-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-31-4P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-methylpyrrolidine-2,5-dione **623578-34-7P**, (S)-3-[[(Benzyloxy)carbonyl]amino]-1-[2-fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-36-9P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-4-methylpiperazine-2,6-dione **623578-37-0P**, 1-[2-Fluoro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperazine-2,6-dione **623578-42-7P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione **623578-45-0P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-phenylpyrrolidine-2,5-dione **623578-48-3P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-(hexen-2-yl)pyrrolidine-2,5-dione **623578-50-7P**, 3-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]-3-azabicyclo[3.1.0]hexane-2,4-dione **623578-52-9P**, 1-[2-Chloro-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]piperidine-2,6-dione **623578-57-4P**, 1-[2-Methoxy-5-[(4-oxo-3,4-dihydrophthalazin-1-yl)methyl]phenyl]pyrrolidine-2,5-dione
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of phthalazinone derivs. as PARP inhibitors)

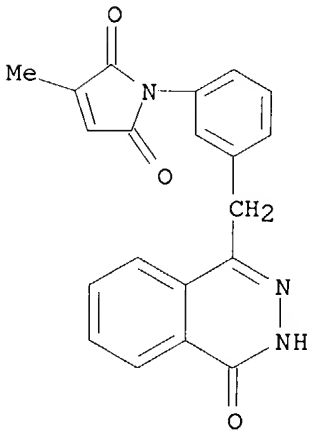
RN 623577-83-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[[3-(2-oxo-1-pyrrolidinyl)phenyl]methyl]- (9CI) (CA INDEX NAME)



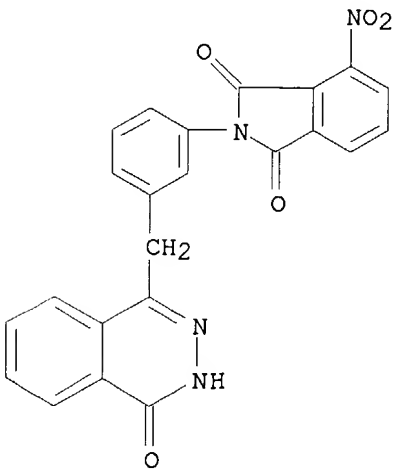
RN 623577-84-4 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



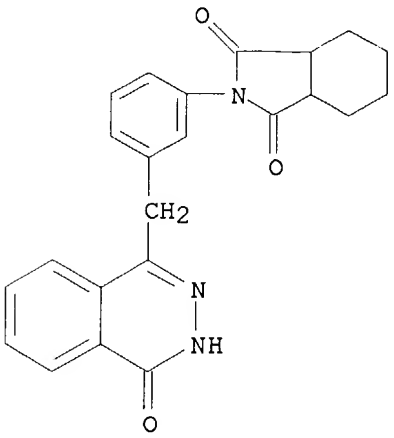
RN 623577-85-5 CAPLUS
CN 1H-Pyrrole-2,5-dione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



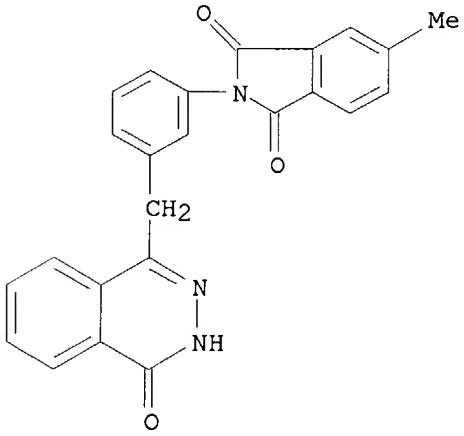
RN 623577-86-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-4-nitro- (9CI) (CA INDEX NAME)



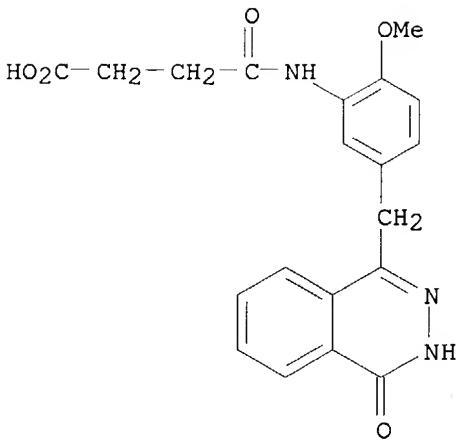
RN 623577-87-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]hexahydro- (9CI) (CA INDEX NAME)



RN 623577-88-8 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 623577-89-9 CAPLUS
CN 1H-Pyrrole-2,5-dione, 1-[3-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]phenyl]-3-phenyl- (9CI) (CA INDEX NAME)



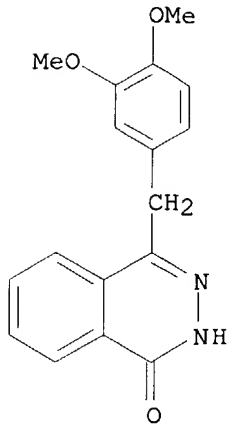
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:535075 CAPLUS
DOCUMENT NUMBER: 139:285639
TITLE: The imidazo[2,1-a]isoindole system. A new skeletal basis for antiplasmodial compounds
AUTHOR(S): del Olmo, Esther; Armas, Marlon Garcia; Ybarra, Maria Ines; Lopez, Jose Luis; Oporto, Patricia; Gimenez, Alberto; Deharo, Eric; San Feliciano, Arturo
CORPORATE SOURCE: Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(16), 2769-2772
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:285639

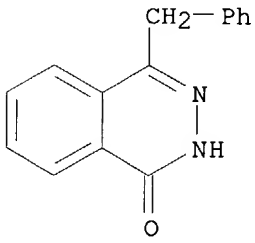
AB The in vitro antiplasmodial activity of some dihydrostilbenamides, phtalazinones, imidazo[2,1-a]isoindole and pyrimido[2,1-a]isoindole derivs. related to the natural dihydrostilbenoid isonotholaenic acid is reported. The evaluation was performed on cultures of F32 strain of Plasmodium falciparum and potent representative compds. were also evaluated in the ferriprotoporphyrin IX biomineralization inhibition test (FBIT). Compds. having the imidazo[2,1-a]isoindole skeleton were the most active and one compound of this group resulted to be as potent as chloroquine, but acting through a mechanism different that of the inhibition of heme biomineralization.

IT **10001-31-7P 32003-14-8P 53242-88-9P 57835-95-7P 365222-03-3P 365222-04-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of imidazo[2,1-a]isoindole derivs. as antiplasmodial compds.)

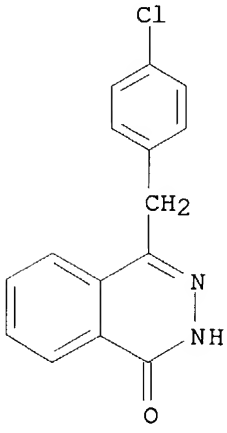
RN 10001-31-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



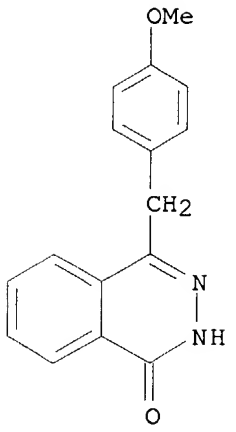
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



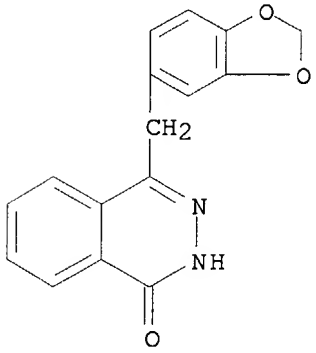
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



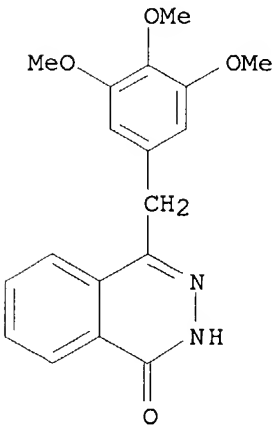
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 365222-04-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

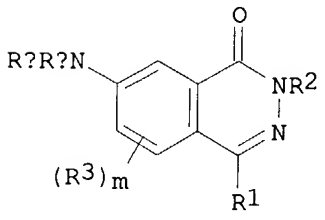


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:133246 CAPLUS
DOCUMENT NUMBER: 138:170245
TITLE: Preparation of aminophthalazinones as kinase inhibitors.
INVENTOR(S): Pulici, Maurizio
PATENT ASSIGNEE(S): Pharmacia Italia S.P.A., Italy
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003014090	A1	20030220	WO 2002-EP8544	20020730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003073692	A1	20030417	US 2001-922729	20010807

PRIORITY APPLN. INFO.: US 2001-922729 A 20010807
OTHER SOURCE(S): MARPAT 138:170245
GI



I

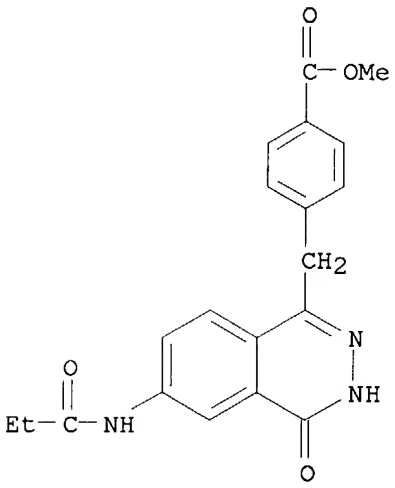
AB A method for treating diseases associated with altered protein kinase activity comprises administration of title compds. [I; Ra, Rb = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocycloalkyl; or 1 or Ra, Rb = H, (substituted) alkyl, the other = COR', CONHR', CO2R', SO2R'; R' = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R1 = CHR4R5; R4, R5 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; or R1 = NHR', NR'COR'', NR'CONHR'', NR'SO2R''; R'' = H, R'; R2 = H, (substituted) alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R3 = halo, NO2, CO2H, cyano, (substituted) alkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; or R3

= COR', CONHR', SO2R', NR'R'', NR'COR'', NR'CONHR', NR'SO2R''; m = 0-3] (no data). Thus, 6-nitrophthalide was refluxed 11 h with Br2 and H2O2 in H2O to give 6-nitro-3-bromo-3H-isobenzofuran-1-one. The latter in Et propionate at 70-75° was treated with PPh3 in Et propionate followed by heating and stirring overnight to give (5-amino-3-oxo-1,3-dihydroisobenzofuran-1-yl)triphenylphosphonium bromide. The latter in CH2Cl2/trifluoroethanol/HOAc was stirred 9 h with 4-(4-formyl-3-methoxyphenoxy)butyryl aminomethylated resin followed by addition of BH3.pyridine to give after 40 h [5-[2-methoxy-4-[3-(4-resin-benzylcarbamoyl)propoxy]benzylamino]-3-oxo-1,3-dihydroisobenzofuran-1-yl]triphenylphosphonium bromide. This was stirred with pyridine-3-carboxaldehyde and Et3N in CH2Cl2 for 20 h to give 4-[3-methoxy-4-[[3-oxo-1-(1-pyridin-3-ylmethylidene)-1,3-dihydroisobenzofuran-5-ylamino]methyl]phenoxy]-N-(4-resin-benzyl)butyramide. This was converted to N-(4-oxo-1-pyridin-3-ylmethyl-3,4-dihydrophthalazin-6-yl)benzamide. I are useful in the treatment of diseases caused by and/or associated with an altered protein kinase activity such as cancer, cell proliferative disorders, Alzheimer's disease, viral infections, autoimmune diseases and neurodegenerative disorders.

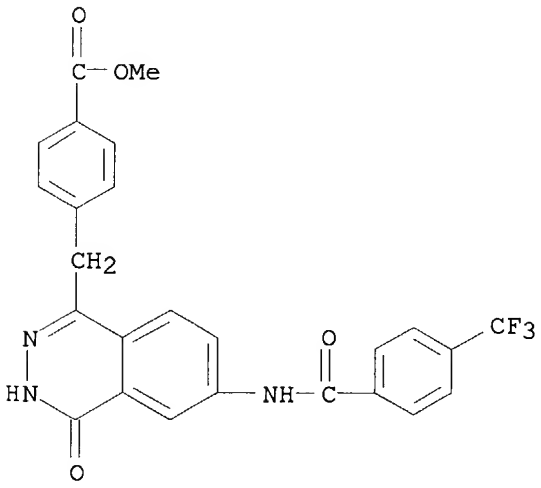
IT **497254-62-3P**, 4-(4-Oxo-6-propionylamino-3,4-dihydrophthalazin-1-ylmethyl)benzoic acid methyl ester **497254-63-4P**
497254-64-5P 497254-65-6P 497254-66-7P
497254-67-8P 497254-68-9P 497254-69-0P
497254-70-3P 497254-71-4P 497254-72-5P
497254-73-6P 497254-74-7P 497254-75-8P
497254-76-9P 497254-77-0P 497254-78-1P
497254-79-2P 497254-80-5P 497254-81-6P
497254-82-7P 497254-83-8P 497254-84-9P
497254-85-0P 497254-86-1P 497254-87-2P
497255-14-8P 497255-15-9P 497255-16-0P
497255-17-1P 497255-18-2P 497255-19-3P
497255-20-6P 497255-21-7P 497255-22-8P
497255-23-9P 497255-24-0P 497255-25-1P
497255-26-2P 497255-80-8P 497255-81-9P
497255-82-0P 497255-83-1P 497255-84-2P
497255-85-3P 497255-86-4P 497255-87-5P
497255-88-6P 497255-89-7P 497255-90-0P
497255-91-1P 497255-92-2P 497255-93-3P
497255-94-4P 497255-95-5P 497255-96-6P
497255-97-7P 497255-98-8P 497255-99-9P
497256-00-5P 497256-01-6P 497256-02-7P
497256-03-8P 497256-04-9P 497256-05-0P
497256-06-1P 497256-07-2P 497256-08-3P
497256-09-4P 497256-10-7P 497256-11-8P
497256-12-9P 497256-13-0P 497256-14-1P
497256-15-2P 497256-16-3P 497256-17-4P
497256-18-5P 497256-19-6P 497256-20-9P
497256-21-0P 497256-22-1P 497256-23-2P
497256-24-3P 497256-25-4P 497256-26-5P
497256-27-6P 497256-29-8P 497256-31-2P
497256-33-4P 497256-34-5P 497256-35-6P
497256-37-8P 497256-39-0P 497256-41-4P
497256-43-6P 497256-45-8P 497256-47-0P
497256-49-2P 497256-50-5P 497256-51-6P
497256-52-7P 497256-53-8P 497256-54-9P
497256-68-5P 497256-69-6P 497256-70-9P
497256-71-0P 497256-72-1P 497256-73-2P
497256-74-3P 497256-75-4P 497256-76-5P
497256-77-6P 497256-78-7P 497256-79-8P
497256-80-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of aminophthalazinones as kinase inhibitors)

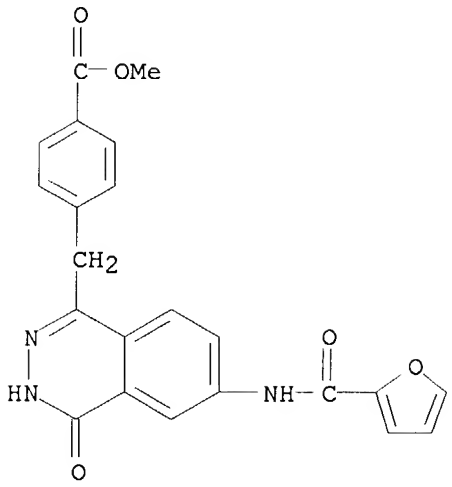
RN 497254-62-3 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[(1-oxopropyl)amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



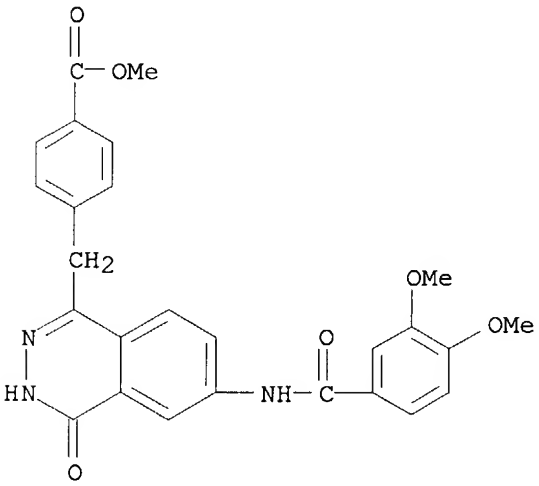
RN 497254-63-4 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[[4-(trifluoromethyl)benzoyl]amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



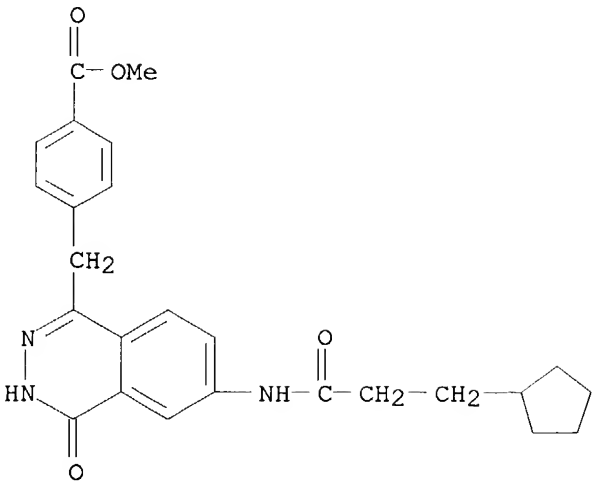
RN 497254-64-5 CAPLUS
CN Benzoic acid, 4-[[6-[(2-furanylcarbonyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



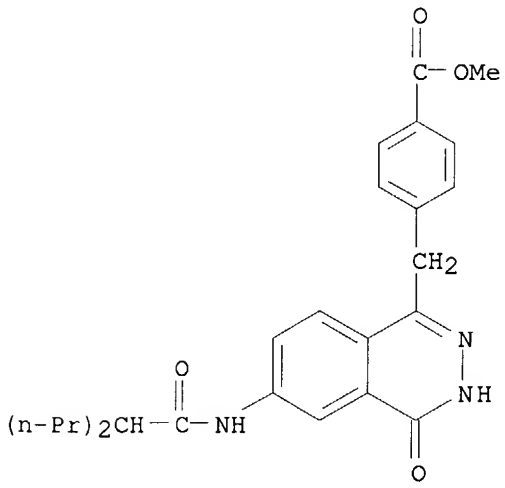
RN 497254-65-6 CAPLUS
CN Benzoic acid, 4-[[6-[(3,4-dimethoxybenzoyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



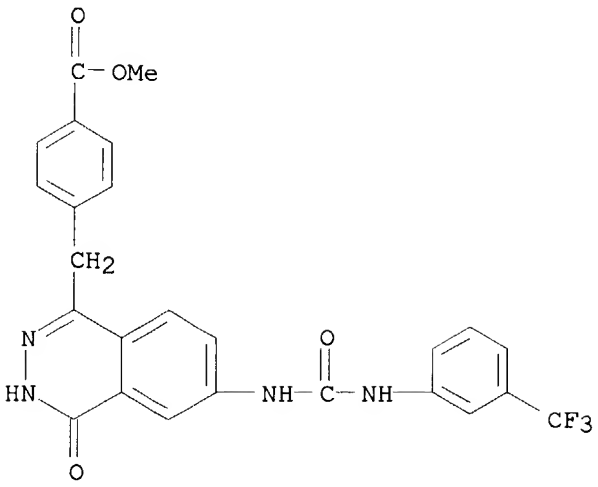
RN 497254-66-7 CAPLUS
CN Benzoic acid, 4-[[6-[(3-cyclopentyl-1-oxopropyl)amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



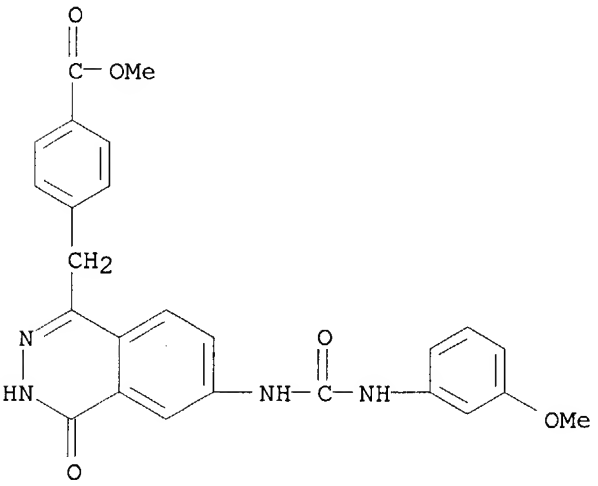
RN 497254-67-8 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[(1-oxo-2-propylpentyl)amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



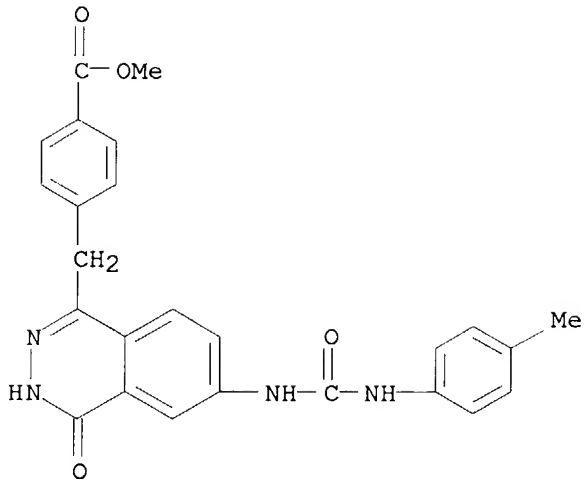
RN 497254-68-9 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-4-oxo-6-[[[[3-(trifluoromethyl)phenyl]amino]carbonyl]amino]-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



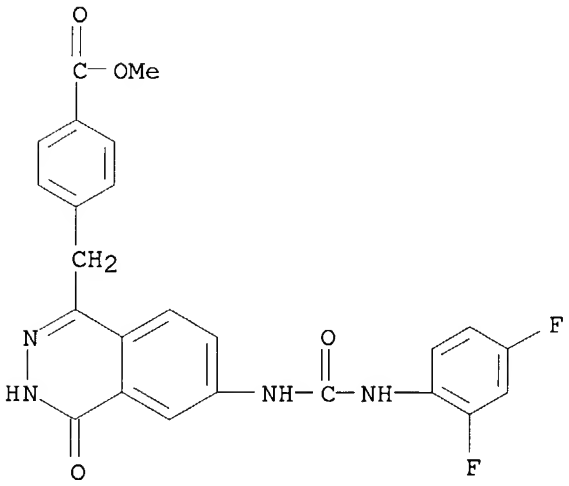
RN 497254-69-0 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-6-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



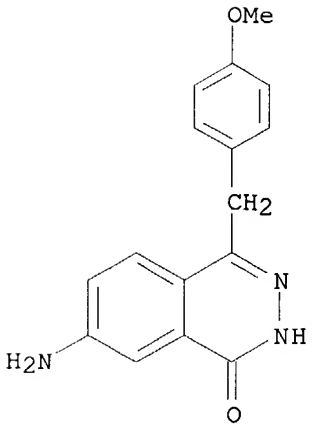
RN 497254-70-3 CAPLUS
CN Benzoic acid, 4-[[3,4-dihydro-6-[[[(4-methylphenyl)amino]carbonyl]amino]-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



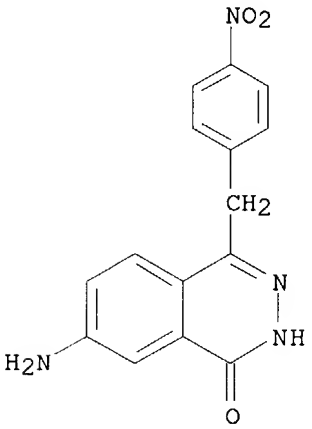
RN 497254-71-4 CAPLUS
CN Benzoic acid, 4-[[6-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497254-72-5 CAPLUS
CN Benzoic acid, 4-[[6-[[[(3,4-dichlorophenyl)amino]carbonyl]amino]-3,4-dihydro-4-oxo-1-phthalazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 497256-89-0 CAPLUS
CN 1(2H)-Phthalazinone, 7-amino-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:353439 CAPLUS
DOCUMENT NUMBER: 136:355242
TITLE: Preparation of phthalazinones as PARP inhibitors
INVENTOR(S): Martin, Niall Morrison Barr; Smith, Graeme Cameron Murray; White, Charles Richard; Newton, Roger Frank; Douglas, Diane Gillian; Eversley, Penny Jane; Vile, Julia
PATENT ASSIGNEE(S): Kudos Pharmaceuticals Limited, UK; Maybridge PLC
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

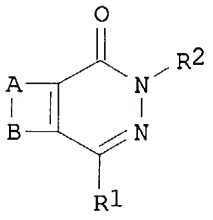
WO 2002036576	A1	20020510	WO 2001-GB4729	20011025	
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001095789	A5	20020515	AU 2001-95789	20011025	
EP 1330442	A1	20030730	EP 2001-976521	20011025	
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
GB 2384776	A1	20030806	GB 2003-9190	20011025	
GB 2384776	B2	20040303			
BR 2001015062	A	20040217	BR 2001-15062	20011025	
NZ 525138	A	20040326	NZ 2001-525138	20011025	
JP 2004513121	T2	20040430	JP 2002-539335	20011025	
US 2002183325	A1	20021205	US 2001-21506	20011030	
NO 2003001498	A	20030402	NO 2003-1498	20030402	

PRIORITY APPLN. INFO.:

GB 2000-26505	A	20001030
US 2001-275066P	P	20010312
US 2000-245662P	P	20001106
WO 2001-GB4729	W	20011025

OTHER SOURCE(S): MARPAT 136:355242

GI



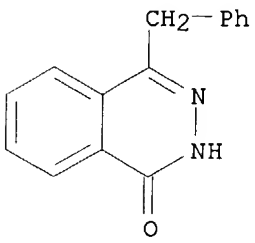
I

AB The title compds. [I; A and B together represent (un)substituted fused aromatic ring; R1 = LR3 (wherein L = (CH2)nQm(CH2)p; n, m, p = 0-3, the sum of n, m and p = 1-3; Q = O, S, NH, CO; R3 = (un)substituted C5-20 aryl); R2 = H, (un)substituted C1-7 alkyl, C3-20 heterocyclyl, C5-20 aryl, etc.], useful for inhibiting the activity of PARP (poly(ADP-ribose)synthase), were prepared General procedures for synthesis of I were described. Biol. data such as IC50 values against PARP, and DEF which is a ratio of the enhancement of the cell growth inhibition elicited by test compds. in the presence of bleomycin compared to bleomycin alone, were given. E.g., the compound I [AB = benzo; R1 = 4-chlorobenzyl; R2 = H] showed IC50 of 1.8 μM against PARP, and DEF of 1.9.

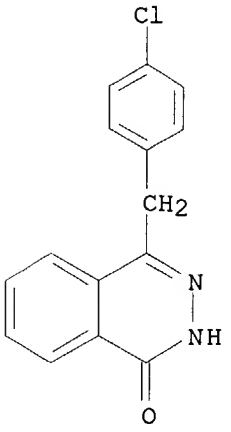
IT **32003-14-8P 53242-88-9P 57835-95-7P 87849-90-9P 114897-96-0P 120359-17-3P 365222-03-3P 420846-52-2P 420846-53-3P 420846-54-4P 420846-55-5P 420846-56-6P 420846-57-7P 420846-58-8P 420846-59-9P 420846-60-2P 420846-61-3P 420846-62-4P 420846-63-5P 420846-64-6P 420846-65-7P 420846-66-8P 420846-67-9P 420846-68-0P 420846-69-1P 420846-70-4P 420846-72-6P**

420846-73-7P 420846-77-1P 420846-78-2P
420846-79-3P 420846-80-6P 420846-81-7P
420846-82-8P 420846-83-9P 420846-84-0P
420846-85-1P 420846-86-2P 420846-87-3P
420846-88-4P 420846-89-5P 420846-90-8P
420846-91-9P 420846-92-0P 420846-93-1P
420846-94-2P 420846-95-3P 420846-96-4P
420846-97-5P 420846-98-6P 420846-99-7P
420847-00-3P 420847-01-4P 420847-02-5P
420847-03-6P 420847-04-7P 420847-05-8P
420847-06-9P 420847-07-0P 420847-08-1P
420847-09-2P 420847-10-5P 420847-11-6P
420847-12-7P 420847-13-8P 420847-14-9P
420847-15-0P 420847-16-1P 420847-17-2P
420847-18-3P 420847-19-4P 420847-20-7P
420847-21-8P 420847-22-9P 420847-23-0P
420847-24-1P 420847-25-2P 420847-26-3P
420847-27-4P 420847-28-5P 420847-29-6P
420847-30-9P 420847-31-0P 420847-32-1P
420847-33-2P 420847-34-3P 420847-35-4P
420847-36-5P 420847-37-6P 420847-38-7P
420847-39-8P 420847-40-1P 420847-41-2P
420847-42-3P 420847-43-4P 420847-44-5P
420847-45-6P 420847-46-7P 420847-47-8P
420847-48-9P 420847-49-0P 420847-50-3P
420847-51-4P 420847-52-5P 420847-53-6P
420847-54-7P 420847-55-8P 420847-56-9P
420847-57-0P 420847-58-1P 420847-59-2P
420847-60-5P 420847-61-6P 420847-62-7P
420847-63-8P 420847-64-9P 420847-65-0P
420847-66-1P 420847-67-2P 420847-68-3P
420847-69-4P 420847-70-7P 420847-71-8P
420847-72-9P 420847-73-0P 420847-74-1P
420847-75-2P 420847-76-3P 420847-77-4P
420847-78-5P 420847-79-6P 420847-80-9P
420847-81-0P 420847-82-1P 420847-83-2P
420847-84-3P 420847-85-4P 420847-86-5P
420847-87-6P 420847-88-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazinones as PARP inhibitors)

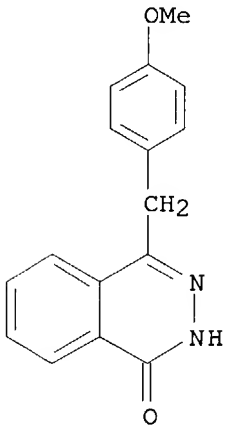
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



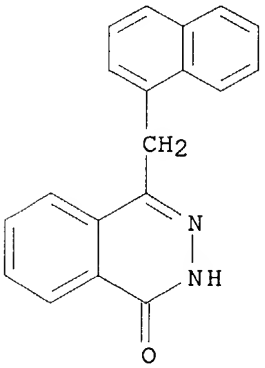
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



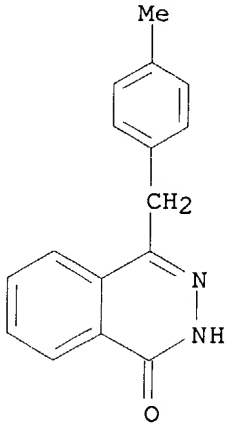
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



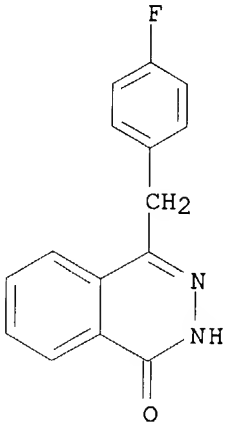
RN 87849-90-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



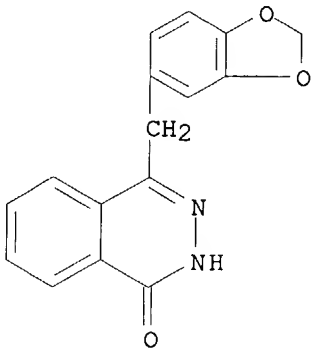
RN 114897-96-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 120359-17-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



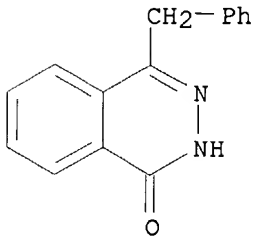
RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 420846-52-2 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-bromophenyl)methyl]- (9CI) (CA INDEX NAME)

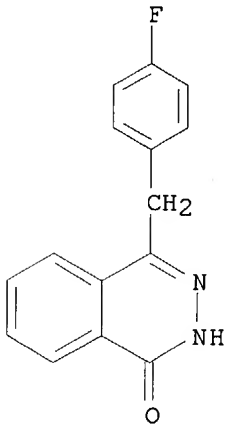
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



IT **120359-17-3**, 4-(4-Fluorobenzyl)-1(2H)-phthalazinone
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders)

RN 120359-17-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2001:746588 CAPLUS
DOCUMENT NUMBER:	136:112202
TITLE:	Anti-Trypanosoma activity of some natural stilbenoids and synthetic related heterocyclic compounds
AUTHOR(S):	Olmo, E.; Armas, M. G.; Lopez-Perez, J. L.; Ruiz, G.; Vargas, F.; Gimenez, A.; Deharo, E.; Feliciano, A. S.
CORPORATE SOURCE:	Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain
SOURCE:	Bioorganic & Medicinal Chemistry Letters (2001), 11(20), 2755-2757 CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER:	Elsevier Science Ltd.
DOCUMENT TYPE:	Journal

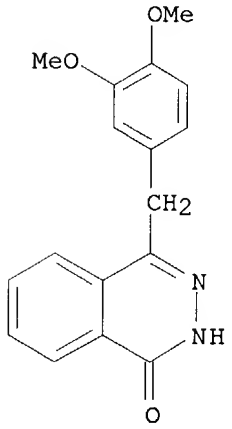
LANGUAGE: English

AB We report the anti-Chagasic activity of the natural dihydrostilbenoid isonotholaenic acid and several simple derivs., as well as that of some representative compds. of related synthetic series, with basic structures of benzalphthalides, dihydrostilbamides, isoindoles, phthalazin-1-ones, imidazo[2,1-a]isoindoles and pyrimido[2,1-a]isoindoles. The evaluation was performed in vitro on cultures of epimastigote and trypomastigote forms of Trypanosoma cruzi. Some of the tested compds. resulted to be as potent as benznidazole (epimastigotes), and others were shown to be more active than gentian violet (trypomastigotes), used as reference drugs.

IT **10001-31-7 32003-14-8 53242-88-9**
57835-95-7 365222-03-3 365222-04-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anti-Trypanosoma activity of natural stilbenoids and synthetic related heterocyclic compds.)

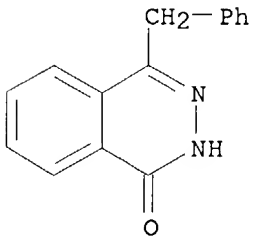
RN 10001-31-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



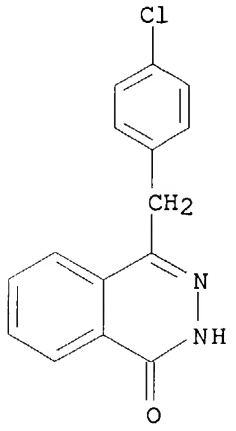
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

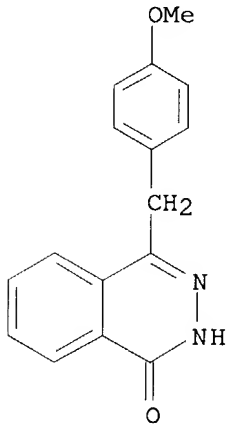


RN 53242-88-9 CAPLUS

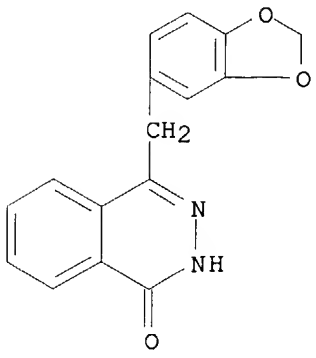
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



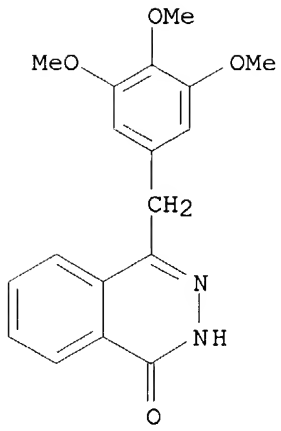
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 365222-04-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



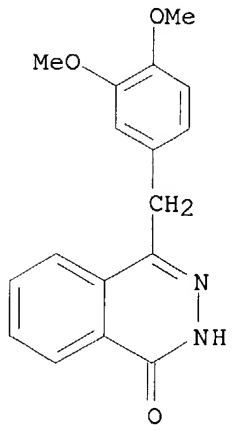
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:612024 CAPLUS
DOCUMENT NUMBER: 135:285601
TITLE: Leishmanicidal activity of some stilbenoids and related heterocyclic compounds
AUTHOR(S): del Olmo, E.; Armas, M. G.; Lopez-Perez, J. L.; Munoz, V.; Deharo, E.; San Feliciano, A.
CORPORATE SOURCE: Departamento de Quimica Farmaceutica, Facultad de Farmacia, Salamanca, 37007, Spain
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(16), 2123-2126
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

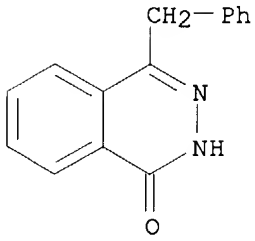
AB The authors have evaluated the leishmanicidal activity of some natural and semisynthetic dihydrostilbenoids and several compds. of other series of dihydrostilbamides, isoindoles, phthalazinones, imidazoisoindoles, and pyrimidoisoindoles. The evaluation was performed in vitro, on cultures of cutaneous, mucocutaneous and visceral strains of Leishmania spp. The most potent and selective compds. of these series were the dihydrostilbene piperidides.

IT **10001-31-7 32003-14-8 53242-88-9 57835-95-7 365222-03-3 365222-04-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(leishmanicidal activity of some stilbenoids and related heterocyclic compds.)

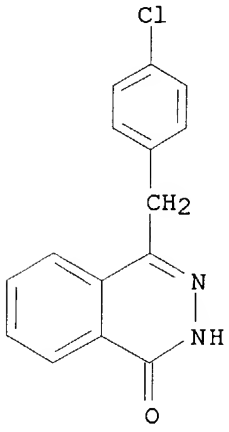
RN 10001-31-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



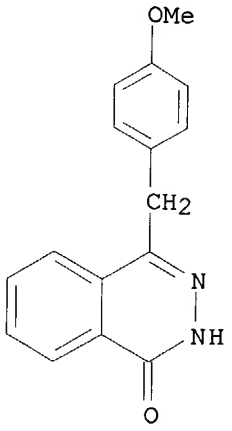
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



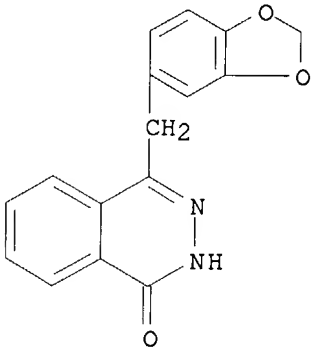
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



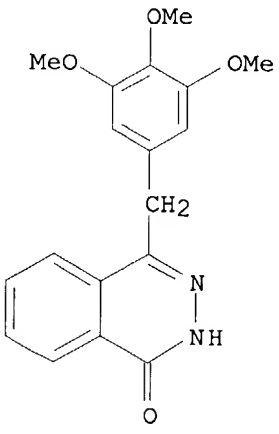
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 365222-03-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)- (9CI) (CA INDEX NAME)



RN 365222-04-4 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

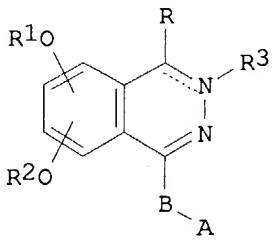


REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:84785 CAPLUS
DOCUMENT NUMBER: 132:122627
TITLE: Preparation of phthalazine derivatives as phosphodiesterase 4 inhibitors
INVENTOR(S): Napoletano, Mauro; Norcini, Gabriele; Grancini, Giancarlo; Pellacini, Franco; Morazzoni, Gabriele
PATENT ASSIGNEE(S): Zambon Group S.P.A., Italy
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000005219	A1	20000203	WO 1999-EP5068	19990716
W: AU, CA, CZ, HU, IL, JP, KP, NZ, SI, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
IT 98MI1671	A1	20000121	IT 1998-MI1671	19980721
CA 2337954	AA	20000203	CA 1999-2337954	19990716
AU 9949116	A1	20000214	AU 1999-49116	19990716
AU 766076	B2	20031009		
EP 1098886	A1	20010516	EP 1999-932894	19990716
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002521371	T2	20020716	JP 2000-561175	19990716
NZ 507930	A	20021126	NZ 1999-507930	19990716
ZA 2000006575	A	20020213	ZA 2000-6575	20001113
ZA 2000006577	A	20020213	ZA 2000-6577	20001113
US 6340684	B1	20020122	US 2001-764983	20010122
US 2002058662	A1	20020516	US 2001-987266	20011114
US 6498160	B2	20021224		

PRIORITY APPLN. INFO.: IT 1998-MI1671 A 19980721
WO 1999-EP5068 W 19990716
US 2001-764983 A1 20010122
OTHER SOURCE(S): MARPAT 132:122627
GI



I

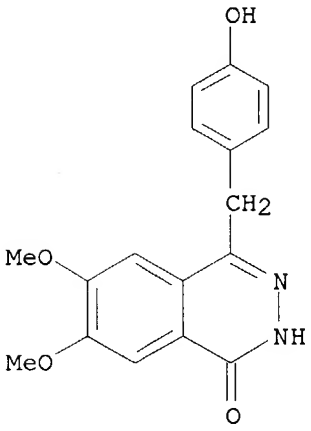
AB The title compds. [I; B = NH, CH2, alkylene chain optionally branched and/or unsatd. and/or interrupted by cycloalkyl; A = (un)substituted Ph, heterocyclyl; R = two H atoms, CO when a single bond is present or, when there is a double bond, R = H, (un)substituted aryl, heterocyclyl, etc.; R1 = alkyl, aryl, arylalkyl, etc.; R2 = alkyl, polyfluoroalkyl; R3 is

absent when is a single bond], useful for treating allergic and inflammatory pathologies, and respiratory diseases, were prepared E.g., a synthesis of I [B = CH2; A = 3,5-dichloropyridin-4-yl; R = two H atoms; R1 = 5-(tetrahydrofuran-2-yl); R2 = 6-F2CH; R3 = MeSO2] which showed IC50 of 8±0.5 nM against PDE 4, was given.

IT **256421-67-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phthalazine derivs. as phosphodiesterase 4 inhibitors)

RN 256421-67-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-hydroxyphenyl)methyl]-6,7-dimethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:575392 CAPLUS

DOCUMENT NUMBER: 125:300924

TITLE: Synthesis and biological activity of some 4-benzyl-1(2H)-phthalazinone derivatives

AUTHOR(S): El-Tamaty, El-Sayed H.; Abdel-Fattah, Mohy E.; El-Deen, Ibrahim

CORPORATE SOURCE: Chem. Dep., Suez Canal Univ., Ismailia, Egypt

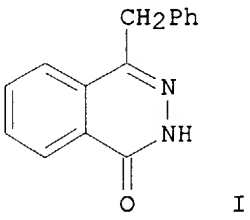
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1996), 35B(10), 1067-1072
CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: Publications & Information Directorate, CSIR

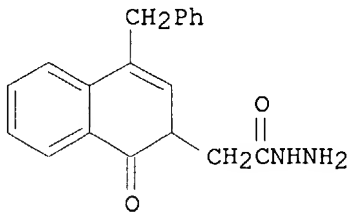
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



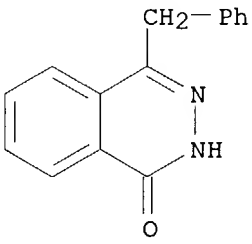
II

AB The reaction of benzalphthalide and hydrazine gave 4-benzylphthalazin-1(2H)-one (I). Alkylation of I with Et chloroacetate furnished an ester, which on reaction with hydrazine gave a hydrazide II. The reactions of II with aldehydes, ketones, arylsulfonyl chlorides, phenylisocyanate, phenylisothiocyanate, benzoyl chloride, acetylacetone and carbon disulfide were studied. The structures of the synthesized compds. were established by their elemental anal. and spectral data. All the new compds. were tested for their antibacterial and fungicidal activities and some of them have been found to be biol. active.

IT **32003-14-8,** 4-(Phenylmethyl)-1(2H)-phthalazinone***
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and bactericidal and fungicidal activity of phthalazineacetic hydrazide derivs.)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:534403 CAPLUS

DOCUMENT NUMBER: 125:221765

TITLE: Ring-rearrangement during the Mitsunobu alkylation of phthalazinones and indazolols

AUTHOR(S): Knaack, Martina; Fleischhauer, Ilona; Charpentier, Patricia; Emig, Peter; Kutscher, Bernhard; Mueller, Arndt

CORPORATE SOURCE: Degussa A.-G., Hanau, D-63403, Germany

SOURCE: Liebigs Annalen (1996), (9), 1477-1482
CODEN: LANAEM; ISSN: 0947-3440

PUBLISHER: VCH

DOCUMENT TYPE: Journal

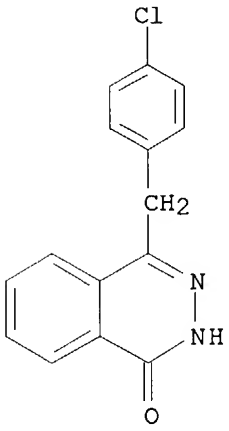
LANGUAGE: German

AB The Mitsunobu alkylation of substituted phthalazinones and indazolols with cyclic hydroxy- and hydroxymethyl-substituted amines was investigated. In addition to the expected derivs. ring-narrowed and ring-enlarged rearrangement products were isolated and characterized by NMR. The occurrence of these products is explained by the existence of a bicyclic intermediate. The results of the reaction of phthalazinones with optically active amine compds. show a stereospecific reaction mechanism.

The reaction of the phthalazinones leads to N-substituted products, while in the case of the indazolols O-substituted derivs. were isolated. A postulated bicyclic intermediate, 1-methyl-1-azoniabicyclo[3.2.0]heptane, was synthesized as chloride.

IT **53242-88-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(ring-rearrangement during Mitsunobu alkylation of phthalazinones and indazolols)

RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



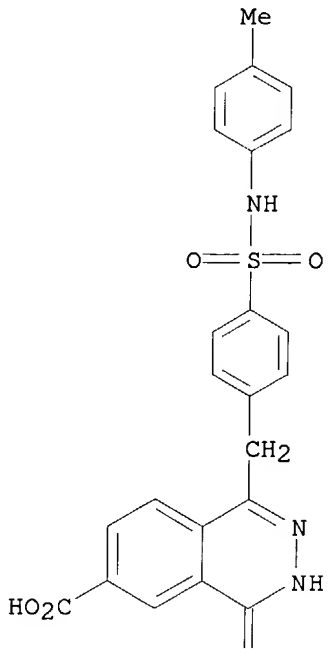
L10 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:149535 CAPLUS
DOCUMENT NUMBER: 124:316902
TITLE: Synthesis of new 5-carboxyphthalimides containing sulfonamide moieties with biological interest
AUTHOR(S): Eyada, H. A.; Khalaf, N. S.; El-Sayed, Ragab A.; El-Hakim, M. H.
CORPORATE SOURCE: Faculty Science, Al-Azhar University, Nasr City, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994), 14, 33-9
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The condensation of trimellitic anhydride [i.e., 1,3-dihydro-1,3-dioxo-5-isobenzofurancarboxylic acid] with sulfa drugs or (sulfonamido)phenylacetic acid gave the corresponding phthalimides. The compds. were screened as bactericides.

IT **175980-81-1P 175980-82-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and bactericidal activity of sulfa drug derivs.)

RN 175980-81-1 CAPLUS
CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-1-[[4-[[(4-methylphenyl)amino]sulfonyl]phenyl]methyl]-4-oxo- (9CI) (CA INDEX NAME)

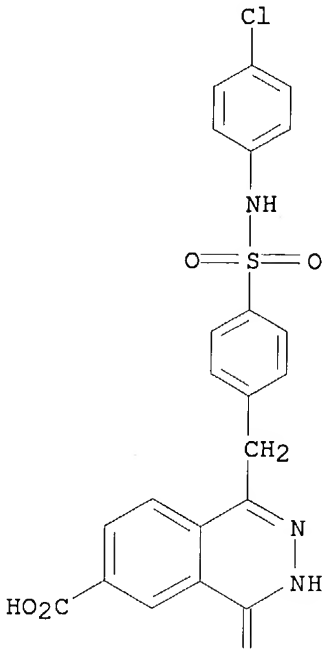
PAGE 1-A



PAGE 2-A

RN 175980-82-2 CAPLUS
CN 6-Phthalazinecarboxylic acid, 1-[[4-[[(4-chlorophenyl)amino]sulfonyl]phenyl]methyl]-3,4-dihydro-4-oxo- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L10 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:138851 CAPLUS
DOCUMENT NUMBER: 124:289404
TITLE: Synthesis of some new sulfonamides derived from tetrachlorophthalimides
AUTHOR(S): Eyada, H. A.
CORPORATE SOURCE: Faculty Science, Al-Azhar University, Cairo, Egypt
SOURCE: Al-Azhar Journal of Pharmaceutical Sciences (1994), 13, 104-11
CODEN: AAJPFT; ISSN: 1110-1644
PUBLISHER: Al-Azhar University, Faculty of Pharmacy
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

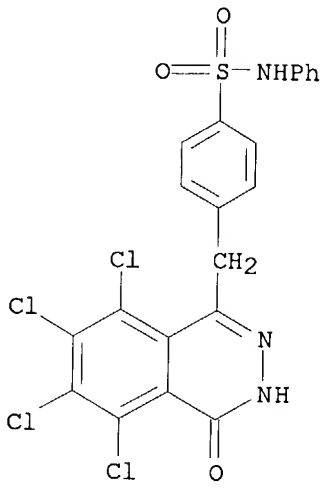
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Condensation of 3,4,5,6-tetrachlorophthalic anhydride with RNHSO2C6H4CH2CO2H (R = Ph, 4-ClC6H4) and sulfa drugs gave arylidenephthalides I (R = Ph, 4-ClC6H4, X = O) and phthalimides II [R = H, C(NH2):NH, 2-thiazolyl, 2-pyrimidinyl, etc., Z = N], resp. Thionation of I (X = O) produced the thio derivs. I (X = S) (III). Treatment of I (X

= O) and III with methanolic sodium methoxide gave indandiones II (R = Ph, 4-ClC6H4, Z = CH) and bis(indanone) sulfides, resp. Interaction of I (X = O) with hydrazine hydrate and sulfanilamide furnished pyridazines V and indolones VI, resp.

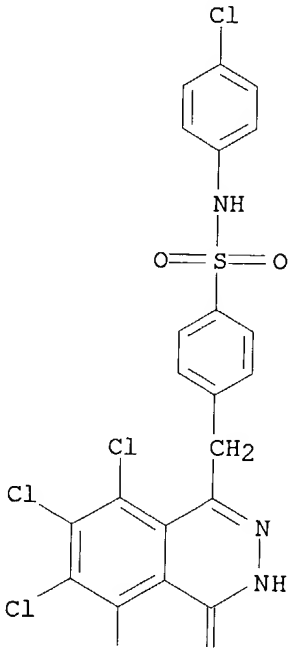
IT **86355-25-1P 175910-52-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, bactericidal, and fungicidal activity of sulfonamides)

RN 86355-25-1 CAPLUS
CN Benzenesulfonamide, N-phenyl-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



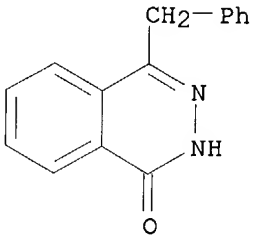
RN 175910-52-8 CAPLUS
CN Benzenesulfonamide, N-(4-chlorophenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

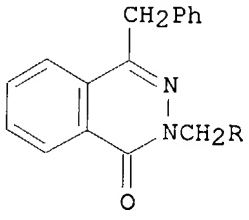


Cl O

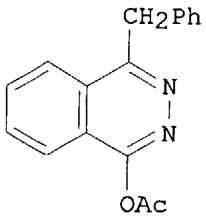
L10 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:20310 CAPLUS
DOCUMENT NUMBER: 124:202144
TITLE: New synthesis and reactions of 4-benzoylphthalazin-1(2H)-one
AUTHOR(S): Ismail, M. F.; Mustafa, Omnia E.A.; Emara, S.A.; Sallam, Hanan A.
CORPORATE SOURCE: Faculty of Science, Ain Shams University, Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1995), Volume Date 1993, 36(6), 479-84
CODEN: EGJCA3; ISSN: 0367-0422
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title compound, prepared in 82% yield by oxidation of the 4-benzyl analog with sodium dichromate in AcOH, underwent several reactions including condensation with amines, methylation and Mannich reaction.
IT **32003-14-8**, 4-Benzylphthalazin-1(2H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:459828 CAPLUS
DOCUMENT NUMBER: 123:143775
TITLE: Attempted oxidation of some substituted 4-benzylphthalazine derivatives
AUTHOR(S): Ismail, M. F.; Mustafa, O. E. A.; Emara, S. A.; Sallam, H. A. M.
CORPORATE SOURCE: Faculty Science, Ain Shams University, Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1994), 37(1), 89-94
CODEN: EGJCA3; ISSN: 0367-0422
PUBLISHER: National Information and Documentation Centre
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



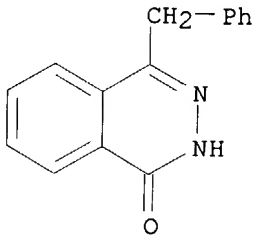
II

AB Oxidation of title compds. I (R = CH2CN, CH2CONH2, H, piperidino) and II by Na2Cr2O7/AcOH was examined In all cases the benzyl group was oxidized to benzoyl. The piperidinomethyl group of I and the acetate group of II were lost in the reaction.

IT **32003-14-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(oxidation of benzylphthalazines by dichromate)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:164206 CAPLUS

DOCUMENT NUMBER: 120:164206

TITLE: Preparation of antihistaminic 4-(substituted benzyl)-2-(N-substituted hexahydroazepinyl)-1(2H)-phthalazinones

INVENTOR(S): Iki, Masami; Maruhashi, Kenji

PATENT ASSIGNEE(S): Sumika Fuain Kemu Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

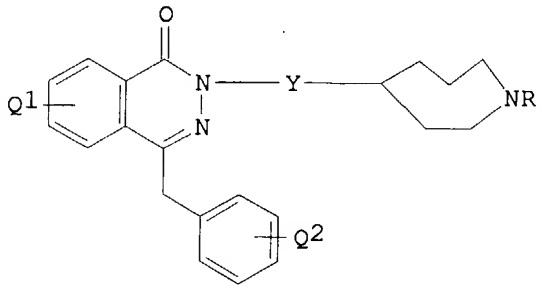
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05230056	A2	19930907	JP 1992-70427	19920219

PRIORITY APPLN. INFO.: JP 1992-70427 19920219

OTHER SOURCE(S): CASREACT 120:164206; MARPAT 120:164206

GI



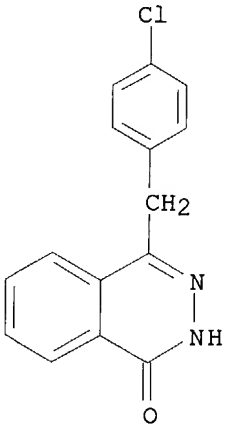
I

AB The title compds. I [R = lower alkyl; Q1, Q2 = H, halo, lower alkyl or alkoxy, OH, CF3, (substituted) amino; Y = single bond, CH2, CH2CH2, CHMe], useful as antihistaminics (no data), are prepared by quaternization of I (R = CH2C6H4R1; R1 = H, lower alkyl, halo) with RX (R = same as I; X = halo) followed by reduction An aqueous solution of 27.0 g 4-(4-chlorobenzyl)-1(2H)-phthalazinone, 28.27 g 2-(2-chloroethyl)-N-benzylpyrrolidine HCl salt, and NaOH was heated at 70° for 3 h to give 16.5 g I (R = benzyl; Q1 = H, Q2 = 4-Cl; Y = single bond), which was treated with MeCl in MeOH at 3-5 kg/cm2 and 80° for 15 h and the resulting quaternary salt was reduced by H with Pd/C in MeOH at 20-30° for 1 h to give 10.0 g azelastine hydrochloride.

IT **53242-88-9**, 4-(4-Chlorobenzyl)-1(2H)-phthalazinone
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with (chloroethyl)benzylpyrrolidine)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:106864 CAPLUS

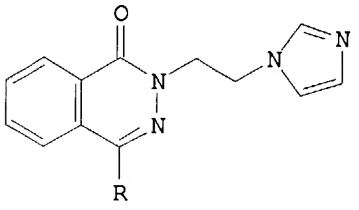
DOCUMENT NUMBER: 120:106864

TITLE: Novel antiasthmatic agents with dual activities of thromboxane A2 synthetase inhibition and bronchodilation. 1. 2-[2-(1-Imidazolyl)alkyl]-1(2H)-phthalazinones

AUTHOR(S): Yamaguchi, Masahisa; Kamei, Kenshi; Koga, Takaki; Akima, Michitaka; Kuroki, Toshio; Ohi, Nobuhiro

CORPORATE SOURCE: Fuji-gotemba Res. Lab., Chugai Pharm. Co., Ltd., Gotemba, 412, Japan

SOURCE: Journal of Medicinal Chemistry (1993), 36(25), 4052-60
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

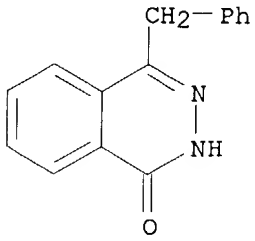


I

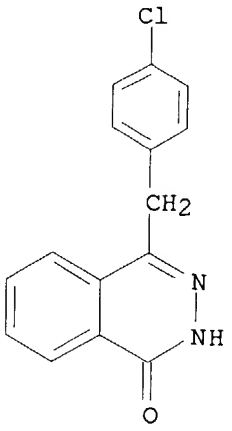
AB A number of 4-substituted 2-[ω-(1-imidazolyl)alkyl]-1(2H)-phthalazinones were synthesized in order to develop agents possessing both thromboxane A2 synthetase inhibitory and bronchodilatory activities. The pharmacol. evaluation of these compds. disclosed that they have both activities to various extents. Both activities were slightly dependent on the length of the 2-substituents and largely affected by the nature of the 4-substituents. Compds. bearing Ph and thienyl groups exhibited relatively high and well-rounded activities. Among these compds., I (R = 4-MeSC6H4, 5-ethyl-2-thienyl) were found to be the most effective agents having well-rounded activities in vitro and in vivo. Introduction of a carboxyl group reduced both activities contrary to the authors' expectation. The 4-(3-pyridyl)phthalazinone I (R = 3-pyridyl) was of particular interest because of unexpectedly high in vivo activities in spite of an absence of significant in vitro activities.

IT **32003-14-8P 53242-88-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with (bromoethyl)imidazole)

RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



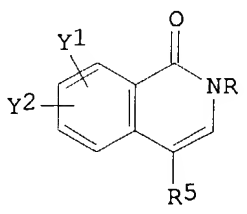
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:8605 CAPLUS
DOCUMENT NUMBER: 120:8605
TITLE: Preparation of 6-amino-3-(oxophthalazino)caproates and analogs as antiallergic and antiasthmatic agents
INVENTOR(S): Kutscher, Bernhard; Niebch, Georg; Fleischbauer, Ilona; Engel, Juergen; Achterrath-Tuckermann, Ute; Szelenyi, Stefan
PATENT ASSIGNEE(S): Asta Medica AG, Germany
SOURCE: Ger. Offen., 5 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4207234	A1	19930909	DE 1992-4207234	19920307
EP 564805	A1	19931013	EP 1993-103179	19930227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5464838	A	19951107	US 1993-27487	19930304
CA 2091105	AA	19930908	CA 1993-2091105	19930305
NO 9300816	A	19930908	NO 1993-816	19930305
HU 63617	A2	19930928	HU 1993-626	19930305
JP 06009575	A2	19940118	JP 1993-44841	19930305
CN 1080286	A	19940105	CN 1993-103493	19930306
AU 9334052	A1	19930909	AU 1993-34052	19930308
AU 659717	B2	19950525		

PRIORITY APPLN. INFO.: DE 1992-4207234 19920307
OTHER SOURCE(S): MARPAT 120:8605
GI



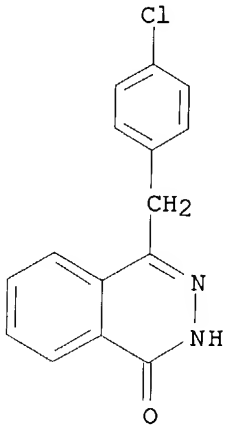
I

AB Title compds. [I; R = (CHR4)lCH[(CH2)mCO2R3](CH2)nNR1R2; R1, R2 = H, alkyl, CH2Ph, phenylethyl; R1 = H, alkyl, CH2CPh; R4 = H, alkyl; R5 = (substituted) CH2Ph; Y1, Y2 = H, halo, alkyl, alkoxy; l, m, n = 0-4] were prepared Thus, 4-(4-chlorobenzyl)-1(2H)-phthalazinone was condensed with PhCH2NMe(CH2)3CH(OSO2Me)CN2CO2CMe3 and the product N-debenzylated to give, after MeOH workup, I [R = MeNH(CH2)3CHCR2CO2Me, R5 = 4-ClC6H4CH2, Y1 = Y2 = H] which had ID50 of 0.0099 mg/kg i.v. against allergically-induced bronchospasms in guinea pigs.

IT **53242-88-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antiallergic and antiasthmatic agent)

RN 53242-88-9 CAPLUS

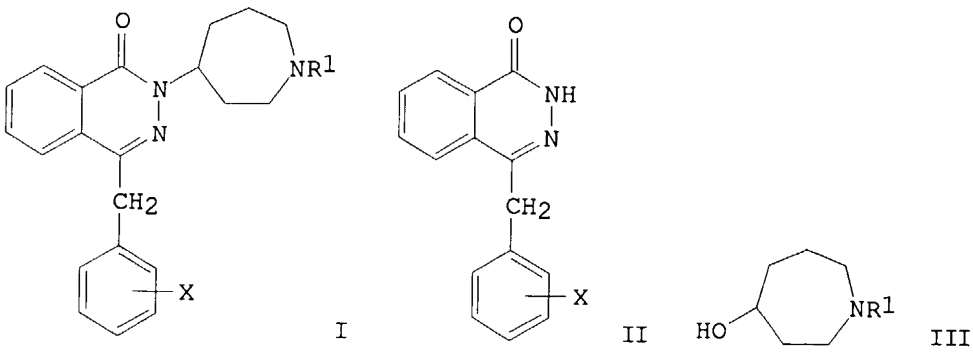
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:531216 CAPLUS
DOCUMENT NUMBER: 117:131216
TITLE: Process for producing antihistaminic benzylphthalazinone derivatives and salts thereof via condensation reaction with homopiperazinols
INVENTOR(S): Murakami, Kazukata
PATENT ASSIGNEE(S): Eisai Chemical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 488209	A1	19920603	EP 1991-120268	19911127
EP 488209	B1	19960522		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 04198180	A2	19920717	JP 1990-322399	19901128
JP 06092960	A2	19940405	JP 1991-332844	19911122
JP 07039413	B4	19950501		
CA 2056334	AA	19920529	CA 1991-2056334	19911127
CA 2056334	C	19990601		
AT 138376	E	19960615	AT 1991-120268	19911127

ES 2089097 T3 19961001 ES 1991-120268 19911127
US 5216151 A 19930601 US 1991-800259 19911129
PRIORITY APPLN. INFO.: JP 1990-322399 A 19901128
OTHER SOURCE(S): CASREACT 117:131216; MARPAT 117:131216
GI

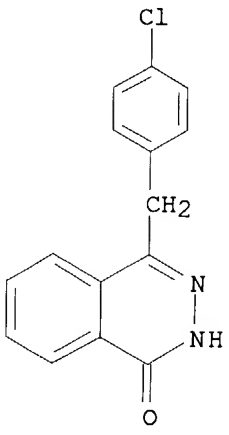


I II III

AB Title derivs. I (X = halo, R1 = lower alkyl) and salts, which are known antihistaminics, are prepared in improved yield by reaction of parent benzylphthalazinones II with homopiperazinols III in the presence of a dehydration-condensation agent, especially a dialkyl azodicarboxylate plus a phosphine. In 4 examples using di-Et or di-iso-Pr azodicarboxylate and PPh3 in THF at -30°, I (X = Cl, R1 = Me) was obtained consistently in 85% yield as its HCl salt.

IT **53242-88-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(condensation of, with methylhomopiperazinol)

RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 19 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:679936 CAPLUS
DOCUMENT NUMBER: 115:279936
TITLE: Studies on antiatherosclerotic agents. Synthesis and

inhibitory activities on platelet aggregation of 4-aryl derivatives of 7-ethoxycarbonyl-6,8-dimethyl-1(2H)-phthalazinone

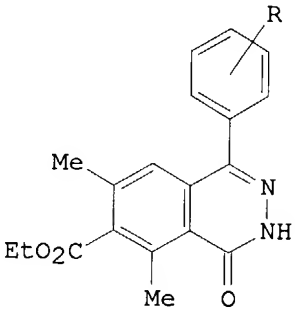
AUTHOR(S): Eguchi, Yukuo; Sato, Yuko; Sekizaki, Satomi; Ishikawa, Masayuki

CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo, 101, Japan

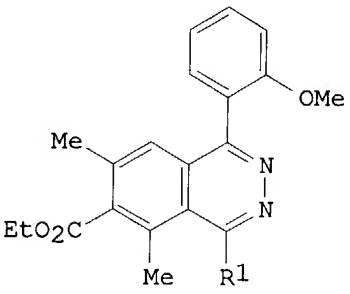
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(8), 2009-15
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal
LANGUAGE: English

GI



I

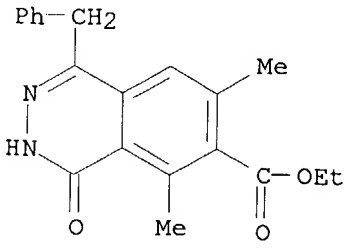


II

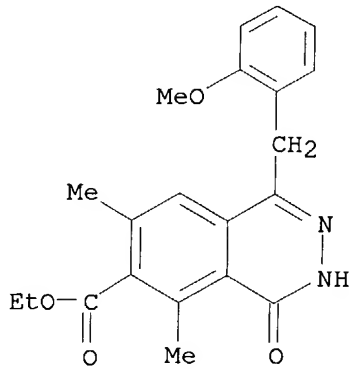
AB Phthalazine derivs., e.g. I (R = H, 2-, 3-, 4-Me, 2-, 4-OMe, 2-, 4-Cl, 2-, 4-OCH2Ph, etc.) and II (R1 = OEt, SEt, 1-piperidinyl, NHC6H4Cl-3, C.tplbond.CPh, etc.), were prepared and evaluated as inhibitors of arachidonic acid (AA) and ADP induced platelet aggregation. Thus, 4-ethoxycarbonyl-3,5-dimethylphthalic anhydride reacted with (RC6H4)2Cd and cyclized with H2NNH2 to give I. Some compds. had considerable inhibitory activity against AA-induced platelet aggregation. Structure activity relationships were also examined

IT **124397-51-9P 137207-89-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and platelet antiaggregating activity of)

RN 124397-51-9 CAPLUS
CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-5,7-dimethyl-4-oxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 137207-89-7 CAPLUS
CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-1-[(2-methoxyphenyl)methyl]-5,7-dimethyl-4-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:656193 CAPLUS
DOCUMENT NUMBER: 115:256193
TITLE: Preparation of fused pyridazine derivatives as thromboxane A2 (TXA2) synthetase inhibitors
INVENTOR(S): Ohi, Nobuhiro; Kuroki, Toshio; Yamaguchi, Masahisa; Akima, Michitaka; Koga, Takaki; Kamei, Kenshi
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9112251	A1	19910822	WO 1991-JP210	19910219
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KR, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CM, DE, DK, ES, FR, GA, GB, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9172381	A1	19910903	AU 1991-72381	19910219
ZA 9101224	A	19911127	ZA 1991-1224	19910219
JP 3120857	B2	20001225	JP 1991-504019	19910219

PRIORITY APPLN. INFO.: JP 1990-37966 A 19900219
JP 1990-250934 A 19900920
JP 1990-304547 A 19901109
JP 1990-409169 A 19901228
WO 1991-JP210 A 19910219

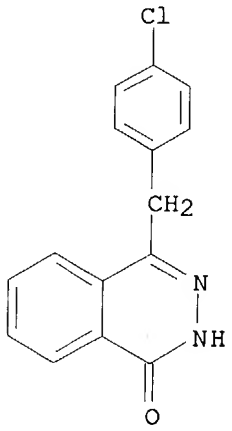
OTHER SOURCE(S): MARPAT 115:256193
GI For diagram(s), see printed CA Issue.
AB Title compds. [I; ring A = Q, or unsatd. 5- or 6-membered O-, N- or S-containing heterocycle, e.g. Q1, Q2; R1 = H, halo CO2H, alkoxycarbonyl, NO2, alkoxy; R2 = alkyl; cyclohexyl, (halo)benzyl, thienylmethyl, (halo or alkyl) unsatd. 5- or 6-membered heterocyclyl containing 1-3 N atoms and/or 1 S atom, (un)substituted Ph; R3 = (un)substituted alkyl, alkenyl, alkynyl, aralkyl, cycloalkyl, cycloalkylmethyl, acyl, acylmethyl, PhSO2], useful as antiasthmatics and bronchodilators, are prepared Thus, a suspension of 4-phenyl-1-(2H)-phthalazinone 2.0, BrCH2CH2Br 5.5, K2CO3 7.5 g, and 60 mL DMF was stirred 2 h at 65° and thereto 4.3 g imidazole was added and the stirring was continued 5 h at 70° to give 0.5g a phthalazinone [II; R2 = Ph, R3 = 2-(1-imidazolyl)ethyl]. II (R2 =

3-pyridyl, R3 = 2-cyclohexylmethyl) in vitro inhibited 99% TXA2 in a test using rabbit blood platelets and porcine aorta microsomes and showed muscle relaxant activity in guinea pig trachea with -log[EC50] of 6.68. A total of 118 I were prepared and similarly tested.

IT **53242-88-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of thromboxane A2 synthetase inhibitor fused pyridazinone derivative)

RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1991:449575 CAPLUS
DOCUMENT NUMBER:	115:49575
TITLE:	Synthesis and reactions of 4-aryl-1(2H)-phthalazinones
AUTHOR(S):	Sayed, M. A.; Islam, I.; Mohamed, A. A.; Soliman, A. Y.; Bakeer, H. M.
CORPORATE SOURCE:	Fac. Sci., Ain Shams Univ., Egypt
SOURCE:	Chinese Journal of Chemistry (1991), 9(1), 45-53 CODEN: CJOCEV; ISSN: 1001-604X
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 115:49575

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I (R = CH2Ph, 4-BrC6H4, 4-EtC6H4) were prepared by the cyclocondensation of phthalide II or 2-ROCC6H4CO2H (R = 4-BrC6H4, 4-EtC6H4) with NH2NH2 in EtOH. I (R = 4-BrC6H4, 4-EtC6H4) react with boiling Ac2O or alkylating agents, e.g. Me2SO4, ClCH2CO2Et, or ethylene chlorhydrin, to give O-substituted derivs. III (R = CH2Ph, 4-BrC6H4; R1 = Ac, Me, CH2CO2Et, CH2CH2OH). N-Substituted derivs. IV (R = CH2Ph, R2 = Me, CH2CO2Et; R = 4-BrC6H4, R2 = Me; R = 4-EtC6H4, R2 = CH2CO2Et) were prepared by alkylating I with Me2SO4 or ClCH2CO2Et in aqueous NaOH or pyridine. IV (R = CH2Ph, R2 = Me) was condensed with 4-ClC6H4CHO to give alkene derivative V, whereas IV (R = 4-EtC6H4, R2 = CO2Et) was condensed with NH2NH2 to give hydrazide IV (R = CH2Ph, R2 = CONHNH2) and 2H-as-triazino[3,4-

a]phthalazin-3(4H)-one VI.

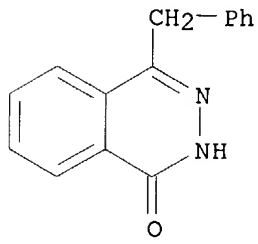
IT **32003-14-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactions of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:247220 CAPLUS

DOCUMENT NUMBER: 114:247220

TITLE: Some reactions of 4-aryl-1(2H)-phthalazinones

AUTHOR(S): Soliman, A. Y.; Bakeer, H. M.; Sayed, M. A.; Islam, I.; Mohamed, A. A.

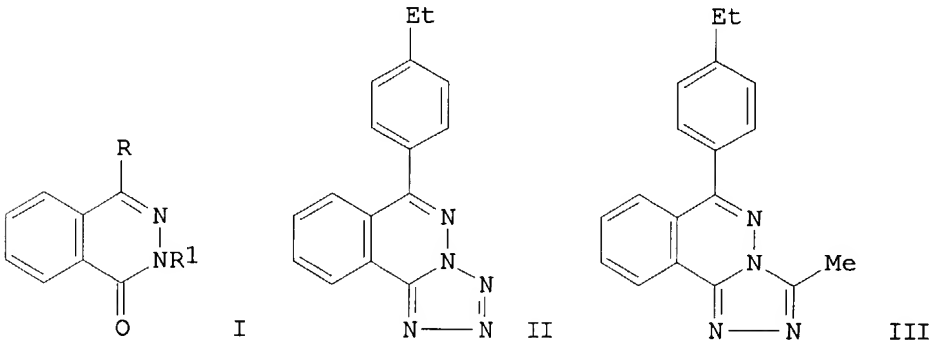
CORPORATE SOURCE: Dep. Chem., Fac. Educ., Fayoum, Egypt

SOURCE: Chinese Journal of Chemistry (1990), (6), 549-54
CODEN: CJOCEV; ISSN: 1001-604X

DOCUMENT TYPE: Journal

LANGUAGE: English

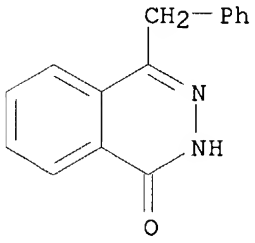
GI



AB Arylphthalazinones I (R = CH2Ph, 4-BrC6H4, 4-EtC6H4, R1 = H), prepared from cyclocondensation of 2-RCOC6H4CO2H with hydrazine, underwent Grignard and Mannich reactions. Thus, the Mannich reaction of I (R = CH2Ph, R1 = H) with CH2O and piperidine gives I (R = CH2Ph, R1 = piperidinomethyl). Title compds. also underwent other reactions which ultimately gave tricyclic compds., e.g. tetrazolophthalazine II and triazolophthalazine III. Thus, I (R = 4-EtC6H4, R1 = H) was chlorinated and cyclocondensed with NaN3 to give II.

IT **32003-14-8P**

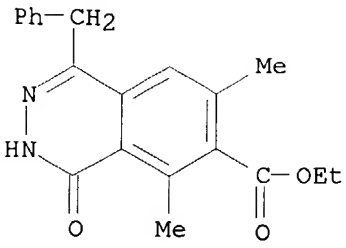
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and Mannich reaction of, with formaldehyde and piperidine)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



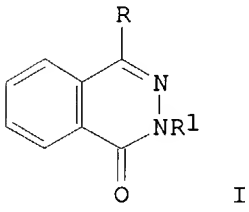
L10 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:55748 CAPLUS
DOCUMENT NUMBER: 112:55748
TITLE: Synthesis of 4-phenyl and 4-benzyl substituted phthalazinones and their derivatives
AUTHOR(S): Eguchi, Yukuo; Hasegawa, Yuko; Ishikawa, Masayuki
CORPORATE SOURCE: Inst. Med. Dent. Eng., Tokyo Med. Dent. Univ., Tokyo, 101, Japan
SOURCE: Iyo Kizai Kenkyusho Hokoku (Tokyo Ika Shika Daigaku) (1988), 22, 47-52
CODEN: IKKHBS; ISSN: 0082-4739
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Refluxing phthalic anhydride (I) with Me2Cd (prepared from MeMgBr and CdCl2) in Et2O 3 h gave II (R = Me, R1 = OH) and II (R = R1 = Me). A similar reaction of I with Ph2Cd followed by treatment with NH2NH2 gave II (R = R1 = Ph) and phthalazinone III (R2 = Ph). Stirring III (R2 = CH2Br) with PhMgBr and LiCl in Et2O-THF overnight at room temperature gave III (R2 = CH2Ph) and IV. Refluxing a mixture of V (R3 = Cl), PhC.tplbond.CH, (Ph3P)2PdCl2, CuI, and Et3N in C6H6 4 h gave V (R3 = PhC.tplbond.C), which was hydrogenated in EtOAc in the presence of 5% Pd-C to give V (R3 = PhCH2CH2).
IT **124397-51-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 124397-51-9 CAPLUS
CN 6-Phthalazinecarboxylic acid, 3,4-dihydro-5,7-dimethyl-4-oxo-1-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L10 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:212739 CAPLUS
DOCUMENT NUMBER: 110:212739
TITLE: Synthesis and some reactions of 4-aryl-1-(2H)-phthalazinones
AUTHOR(S): El-Khamry, Abdel Momen A.; Soliman, A. Y.; Afify, A. A.; Sayed, M. A.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Abbassia, Egypt
SOURCE: Oriental Journal of Chemistry (1988), 4(3), 318-22
CODEN: OJCHEG; ISSN: 0970-020X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

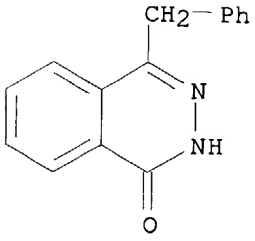


I

AB Arylphthalazinones I (R = Ph, CH2Ph, R1 = H) were prepared Acetylation with AcOH/Ac2O gave I (R = Ph, R1 = Ac) and its o-acetyl isomer which rearranged to I (R = Ph, R1 = Ac) on further heating. Other derivs. prepared were I (R = Ph, R1 = succinoyl, phthaloyl, maleyl, phthalimidomethyl, benzamidomethyl, 2-hydroxynaphthylmethyl, 5-Cl-2-HOC6H3CH2, COH:CHR2, R2 = p-MeOC6H4, m-O2NC6H4, m-ClC6H4, 3,4-CH2O2C6H3; R = CH2Ph, R1 = benzamidomethyl, phthalimidomethyl).

IT **32003-14-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(Mannich reactions of, with benzamide and phthalimide)

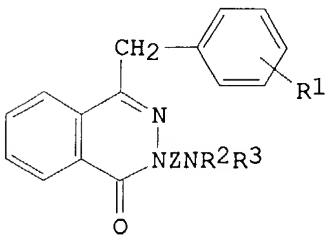
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:192838 CAPLUS
DOCUMENT NUMBER: 110:192838
TITLE: Preparation of 2-(aminoalkyl)-4-benzyl-1(2H)-phthalazinones as antiasthmatics and allergy inhibitors
INVENTOR(S): Engel, Juergen; Scheffler, Gerhard
PATENT ASSIGNEE(S): Asta Pharma A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3813531	A1	19881110	DE 1988-3813531	19880422
ZA 8802639	A	19881228	ZA 1988-2639	19880414
EP 289881	A2	19881109	EP 1988-106460	19880422
EP 289881	A3	19900207		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DK 8802319	A	19881103	DK 1988-2319	19880428
JP 01013073	A2	19890117	JP 1988-104418	19880428
FI 8802020	A	19881103	FI 1988-2020	19880429
NO 8801899	A	19881103	NO 1988-1899	19880429

PRIORITY APPLN. INFO.: DE 1987-3714712 19870502
OTHER SOURCE(S): CASREACT 110:192838; MARPAT 110:192838
GI

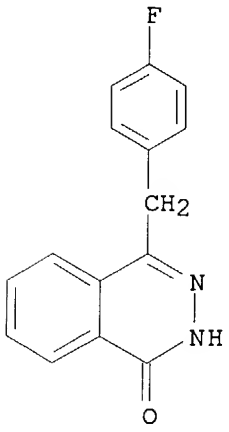


I

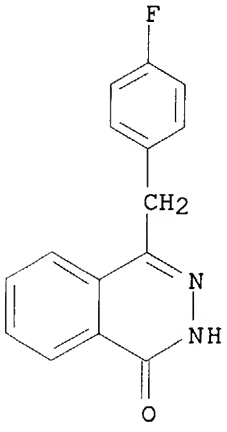
AB The title compds. [I; R1 = H, C1-6 alkyl, CF3, C1-6 alkoxy, Br, Cl, F; R2 = H, C1-6 alkyl, C3-8 cycloalkyl, Ph, PhCH2; R3 = C1-6 alkyl, C3-6 alkenyl, C3-6 alkynyl, C3-8 cycloalkyl, (un)substituted Ph, phenyl-C1-6 alkyl; Z = C2-6 alkylene, C2-6 alkenylene] and their acid salts were prepared as antiallergic and antiasthmatic agents. 4-(p-Fluorobenzyl)-1(2H)-phthalazinone K salt, prepared from the free base, and PhCH2NMeCH2CH2Cl, prepared in 4 steps from PhCH2NHMe and ethylene oxide, were heated 5 h in

AcNMe2 to give I (R1 = 4-F, R2 = Me, R3 = PhCH2, Z = CH2CH2), purified by preparation and decomposition of its oxalate, and converted to its hydrochloride
(II) in 60% yield. In the allergic asthma test in guinea pigs I had min. EDs of 0.3 mg/kg orally and 0.1 mg/kg i.v. Capsules containing 5 mg of II were prepared from a mixture of II 5, CaHPO4 111.7, gelatin 2.3, cornstarch 20.9, and Polysorbate 80 0.1 g.

IT **120359-17-3**
RL: PROC (Process)
(conversion of, to potassium salt)
RN 120359-17-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

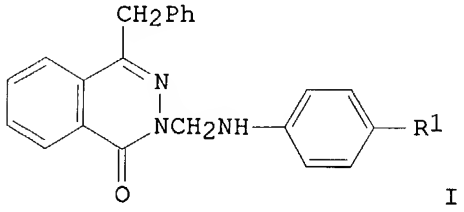


IT **119779-08-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and aminoalkylation of, in preparation of antiasthmatics)
RN 119779-08-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-fluorophenyl)methyl]-, calcium salt (9CI) (CA INDEX NAME)

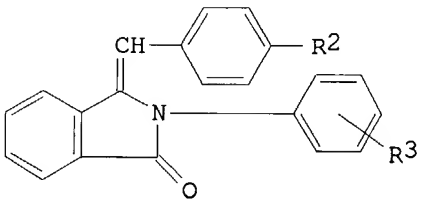


●1/2 Ca

L10 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:57598 CAPLUS
DOCUMENT NUMBER: 110:57598
TITLE: Synthesis of biologically active 4-benzyl-1(2H)-phthalazinones and 2-aryl-3(2H)-1-benzalisoindolinones
AUTHOR(S): Bedair, A. H.; Lamphon, R. Q.; El Ghazal, S. A.
CORPORATE SOURCE: Fac. Educ., King Abdul-Aziz Univ., Madinh Munawwarah, Saudi Arabia
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1987), 329(4), 675-80
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:57598
GI



I

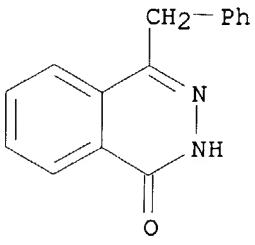


II

AB A phthalazinone derivative was treated with HCHO and anilines to give Mannich reaction products I (R1 = CH2CO2H, H, CO2Et), which showed bactericidal activity. Also prepared, from benzylidenephthalides and anilines, were isoindolinones II (R2 = H, NO2; R3 = Ac, Ph, NHPh, OEt, SO2NH2, substituted sulfamoyl).

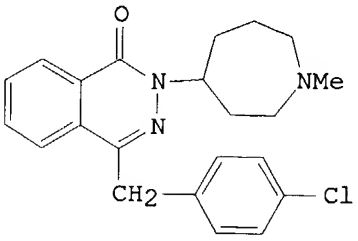
IT **32003-14-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(Mannich reaction of, with formaldehyde and anilines)

RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:590341 CAPLUS
DOCUMENT NUMBER: 109:190341
TITLE: Synthesis and x-ray structure analysis of azelastine
AUTHOR(S): Scheffler, Gerhard; Engel, Juergen; Kutscher, Bernhard; Sheldrick, William S.; Bell, Peter
CORPORATE SOURCE: Asta Pharma A.-G., Frankfurt/Main, D-6000, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1988),

321(4), 205-8
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 109:190341
GI

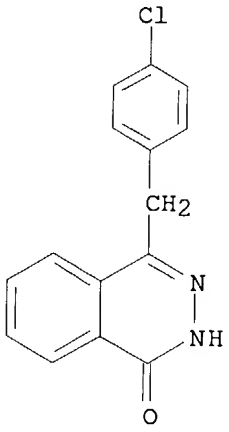


I

AB Azelastine (I) was prepared from phthalic anhydride by 2 routes. The crystal structure of I.H2O shows 2 independent mols. with considerable conformational differences whose effect on pharmacol. activity is discussed.

IT **53242-88-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with chloroethylpyrrolidine)

RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

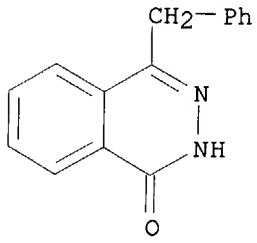


L10 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:406531 CAPLUS
DOCUMENT NUMBER: 109:6531
TITLE: Preparation of 2-carboxyalkyl-4-aralkylphthalazine derivatives as aldose reductase inhibitors and a process for preparing them
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

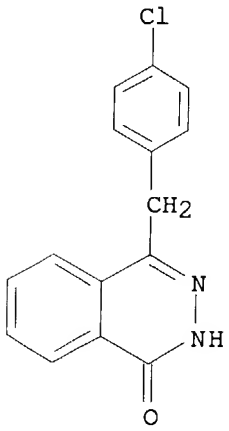
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62252774	A2	19871104	JP 1987-66871	19870319

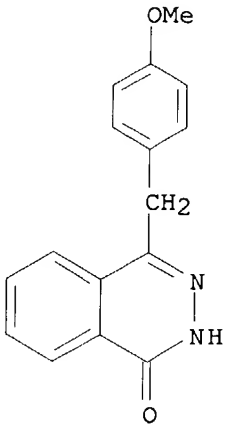
PRIORITY APPLN. INFO.: GB 1986-10018 19860424
OTHER SOURCE(S): CASREACT 109:6531
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = lower aralkyl bearing ≥1 substituent; R2 = (un)protected CO2H; A = O, S; Z = alkylene] (II) useful for inhibiting aldose reductase, were prepared BrCH2CO2Et 0.76 mL was added dropwise at 0° to a stirred mixture of 1.6 g 4-(3,4-dichlorobenzyl)-1,2-dihydro-1-oxophthalazine and NaH in DMF and the mixture was stirred 1 h to give 1.65 g I (R1 = 3,4-Cl2C6H3CH2, ZR2 = CH2CO2Et, A = O). I (R1 = 4,2-BrFC6H3OH2, ZR2 = CH2CO2H, A = O) inhibited aldose reductase prepared from homogenized rabbit's eyes with an IC50 of 3.7 + 10-8M.
IT **32003-14-8P 53242-88-9P 57835-95-7P**
114897-94-8P 114897-95-9P 114897-96-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for aldose reductase inhibitor)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



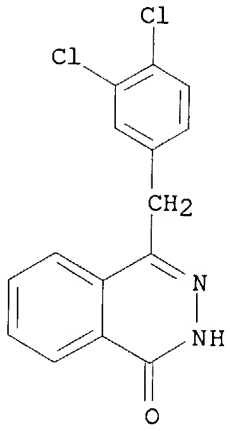
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



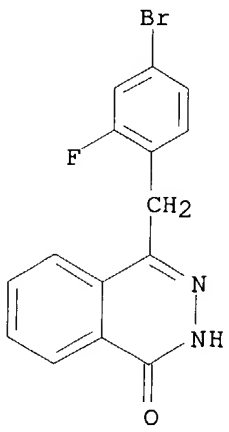
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



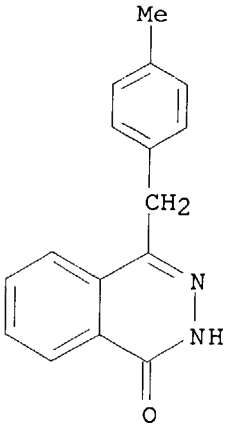
RN 114897-94-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(3,4-dichlorophenyl)methyl]- (9CI) (CA INDEX NAME)



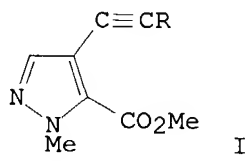
RN 114897-95-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-bromo-2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



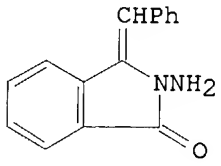
RN 114897-96-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methylphenyl)methyl]- (9CI) (CA INDEX NAME)



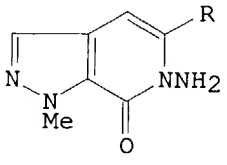
L10 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1988:37758 CAPLUS
DOCUMENT NUMBER: 108:37758
TITLE: Synthesis and heterocyclization of acetylene derivatives of phenyl- and pyrazolylcarboxylic acid hydrazides
AUTHOR(S): Pozdnyakov, A. V.
CORPORATE SOURCE: Novosib. Gos. Univ., Novosibirsk, USSR
SOURCE: Mater. Vses. Nauchn. Stud. Konf. "Stud. Nauchno-Tekh. Prog.": Khim., 22nd (1984), 26-30. Editor(s): Rait, V. K. Novosib. Gos. Univ.: Novosibirsk, USSR. CODEN: 55LIA9
DOCUMENT TYPE: Conference
LANGUAGE: Russian
GI



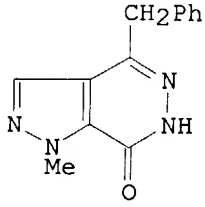
I



II



III

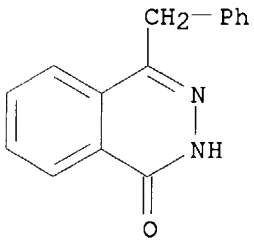


IV

AB Reaction of appropriate iodo compds. with RC.tplbond.CH in the presence of Pd(PPh3)2Cl2-CuI gave 2-RC.tplbond.CC6H4CO2Me (R = Ph, PhOCH2, HOCMe2) and pyrazolecarboxylates I (R = Ph, PhOCH2, morpholinomethyl). These on hydrazinolysis and ring closure with alkali gave methylenephthalimide II

or pyrazolopyridines III. Cyclization with Cu(I) in DMF gave pyridazines such as IV.

IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

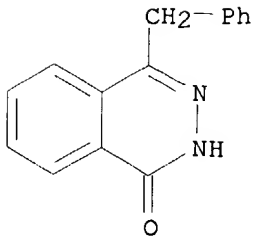


L10 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1987:95582 CAPLUS
DOCUMENT NUMBER: 106:95582
TITLE: Cytotoxic effects of derivatives of 1-benzylideneisoindolin-3-one and dihydrophthalazine prepared from narceine and narcein imide on leukemia P388 cells
AUTHOR(S): Fuska, J.; Fuskova, A.; Proksa, B.
CORPORATE SOURCE: Dep. Chem. Technol. Zivot. Prostr., Slov. Vys. Sk. Tech., Czech.
SOURCE: Zbornik Prac Chemickotechnologickej Fakulty SVST (1986), Volume Date 1979-1981 285-91
CODEN: ZPCTA7; ISSN: 0524-2185
DOCUMENT TYPE: Journal
LANGUAGE: Slovak
GI

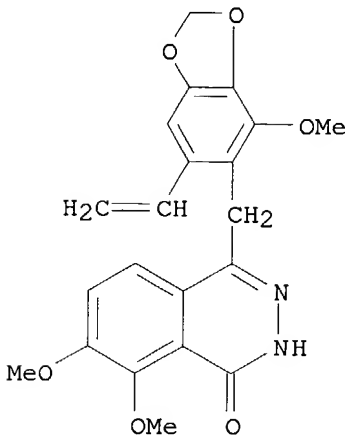
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Twenty-one title compds. (I; R = CH2Me, CH2:CH2, CHOHMe, CH2CH2NMe2, CH2CH2NOMe2, CH2CH2NAcMe; X = O, NH; II; X = O, NH; III; R = CH2:CH2, CH2CH2NMe2; X = O, NH, NPh; IV; X = O, NH, NMe, NPh; V; X = O, NH, NPh) were prepared from narceine or narceine imide by previously described methods. Study of the cytostatic effects of the compds. in leukemia P388 cultures showed that nearly half of the compds. inhibited uridine incorporation, but only 3 compds. affected incorporation of thymidine and L-valine. The cytotoxic effects of the compds. were relatable not only to the types and positions of the substituents but to stereoisomerism as well.

IT **32003-14-8P 76110-83-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and neoplasm-inhibiting activity of, structure in relation to)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 76110-83-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



L10 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1986:102050 CAPLUS
DOCUMENT NUMBER: 104:102050
TITLE: New cytotoxic and antitumor agents. VII. Derivatives of 1-benzylidenisoindolin-3-one and 5,6-dihydro-8H-isoquinolo(2,3-a)phthalazin-5-one
AUTHOR(S): Fuska, J.; Fuskova, A.; Proksa, B.
CORPORATE SOURCE: Fac. Chem. Technol., Slovak Tech. Univ., Bratislava, 812 37, Czech.
SOURCE: Neoplasma (1985), 32(4), 407-14
CODEN: NEOLA4; ISSN: 0028-2685
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

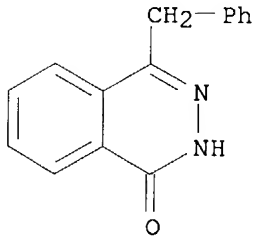
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The in vitro cytotoxicity (P388 murine leukemia) of derivs. and analogs of the title compds. (I; R = Et, vinyl, diethylaminoethyl, etc.; II; X = NH or O; III; X = O, NH, or NPh and R = vinyl or diethylaminoethyl; IV; X = O, NH, NPh, or NMe; and V; X = O, NH, or NPh) was evaluated. I, which preferentially inhibited cellular uridine [58-96-8] incorporation, were the most effective cytotoxic agents; the cytotoxicity of these agents

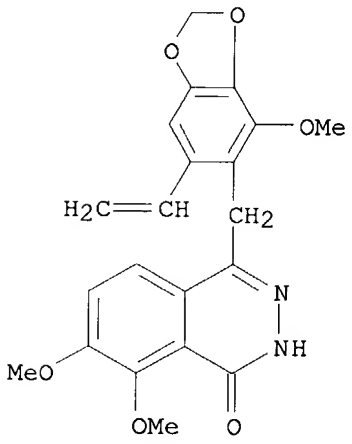
dependented on the substituents, presence of a N atom in 5-membered heterocycle as well as the spatial arrangement of the mol. The isoquinolophthalazine (V; X = NPh) was also potent in inhibiting P388 cell proliferation.

IT **32003-14-8 76110-83-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(neoplasm-inhibiting activity of)

RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



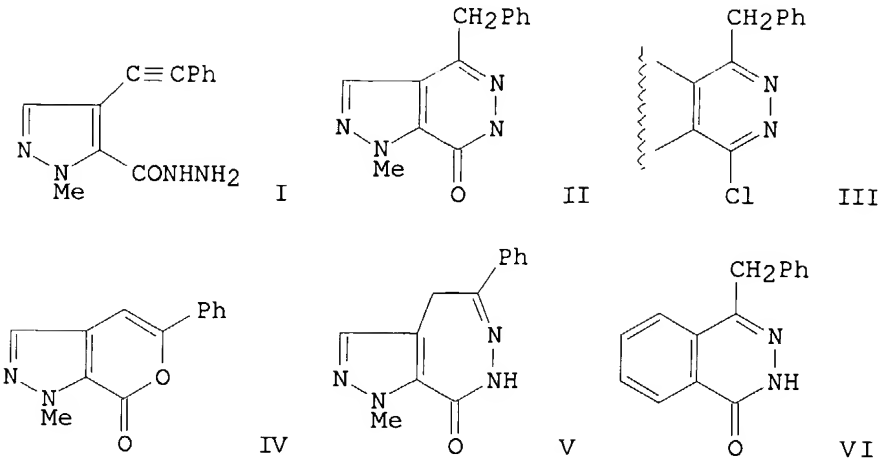
RN 76110-83-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



L10 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	1986:34057 CAPLUS
DOCUMENT NUMBER:	104:34057
TITLE:	Cyclization of hydrazides of vicinal phenylethynyl derivatives of N-methylpyrazole-5-carboxylic and benzoic acids
AUTHOR(S):	Vasilevskii, S. F.; Pozdnyakov, A. V.; Shvartsberg, M. S.
CORPORATE SOURCE:	Inst. Khim. Kinet. Goren., Novosibirsk, USSR
SOURCE:	Izvestiya Akademii Nauk SSSR, Seriya Khimicheskaya (1985), (6), 1367-70 CODEN: IASKA6; ISSN: 0002-3353
DOCUMENT TYPE:	Journal
LANGUAGE:	Russian

OTHER SOURCE(S): CASREACT 104:34057
GI

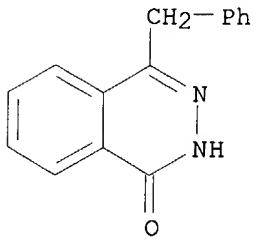


AB Treating hydrazide I with CuCl in DMF gave 70.6% pyrazolopyridazine II which was chlorinated by POCl3 to give 59.3% III. Treating pyranopyrazole IV with N2H4.H2O gave 59.6% pyrazolodiazepinone V. Analogously obtained were the corresponding derivs. from (phenylethynyl) benzoic acid, e.g., benzopyridazinone VI.

IT **32003-14-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and chlorination of)

RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1984:630294 CAPLUS

DOCUMENT NUMBER: 101:230294

TITLE: Thioarylidenephthalides and related compounds. Part VI. A study on dichlorophthalides, phthalimides and their thio analogs

AUTHOR(S): El-Maghraby, A. A.; Bedair, A. H.; El-Sharief, A. M. S.; Ammar, Y. A.

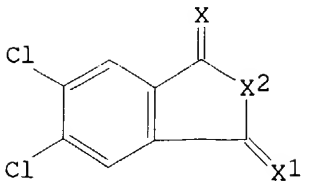
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr, Egypt

SOURCE: Egyptian Journal of Chemistry (1983), 26(5), 389-400
CODEN: EGJCA3; ISSN: 0367-0422

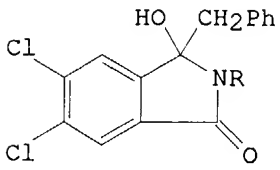
DOCUMENT TYPE: Journal

LANGUAGE: English

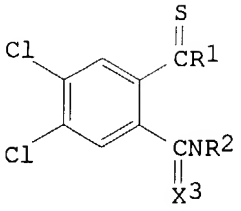
OTHER SOURCE(S): CASREACT 101:230294
GI



I



III



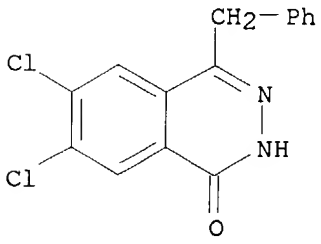
IV

AB Benzalphthalide I (X = CHPh, X1 = X2 = O) reacted with amines to give I (X = CHPh, X1 = O, X2 = NR; R = Ph, substituted Ph, 1-naphthyl, cyclohexyl) (II). Similar treatment of phthalic anhydride I (X-X2 = O) gave I (X = X1 = O, X2 = NR, same R's). Grignard alkylation of the last with PhCH2MgCl gave phthalimidines III, which gave II on dehydration. Phthalimides I (X = X1 = O, X2 = NR; R = Ph, cyclohexyl, C6H4Me-4, C6H4Cl-4) underwent mono- and disulfuration with P2S5 to give mono- and dithiones I (X = S; X1 = S, O; X2 = NR). The dithiones I (X = X1 = S, X2 = NPh, NC6H4Me-4) and Ph2CN2 gave I (X = S, X1 = CPh2, same X2). Treating dithiones I (X = X1 = S, X2 = NC6H4Me-4, NC6H4Cl-4) with Grignard reagents led to ring opened products IV (R1 = C6H4Me-4, R2 = Ph, CMe3, X3 = S; R1 = C6H4Cl-4, R2 = CHMe2, X3 = O, N; R2 = Pr, X3 = O).

IT **93296-37-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 93296-37-8 CAPLUS

CN 1(2H)-Phthalazinone, 6,7-dichloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

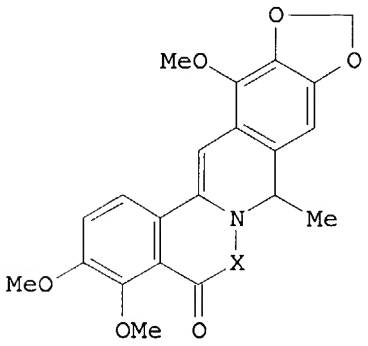


L10 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1984:121096 CAPLUS
DOCUMENT NUMBER: 100:121096
TITLE: Tetracyclic compounds derived from narceonic acid
INVENTOR(S): Proksa, Bohumil; Voticky, Zdeno; Cerny, Jozef
PATENT ASSIGNEE(S): Czech.
SOURCE: Czech., 2 pp.
CODEN: CZXXA9

DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 203756	B	19810331	CS 1979-2349	19790406

PRIORITY APPLN. INFO.: CS 1979-2349 19790406
GI



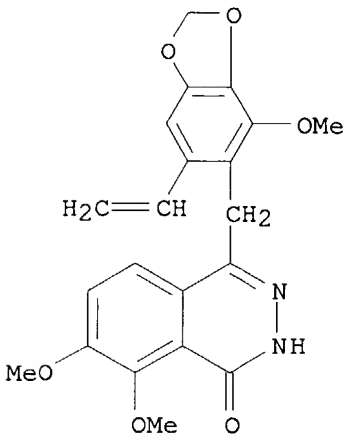
II, X=NR
III, X=O

AB Refluxing narceonic acid (I) in EtOH solution with N2H4.H2O or PhNHNH2 gave, resp., 1-(6-ethenyl-2-methoxy-3,4-methylenedioxy)benzyl-3,4-dihydro-5,6-dimethoxyphthalazin-4-one and its 3-Ph derivative which cyclized in boiling 5% alc. HCl to yield the tetracyclic derivs. II (R = H, Ph). Refluxing I with NH2OH.HCl in EtOH-pyridine gave 4-(6-ethenyl-3,4-methylenedioxy-2-methoxy)benzyl-7,8-dimethoxy-1H-benzo[d][1,2]oxazin-1-one which was cyclized as above to give the tetracyclic derivative III.

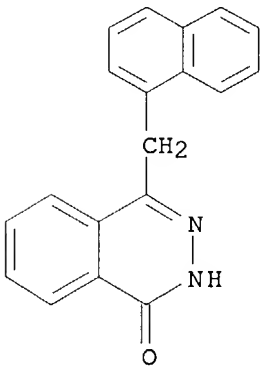
IT **76110-83-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and intramol. cyclization of)

RN 76110-83-3 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)

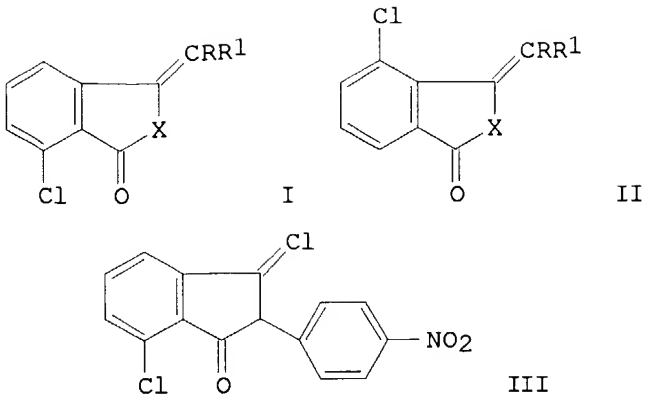


L10 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:612381 CAPLUS
DOCUMENT NUMBER: 99:212381
TITLE: Thioarylidenephthalides and related compounds. V. Some new classes of phthalimidines: synthesis of 2-substituted-3-(α-naphthal or p-tolylsulfonamidobenzal)phthalimidines
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Ismail, I. M.; Aly, F. M.; Mohamed, Y. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Egypt
SOURCE: Egyptian Journal of Chemistry (1983), Volume Date 1982, 25(4), 343-55
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:212381
AB 3-(α-Naphthal)pythalimidines and 2-thio and 1-thio-3-(α-naphthal)phthalides were prepared and some of their reactions were investigated. 3-(p-Tolylsulfonamidobenzal)phthalides as well as 4-chloro & 7-chloro-3-(p-tolylsylfonamidobenzal)phthalides and some (p-tolylsulfonamideobenzal)phthalimidines were synthesized.
IT **87849-90-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 87849-90-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1-naphthalenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:575527 CAPLUS
DOCUMENT NUMBER: 99:175527
TITLE: Regioisomers in the Perkin reaction. V. Interaction of 3-chlorophthalic anhydride and 4-chlorophthalimide with active methylene compounds
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. Sh.; Bedair, A. H.; Hammad, N. E.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1983), Volume Date 1982, 25(3), 251-61
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:175527

GI

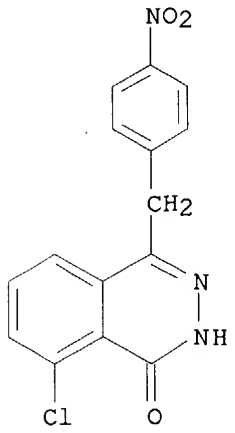


AB The title reactions gave mixts. of I and II (X = O, NH; R = H, CONH2, cyano; R1 = Ph, C6H4NO2-4). I and II (X = O, R = H, R1 = C6H4NO2-4) rearranged to the indandione III on treatment with base. Reactions of I and II (X = O, R = H, R1 = C6H4NO2-4) with amines, hydrazines, and P2S5 are also reported.

IT **87485-72-1P 87485-74-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

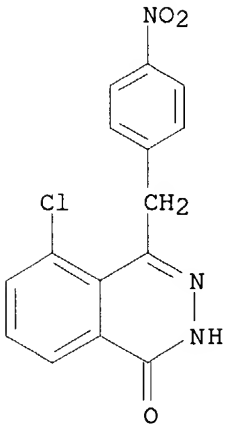
RN 87485-72-1 CAPLUS

CN 1(2H)-Phthalazinone, 8-chloro-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 87485-74-3 CAPLUS

CN 1(2H)-Phthalazinone, 5-chloro-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

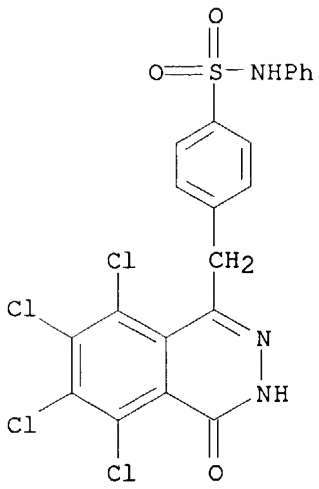


L10 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:453512 CAPLUS
DOCUMENT NUMBER: 99:53512
TITLE: Action of Grignard reagents on phthalides, phthalimides and related compounds. Part II. Interaction of tetrachloro-3-(p-N-arylsulfonamidobenzal)phthalides with Grignard reagents, hydrazine hydrate and amines
AUTHOR(S): El-Sharief, A. M. S.; El-Maghraby, A. A.; El-Said, A. S.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1983), 22B(1), 87-90
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:53512
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

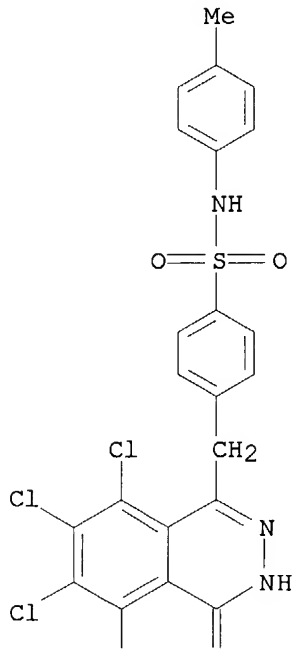
AB The tetrachlorophthalides I (R = Ph, p-MeC6H4), prepared from p-(RNHSO2)C6H4CH2CO2H and phthalic anhydride, reacted with Grignard reagents to give the diketones II (R1 = Ph, Pr, Bu) and indones III (R1 = PhCH2, Et, Bu). III were also prepared from indandiones and Grignard reagents. I reacted with H2NNH2 and amines to give phthalazones IV and phthalimidines V [R2 = (un)substituted phenyl].

IT **86355-25-1P 86355-26-2P 86355-27-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 86355-25-1 CAPLUS
CN Benzenesulfonamide, N-phenyl-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 86355-26-2 CAPLUS
CN Benzenesulfonamide, N-(4-methylphenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

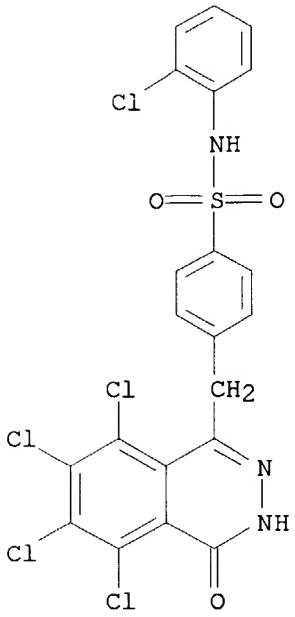
PAGE 1-A



PAGE 2-A

RN 86355-27-3 CAPLUS
CN Benzenesulfonamide, N-(2-chlorophenyl)-4-[(5,6,7,8-tetrachloro-3,4-dihydro-

4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



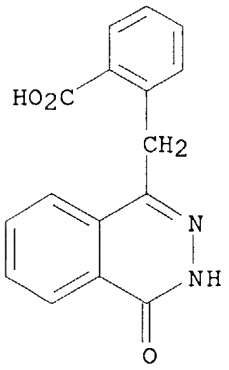
L10 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1983:16635 CAPLUS
DOCUMENT NUMBER: 98:16635
TITLE: Isoquinolino[3,2-a]phthalazine-5,8-diones
AUTHOR(S): Dusemund, Juergen
CORPORATE SOURCE: Inst. Pharm., Freie Univ. Berlin, Berlin, 1000/33, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1982), 315(11), 925-30
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 98:16635
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Cyclizing 2-(2-HO2CC6H4CH2CO)C6H4CO2H (I) with R1NHNH2 (R1 = H, Me, CH2Ph, Me3C) in refluxing EtOH for 4 h gave phthalazinones II. Refluxing II (R = H) in Ac2O gave 95% isoquinophthalazinone III, which was also prepared from benzopyranone IV and H2NNH2 via acetal V. MeNHNHMe and I gave spiro compound VI.

IT **83983-03-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization or methylation of)

RN 83983-03-3 CAPLUS
CN Benzoic acid, 2-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



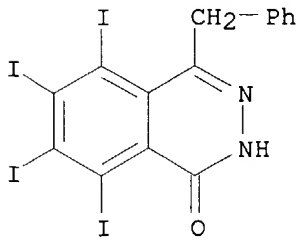
L10 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:587182 CAPLUS
DOCUMENT NUMBER: 95:187182
TITLE: 4,5,6,7-Tetraiodo-3-benzalphthalides and related compounds
AUTHOR(S): Islam, A. M.; El-Shafie, S. M. M.
CORPORATE SOURCE: Chem. Dep., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1980), Volume Date 1979, 22(2), 135-41
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Treating title compds. I (R = H, NO2, NHAc), obtained by condensing tetraiodophthalic anhydride with 4-RC6H4CH2CO2H, with N2H4 and aniline gave 63-79% II and 11-47% III, resp. Exposing I to direct sunlight in benzene gave 17-32% IV.

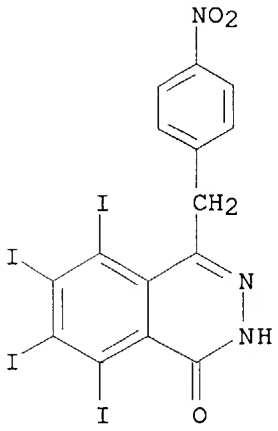
IT **78298-78-9P 78298-79-0P 78298-80-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 78298-78-9 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetraiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

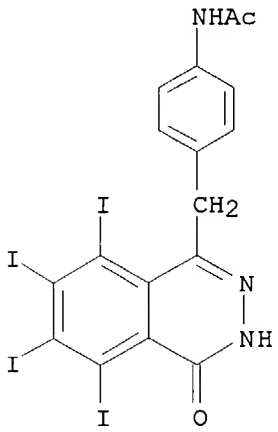


RN 78298-79-0 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetraiodo-4-[(4-nitrophenyl)methyl]- (9CI)

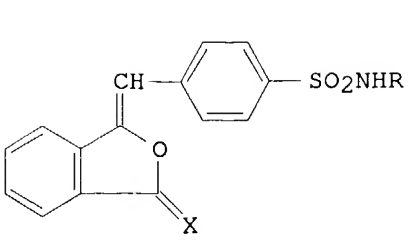
(CA INDEX NAME)



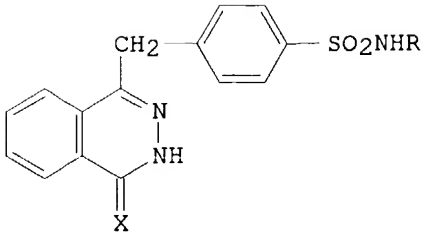
RN 78298-80-3 CAPLUS
CN Acetamide, N-[4-[(3,4-dihydro-5,6,7,8-tetraiodo-4-oxo-1-phthalazinyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:462106 CAPLUS
DOCUMENT NUMBER: 95:62106
TITLE: Action of phosphorus pentasulfide on the products of interaction of p-sulfamoylphenylacetic acids with phthalic anhydride
AUTHOR(S): Islam, A. M.; El-Maghraby, A. A.; El-Sharief, A. M. S.; Aly, F. M. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1980), Volume Date 1979, 22(3), 209-22
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 95:62106
GI



I



II

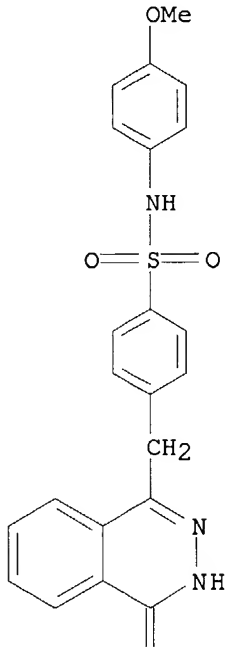
AB Treating sulfamoylarylidenephthalides I (R = Ph, 2-, 3-, 4-MeC6H4, 2-, 4-MeOC6H4, 2-, 4-ClC6H4, 4-BrC6H4; X = O), prepared from 4-RNHSO2C6H4CH2CO2H and phthalic anhydride, with P2O5 gave 60-70% I (X = S). II (X = S) were similarly prepared from II (X = O), obtained by treating I (X = O) with N2H4.

IT **78001-36-2P 78001-37-3P 78298-01-8P**
78298-02-9P 78298-03-0P 78298-04-1P
78298-05-2P 78298-06-3P 78298-07-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with phosphorus pentasulfide)

RN 78001-36-2 CAPLUS

CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

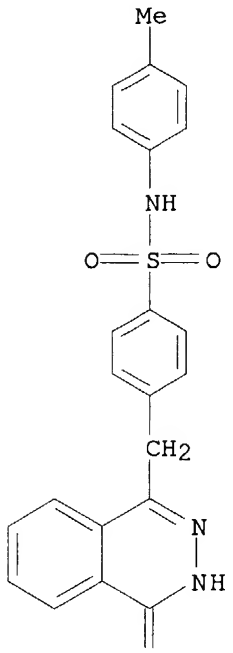
PAGE 1-A



PAGE 2-A

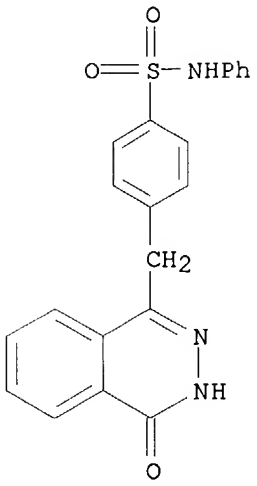
RN 78001-37-3 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

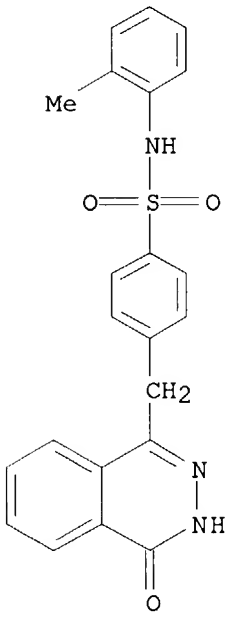


PAGE 2-A

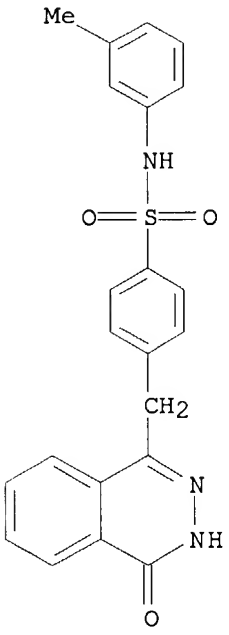
RN 78298-01-8 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-phenyl- (9CI) (CA INDEX NAME)



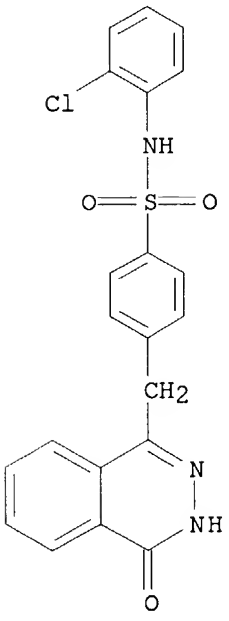
RN 78298-02-9 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 78298-03-0 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)

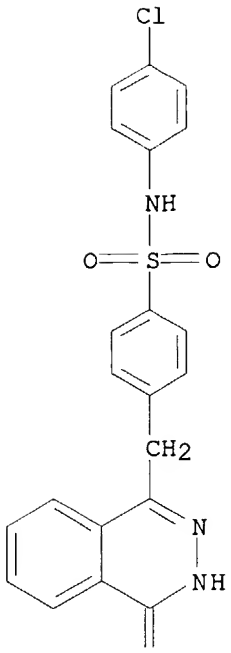


RN 78298-04-1 CAPLUS
CN Benzenesulfonamide, N-(2-chlorophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)



RN 78298-05-2 CAPLUS
CN Benzenesulfonamide, N-(4-chlorophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

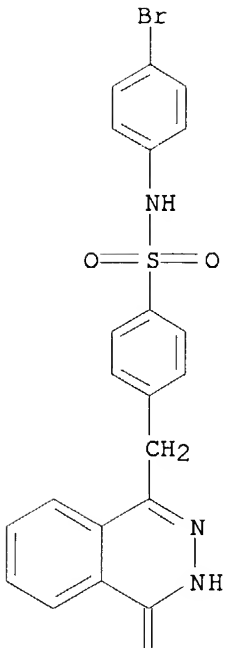
PAGE 1-A



PAGE 2-A

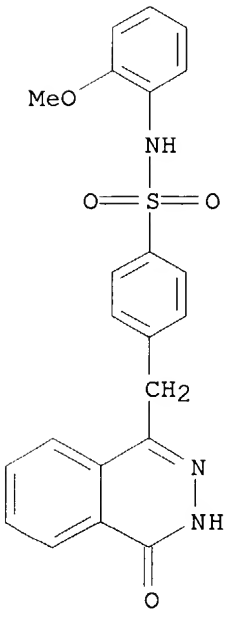
RN 78298-06-3 CAPLUS
CN Benzenesulfonamide, N-(4-bromophenyl)-4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

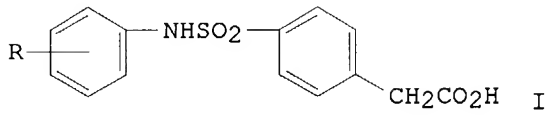


PAGE 2-A

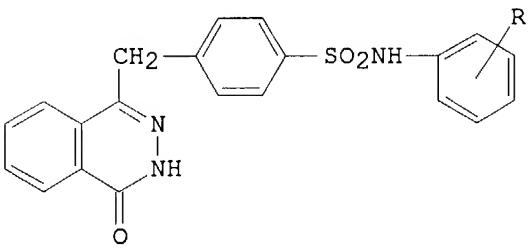
RN 78298-07-4 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:460757 CAPLUS
DOCUMENT NUMBER: 95:60757
TITLE: Proton magnetic resonance spectra of some p-(N-arylsulfamido)phenylacetic acids and 4-(p-N-arylsulfamido)benzylphthalazones
AUTHOR(S): Islam, A. M.; Ibrahim, E. H.; El-Maghraby, A. A.; Aly, F. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1980), Volume Date 1979, 22(5), 389-92
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



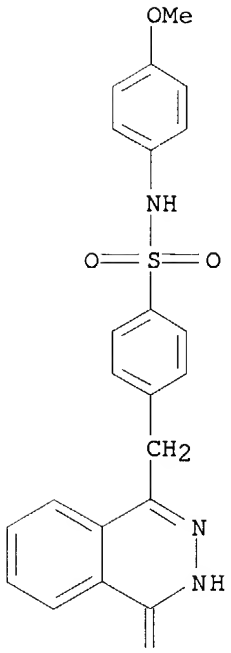
I



II

AB The 1H NMR of title compds. I (R = m-Me, p-MeO, p-Br, p-Cl) and II (p-MeO, p-Me) were compared.
IT **78001-36-2 78001-37-3**
RL: PRP (Properties)
(NMR of)
RN 78001-36-2 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

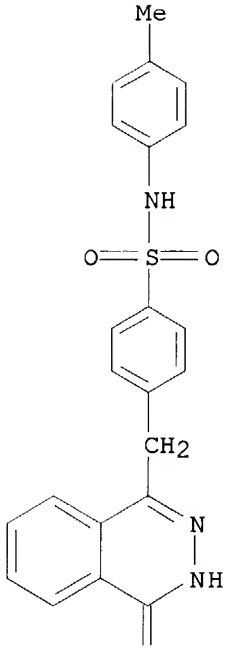
PAGE 1-A



PAGE 2-A

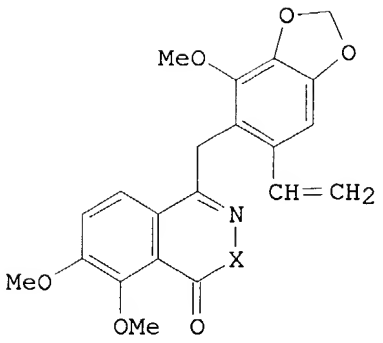
RN 78001-37-3 CAPLUS
CN Benzenesulfonamide, 4-[(3,4-dihydro-4-oxo-1-phthalazinyl)methyl]-N-(4-methylphenyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

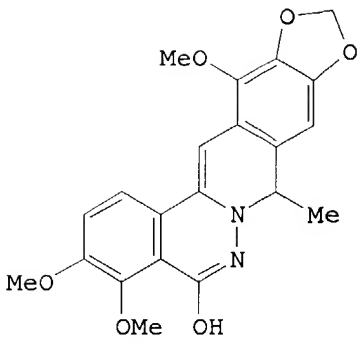


PAGE 2-A

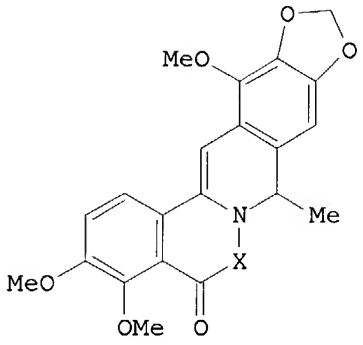
L10 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:30685 CAPLUS
DOCUMENT NUMBER: 94:30685
TITLE: 5,6-Dihydro-8H-isoquinolo[2,3-a]phthalazin-5-ones and 8H-isoquinolo[2,3-c][2,3] benzoxazin-5-ones
AUTHOR(S): Proksa, B.; Voticky, Z.
CORPORATE SOURCE: Slovakofarma, Hlohovec, 920 27, Czech.
SOURCE: Chemicke Zvesti (1980), 34(2), 241-7
CODEN: CHZVAN; ISSN: 0366-6352
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 94:30685
GI



I



II



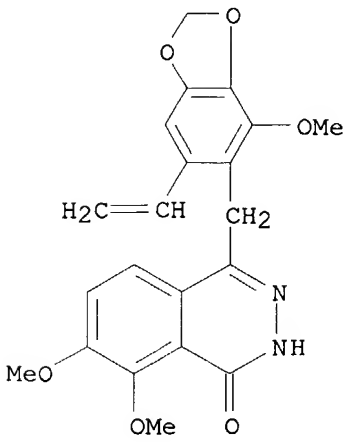
III

AB Condensing narceonic acid, prepared from narceine, with hydrazines or with NH2OH gave benzyldihydrophthalazinones I (X = NH, NPh) or benzylbenzoxazinone I (X = O),resp., which cyclize in acid medium to give dihydroisoquinolinophthalazinones II and III (X = NPh) and isoquinolinobenzoxazinones III (X = O), resp.

IT **76110-83-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 76110-83-3 CAPLUS

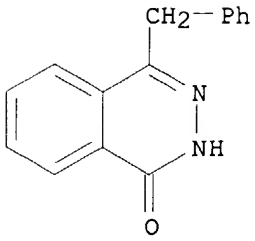
CN 1(2H)-Phthalazinone, 4-[(6-ethenyl-4-methoxy-1,3-benzodioxol-5-yl)methyl]-7,8-dimethoxy- (9CI) (CA INDEX NAME)



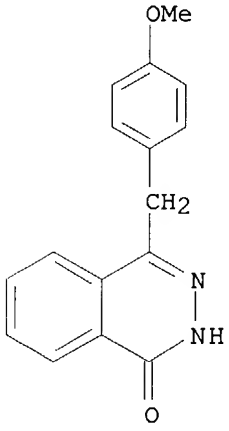
L10 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1981:9988 CAPLUS
DOCUMENT NUMBER: 94:9988
TITLE: Heat developable light-sensitive materials
INVENTOR(S): Ikenoue, Shinpei; Masuda, Takao; Sakawaki, Shinichi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4207112	A	19800610	US 1975-628675	19751103
JP 51052818	A2	19760510	JP 1974-126716	19741101
JP 54020329	B4	19790721		

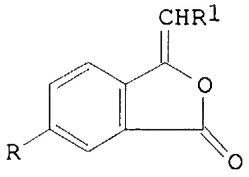
PRIORITY APPLN. INFO.: JP 1974-126716 19741101
GI For diagram(s), see printed CA Issue.
AB Heat-developable photoimaging materials comprised of a support and ≥1 layer containing an organic Ag salt, a photosensitive Ag halide or a photosensitive Ag halide-forming component, a reducing agent, and phthalazinone are coated on the opposite surface of the support with a layer containing a compound selected from I, II, and III (R1-R4 = H, halo, alkyl, alkoxy, NO2, NH2, OH; R5 = H, alkyl, alkoxy, aryl, pyridyl, vinyl; R7-R10 = H, halo, OH, alkyl, aryl, NH2, alkyl-substituted NH2, NO2, alkoxy, thioalkoxy, acylamido; R11 = H, aryl, pyridyl, 2-(2-pyridyl)ethyl, 2-(4-pyridyl)ethyl, benzoyl, Me; R6, R12, R13 = H or a monovalent metal; Q = atoms necessary to complete a heterocyclic ring). The use of the back layer improves the retention of the properties of the freshly manufactured photoimaging materials, especially in those situations where the photoimaging materials are stacked in a superload condition upon other such photoimaging materials. Thus, a photosensitive composition containing Ag laurate, N-bromosuccinimide, poly(vinyl butyral), a merocyanine dye, phthalazinone, and 2,2-bis(3,5-dimethyl-4-hydroxyphenyl)propane was coated on an art paper support having a phthalazinone-containing back layer to give a photoimaging film, the film cut into 10 sheets, the sheets superposed upon one another so that the photosensitive layer of one came into contact with the back layer of another sheet, the stack tightly sealed into a vinyl polymer bag, allowed to stand for 1 day at 50°, imagewise exposed to a W lamp, and heated at 135° to develop an image with a Dmaxof 1.36 and a Dmin of 0.08 vs. 0.30 and .apprx.0, resp., for a control film without the phthalazinone back layer.
IT **32003-14-8 57835-95-7**
RL: USES (Uses)
(coatings, back, for photothermog. films for improved storage stability)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



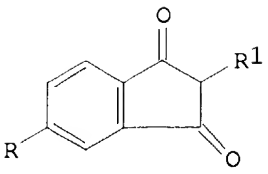
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



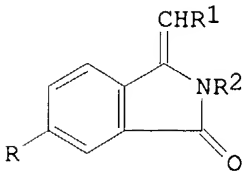
L10 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:407937 CAPLUS
DOCUMENT NUMBER: 93:7937
TITLE: Benzalphthalimidines and related compounds. Part VII. Aminobenzalphthalimidines
AUTHOR(S): Islam, A. M.; Hannout, I. B.; El-Maghraby, A. A.; Aly, F. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1978), Volume Date 1977, 20(1), 25-31
CODEN: EGJCA3; ISSN: 0367-0422
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:7937
GI



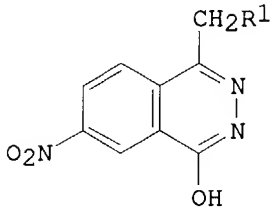
I



II



III



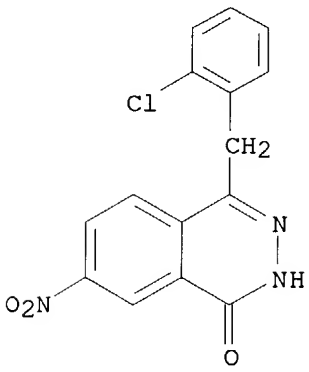
IV

AB Nitrobenzalphthalides I [R = NO2; R1 = 2-ClC6H4, 4-ClC6H4, 4-MeOC6H4, 3,4-(OCH2O)C6H3] were reduced by SnCl2-HCl in HOAc to give I (R = NH2). I (R = NO2, NH2) rearranged in refluxing MeOH containing NaOMe to give the indandiones II, and condensation of I (R = NO2, NH2) with R2NH2 (R2 = Ph, 4-MeC6H4, PhCH2, Bu, Me2CHCH2, α-naphthyl) gave benzalphthalimidines III. I (R = NO2; R1 = 2-ClC6H4, 4-ClC6H4) cyclized with N2H4 to give phthalazines IV.

IT **73878-43-0P 73878-44-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

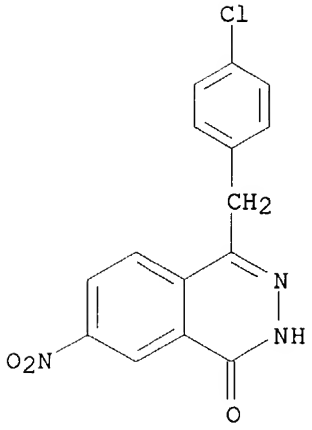
RN 73878-43-0 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(2-chlorophenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)

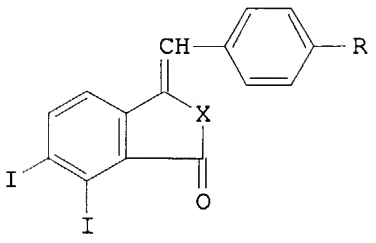


RN 73878-44-1 CAPLUS

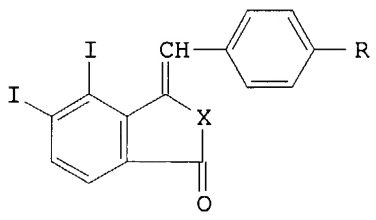
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



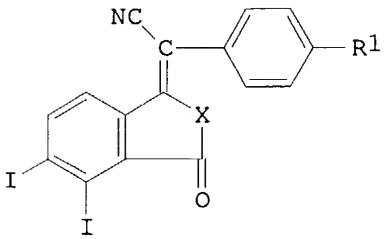
L10 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:597263 CAPLUS
DOCUMENT NUMBER: 89:197263
TITLE: Structural isomers in the Perkin reaction: Part IV. 4,5-diiodo- and 6,7-diiodo-3-benzalphthalides and their reactions
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; El-Maghraby, A. A.; Bedear, A. H.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(4), 301-4
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:197263
GI



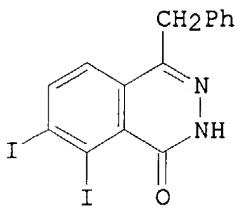
I



II



III



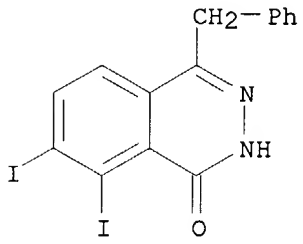
IV

AB Condensation of 3,4-diiodophthalic anhydride with 4-RC6H4CH2CO2H (R = H, NO2) gave isomeric diiodobenzalphthalides I and II (X = O). Similarly,

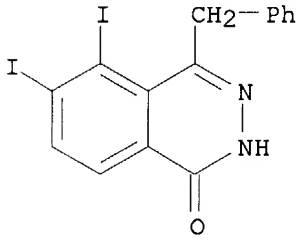
reaction of 4,5-diiodophthalimide with PhCH2CO2H gave phthalimidines I and II (X = NH; R = H). However, 4,5-diiodophthalimide reacted with 4-O2NC6H4CH2CO2H to give only I (X = NH; R = NO2). Reaction of 3,4-diiodophthalic anhydride and 4,5-diiodophthalimide with benzyl cyanides also gave only one isomer in each case, phthalides III (R1 = H, NO2; X = O) and phthalimidines III (R1 = H, NO2; X = NH), resp. Reactions of I and II (X = O) with N2H4 and amines were carried out and discussed. Thus, treatment of I (X = O; R = H) with N2H4 and PhNH2 gave phthalazinone IV and I (R = H; X = PhN), resp.

IT **68062-53-3P 68062-54-4P 68062-75-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

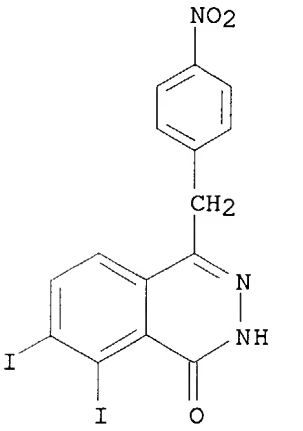
RN 68062-53-3 CAPLUS
CN 1(2H)-Phthalazinone, 7,8-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



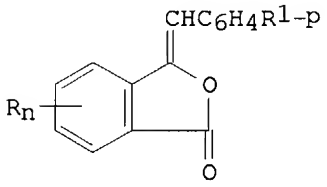
RN 68062-54-4 CAPLUS
CN 1(2H)-Phthalazinone, 5,6-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



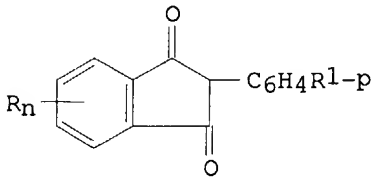
RN 68062-75-9 CAPLUS
CN 1(2H)-Phthalazinone, 7,8-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



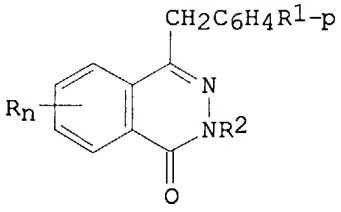
L10 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:597244 CAPLUS
DOCUMENT NUMBER: 89:197244
TITLE: Benzalphthalimidines and related compounds: Part XI. 5,6-Diiodo- and 4,6,7-triiodo-benzylidenephthalides and their reactions
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Bedair, A. H.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(7), 593-6
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:197244
GI



I



II

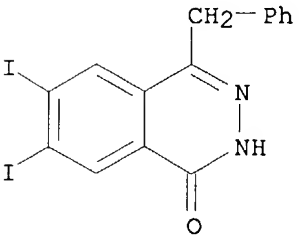


III

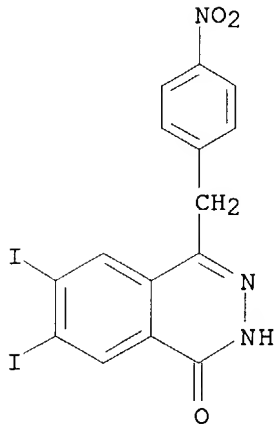
AB Iodo-3-benzylidenephthalides I (Rn = 5,6-di- or 4,6,7-triiodo, R1 = H, NO2) were prepared by treatment of the iodophthalic anhydrides with PhCH2CO2H. I underwent rearrangement in the presence of MeONa to give indandiones II and reacted with N2H4 or PhNHNH2 to give phthalazones III (R2 = H or Ph). The reactions of iodophthalimides are also described.

IT **68218-13-3P 68218-14-4P 68218-15-5P 68218-17-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

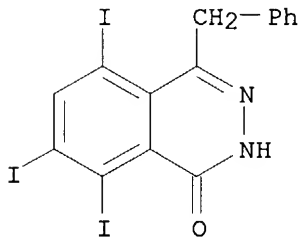
RN 68218-13-3 CAPLUS
CN 1(2H)-Phthalazinone, 6,7-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



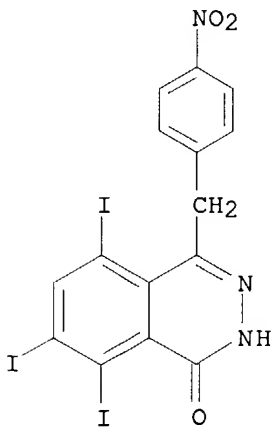
RN 68218-14-4 CAPLUS
CN 1(2H)-Phthalazinone, 6,7-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



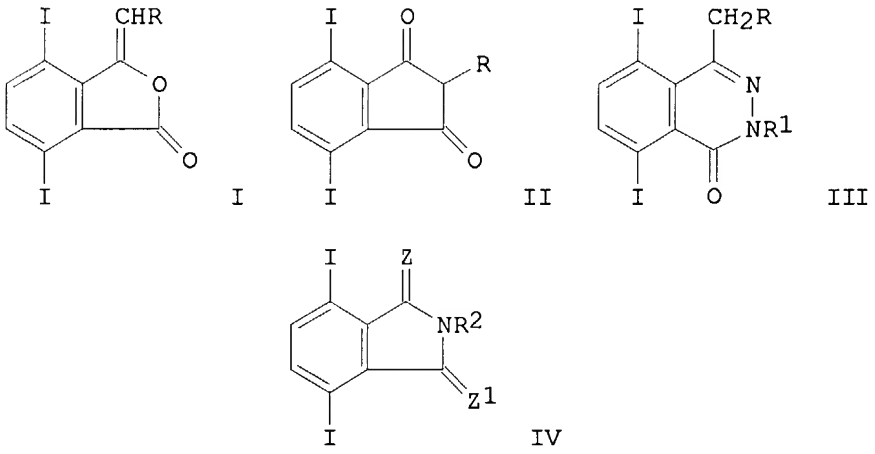
RN 68218-15-5 CAPLUS
CN 1(2H)-Phthalazinone, 5,7,8-triiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 68218-17-7 CAPLUS
CN 1(2H)-Phthalazinone, 5,7,8-triiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:546571 CAPLUS
DOCUMENT NUMBER: 89:146571
TITLE: Benzalphthalimidines and related compounds: part X. Condensation of 3,6-diiodophthalic anhydride with phenylacetic acids
AUTHOR(S): Islam, A. M.; El-Sharief, A. M. S.; Bedear, A. H.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(6), 491-5
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:146571
GI

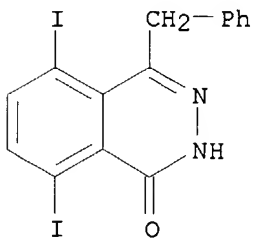


I II III IV

AB Reaction of 3,6-diiodophthalic anhydride with RCH2CO2H (R = Ph, p-O2N6H4 gave I. From I were prepared diones II, phthalazones III (R1 = H, Ph), and phthalimides IV (Z = S, RCH, Z1 = O, Z = Z1 = O, S; R2 = H, Ph, substituted-Ph, naphthyl).

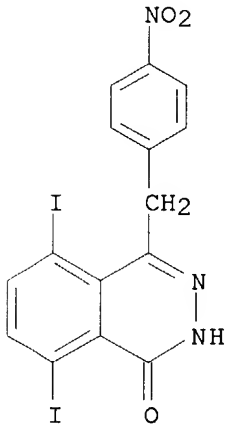
IT **67687-03-0P 67687-05-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 67687-03-0 CAPLUS
CN 1(2H)-Phthalazinone, 5,8-diiodo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

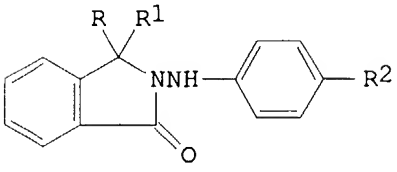


RN 67687-05-2 CAPLUS
CN 1(2H)-Phthalazinone, 5,8-diiodo-4-[(4-nitrophenyl)methyl]- (9CI) (CA

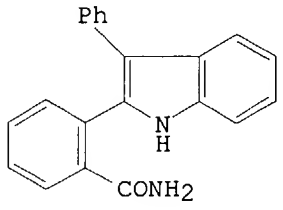
INDEX NAME)



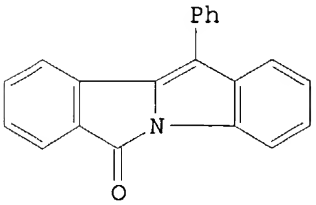
L10 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:406178 CAPLUS
DOCUMENT NUMBER: 89:6178
TITLE: Nitrogen heterocycles. Part 7. Some reactions of 2-anilinophthalimidine derivatives
AUTHOR(S): Scartoni, Valerio; Morelli, Ivano; Marsili, Antonio; Catalano, Serena
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (20), 2332-6
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 89:6178
GI



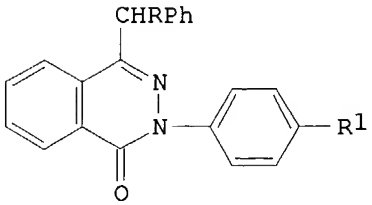
I



IV



V

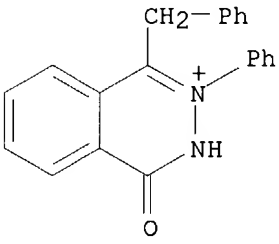


X

AB The hydroxyphthalimide I (R = OH, R1 = CH2Ph, R2 = H) (II) reacted with acids under various conditions to give the following compds. With concentrated

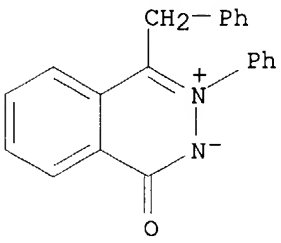
HCl in MeOH at 0°, II gave I (R = OMe, R1 = CH2Ph, R2 = H), with concentrated HCl in MeOH at reflux (0.25 h), I (RR1 = CHPh, R2 = H) (III) was obtained, and II with a 3:1 solution of AcOH-concentrated HBr at 100° for 1 h gave a mixture of the phenylindole IV and the phenylisoindoloindolone V. V was also obtained by pyrolytic rearrangement of III. Pyrolysis of II gave 4-benzyl-3-phenylphthalizinium-3-olate, which formed a cycloadduct with di-Me but-2-ynedioate. Bromination of III at 0° in EtOH-free CHCl3 gave I (RR1 = CHPh, R2 = Br; R = R2 = Br, R1 = CHBrPh) (VI and VII, resp.) depending on the amount of Br used. Treatment of VII with MeOH or EtOH or of III with Br in presence of the alcs. gave I [R1 = CH(OMe)Ph, CH(OEt)Ph] (VIII and IX, resp.). The phthalazinones X (R = H, R1 = H, Br; R = OMe, OEt, R1 = Br) were prepared by treatment of II or III, VI, VIII, and IX, resp. with base.

IT **66614-87-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 66614-87-7 CAPLUS
CN Phthalazinium, 3,4-dihydro-4-oxo-2-phenyl-1-(phenylmethyl)-, bromide (9CI) (CA INDEX NAME)



● Br^-

IT **66614-83-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, photolysis, and cycloaddn. reaction of, with di-Me butynedioate)
RN 66614-83-3 CAPLUS
CN Phthalazinium, 3,4-dihydro-4-oxo-2-phenyl-1-(phenylmethyl)-, inner salt (9CI) (CA INDEX NAME)



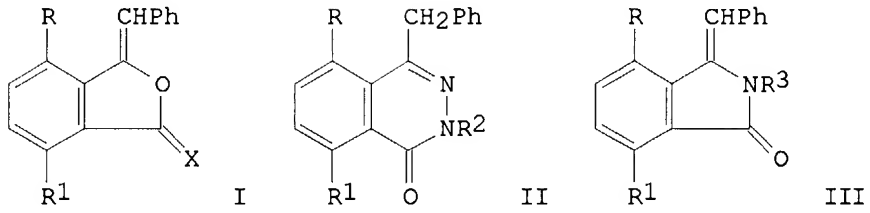
L10 ANSWER 49 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:170075 CAPLUS
DOCUMENT NUMBER: 88:170075

TITLE: Benzalphthalimidines and related compounds: part IX. Reactions of 3-chlorophthalic anhydride with phenylacetic acid and of their products 4-chloro- and 7-chloro-3-benzalphthalides with hydrazine, phenylhydrazine, phosphorus pentasulfide, aniline, p-chloroaniline, o- and p-toluidines

AUTHOR(S): Islam, A. M.; El-Sherief, A. M. S.; Ead, F. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1978), 16B(1), 50-2
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 88:170075

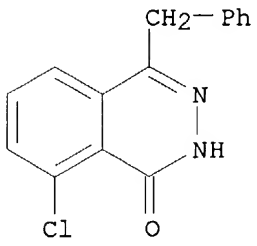
GI



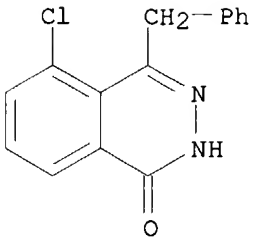
AB Reaction of 3-chlorophthalic anhydride with PhCH2CO2H gave isomeric benzalphthalides I (R = H, R1 = Cl; R = Cl, R1 = H; X = O). Reaction of I with N2H4 and PhNHNH2 gave II (R2 = H, Ph). Reaction of I (X = O) with P2S5 gave I (X = S); III (R3 = Ph, o- and p-tolyl, p-ClC6H4) were obtained by reaction of I (X = O) with aromatic amines.

IT **66294-16-4P 66294-18-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

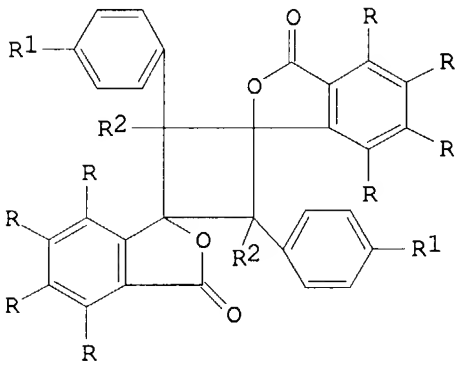
RN 66294-16-4 CAPLUS
CN 1(2H)-Phthalazinone, 8-chloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



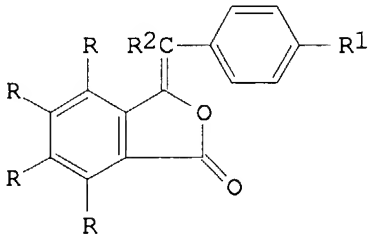
RN 66294-18-6 CAPLUS
CN 1(2H)-Phthalazinone, 5-chloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



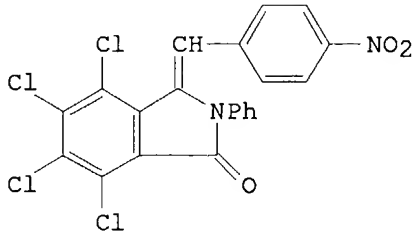
L10 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:551912 CAPLUS
DOCUMENT NUMBER: 87:151912
TITLE: Arylidenephthalimidines and related compounds: Part VIII. Synthesis of tetrachloro- and tetrabromoarylidenephthalimidines
AUTHOR(S): Hannout, I. B.; Islam, A. M.; El-Maghraby, A. A.; Ahmed, S. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15B(2), 112-15
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:151912
GI



I



II



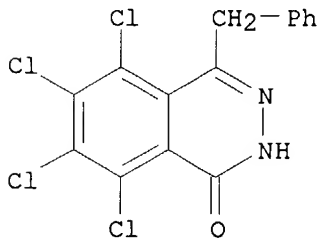
III

AB Reaction of tetrachloro- and tetrabromophthalic anhydrides with phenylacetic acids or benzyl cyanides gave dimers I (R = Cl, Br; R1 = H, NO2; R2 = H, CN). When the reaction is carried out in Ac2O, the corresponding tetrahaloarylidenephthalides II are obtained together with I. II reacted with NaOMe, hydrazines and aromatic and aliphatic primary amines

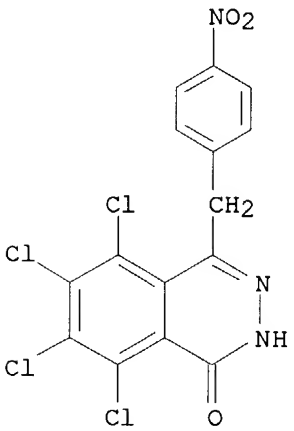
to give the corresponding products, e.g. the phthalimidine III from PhNH2.

IT **64289-25-4P 64289-26-5P 64289-27-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

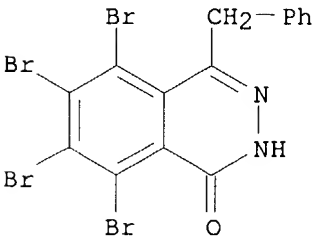
RN 64289-25-4 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 64289-26-5 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



RN 64289-27-6 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetrabromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 51 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:467943 CAPLUS
DOCUMENT NUMBER: 87:67943

10/021506

TITLE: Thioarylidenephthalides and related compounds: Part II. Reactions with amino compounds

AUTHOR(S): Islam, A. M.; Hannout, I. B.; Taha, N. M.; El-Magharaby, A. A.

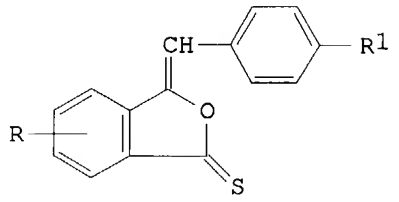
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1977), 15(1), 58-60
CODEN: IJSBDB; ISSN: 0376-4699

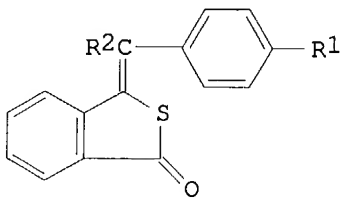
DOCUMENT TYPE: Journal

LANGUAGE: English

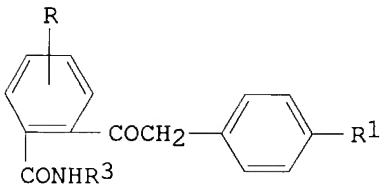
GI



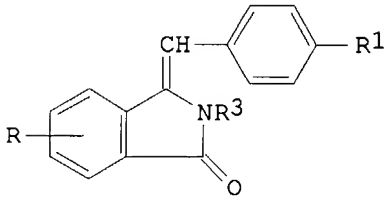
I



II



III



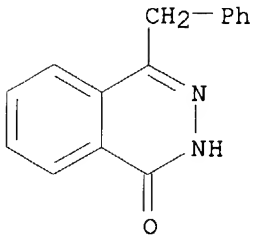
IV

AB Reaction of thiophthalides I (R = H, 5-Br, 6-Br, 5-NO2, 6-NO2; R1 = H, NO2, MeO) and II (R1 = H, NO2; R2 = H, Br) with R3NH2 (R3 = Ph, p-tolyl, benzyl, Bu) in EtOH gave the corresponding III, while in HOAc they gave IV.

IT **32003-14-8P 51256-52-1P 51256-53-2P 57319-59-2P 57319-60-5P 62970-28-9P 63564-98-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

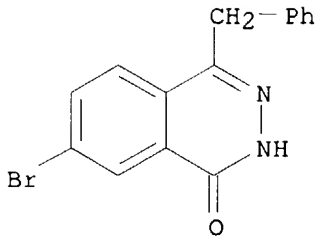
RN 32003-14-8 CAPLUS

CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

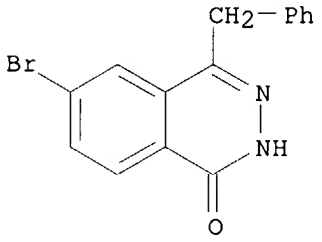


RN 51256-52-1 CAPLUS

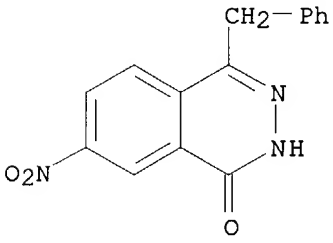
CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



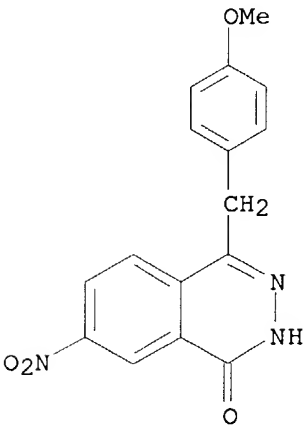
RN 51256-53-2 CAPLUS
CN 1(2H)-Phthalazinone, 6-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



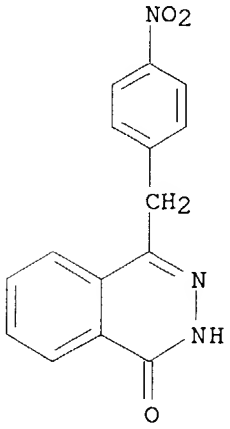
RN 57319-59-2 CAPLUS
CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



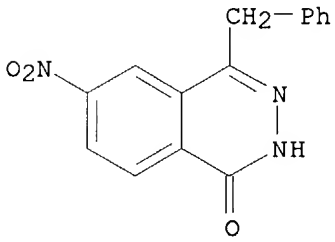
RN 57319-60-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



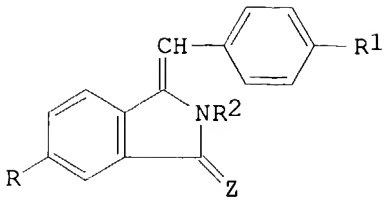
RN 62970-28-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



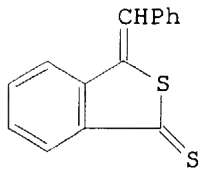
RN 63564-98-7 CAPLUS
CN 1(2H)-Phthalazinone, 6-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



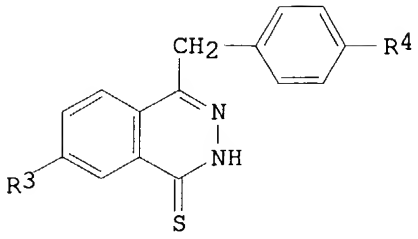
L10 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:422948 CAPLUS
DOCUMENT NUMBER: 87:22948
TITLE: Thioarylidenephthalides and related compounds: Part III. Preparation and reactions of 2-substituted 3-arylidenephthalimidine-1-thiones
AUTHOR(S): Hannout, I. B.; Islam, A. M.; Souka, L. M.; El-Maghraby, A. A.; Taha, N. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1976), 14B(11), 868-70
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 87:22948
GI



I



III

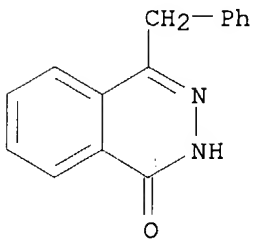


IV

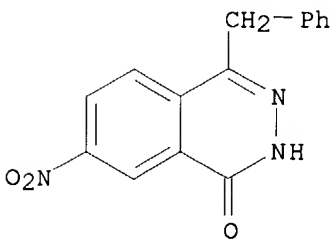
AB Phthalimidinethiones [I; R = H, NO2; R1 = H, OMe, NO2; R2 = Ph, PhCH2, α-C10H7, p-MeC6H4, etc.; Z = S; (II)] (10 compds.) were prepared by treating the corresponding phthalimidines (I; Z = O) with P2S5. Four II (R = R1 = H, R2 as before) were alternatively prepared by heating thiophthalidethione III with R2NH2 in AcOH in the presence of AcONa. II on bromination with Br gave the corresponding α-bromobenzylidene derivs. and on reaction with H2NNH2.H2O gave the hydrazones (I; R, R1, R2 as before Z = H2NN). Four phthalazinethiones (IV; R3 = H, NO2; R4 = H, OMe, NO2) were also prepared from the corresponding phthalazones by reaction with P2S5 in pyridine.

IT **32003-14-8 57319-59-2 57319-60-5 62970-28-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with phosphorus pentasulfide)

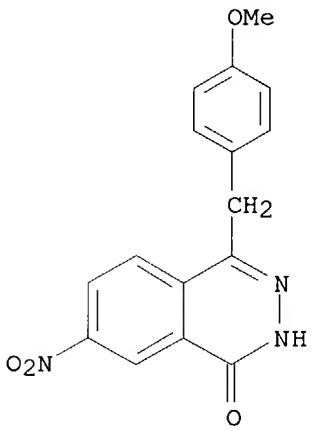
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



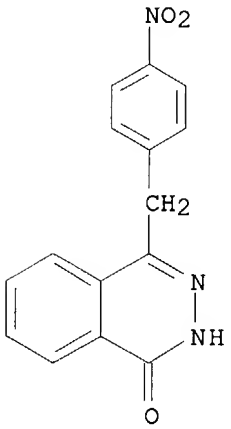
RN 57319-59-2 CAPLUS
CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



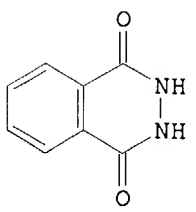
RN 57319-60-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



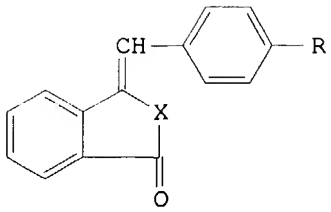
RN 62970-28-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



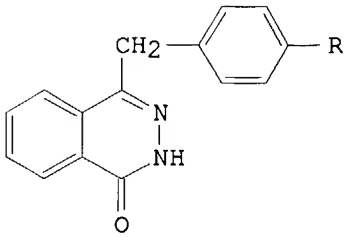
L10 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:120345 CAPLUS
DOCUMENT NUMBER: 86:120345
TITLE: Reactions of hydrazine hydrate and phenylhydrazine with thiophthalic anhydride, substituted phthalides and 2-dithiobenzoyl
AUTHOR(S): Omran, S. M. A. E.; Salem, M. R. M.; Harb, Nagwa S.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1976), Volume Date 1974, 17(6), 731-9
CODEN: EGJCA3; ISSN: 0449-2285
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 86:120345
GI



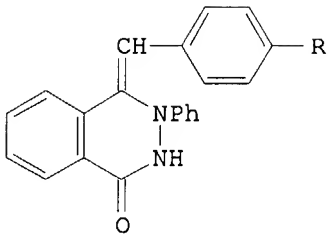
I



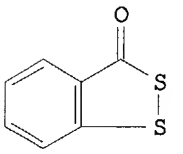
II



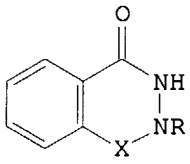
III



IV



V



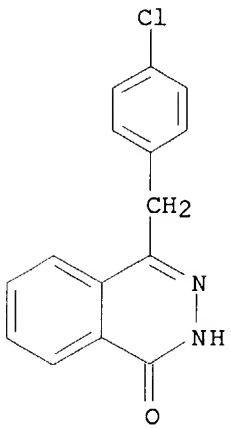
VI

AB H2NNH2.H2O and PhNHNH2 react with thiophthalic anhydride to give phthalaz-1,4-dione (I) and N-anilinophthalimide, resp. H2NNH2.H2O reacts with phthalides II (R = H, Cl, OMe; X = O, S) to give III (same R); PhNHNH2 reacts with II (R = H, Cl; X = O, S) to give IV (same R). H2NNH2.H2O reacts with V to give a mixture of VI (X = O, S; R = H); PhNHNH2 reacts with V to give VI (X = O; R = Ph).

IT **53242-88-9P 57835-95-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

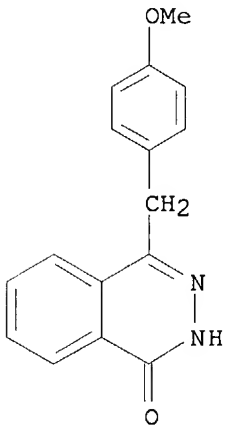
RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

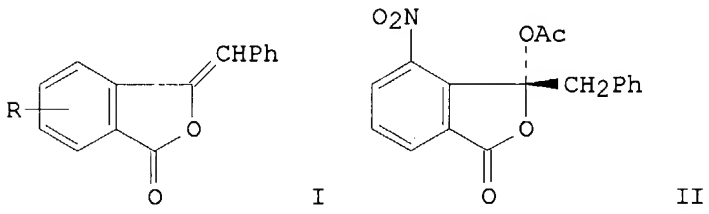


RN 57835-95-7 CAPLUS

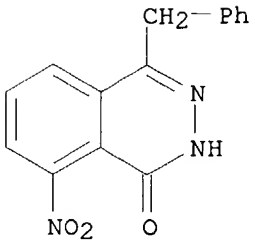
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



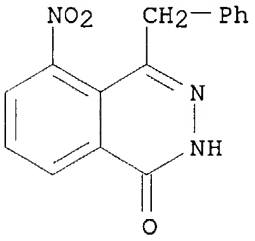
L10 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:508471 CAPLUS
DOCUMENT NUMBER: 85:108471
TITLE: Structural isomers in the Perkin reaction. Part I. Interaction of phenylacetic acid and 3-nitrophthalic anhydride
AUTHOR(S): Islam, A. M.; Hannout, I. B.; Souka, L. M.; Islam, I. E.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1974), 17(3), 275-83
CODEN: EGJCA3; ISSN: 0449-2285
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 85:108471
GI



AB The phthalides I (R = 4-NO2, 7-NO2) were formed together with intermediate II by treating 3-nitrophthalic anhydride with PhCH2CO2H under various conditions. Reaction of both I with methoxide gave 4-nitro-2-phenyl-1,3-indandione. I also underwent photoaddn. with phenanthrenequinone.
IT **60296-84-6P 60296-85-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 60296-84-6 CAPLUS
CN 1(2H)-Phthalazinone, 8-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 60296-85-7 CAPLUS
CN 1(2H)-Phthalazinone, 5-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1976:37303 CAPLUS
DOCUMENT NUMBER: 84:37303
TITLE: Photothermographic copying material
INVENTOR(S): Noguchi, Yasuhiro; Sekikawa, Nobuyoshi; Sashihara, Kenji; Masuda, Takao
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Ger. Offen., 34 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

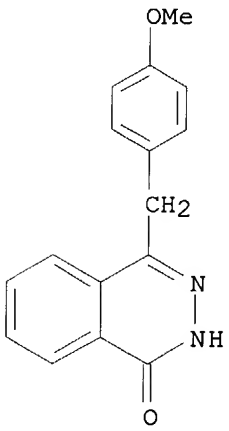
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2449252	A1	19750417	DE 1974-2449252	19741016
JP 50067132	A2	19750605	JP 1973-116022	19731016
JP 54026166	B4	19790903		
JP 50067641	A2	19750606	JP 1973-116471	19731017
CA 1043616	A1	19781205	CA 1974-211543	19741016
PRIORITY APPLN. INFO.:			JP 1973-116022	19731016
			JP 1973-116471	19731017

GI For diagram(s), see printed CA Issue.
AB Photothermog. copying compns. based on a Ag salt, a reducing agent, and a catalytic amount of a light-sensitive Ag halide, which give images with a pure black tone, contain as the toning agent a 1(2H)-phthalazinone derivative (I; R = H, Me; R1, R3 = H, MeO; R2 = H, Cl; R4 = H, 1-naphthyl, p-methoxybenzyl) or a 2,3-dihydro-1,4-phthalazinedione derivative (II; R = H, 1-naphthyl; R1 = H, OH, Cl). Thus, a mixture containing a poly(vinyl butyral) dispersion containing Ag laurate and AgBr (prepared by addition of a 15% 2-PrOH dispersion of poly(vinyl butyral) to a dispersion containing AgBr and Ag laurate) 200 g, a 0.025% MeOH solution of 2',7'-dichlorofluorescein (sensitizer) 30, a 1% MeOH solution of mercury acetate 10, a 3% DMF solution of

4-(1-naphthyl)phthalazinone 10, and a 10% Me2CO solution of p-ethoxyphenol 60 ml was coated on a support at 0.6 g Ag/m2. The material was then exposed using W lamp and heated 10 sec at 140° to give an image with a black tone vs. a yellowish brown tone for a material containing phthalazinone as the toner.

IT **57835-95-7**
RL: USES (Uses)
(toner, for photothermog. copying compns. containing silver carboxylate, silver halide, and reducing agent)

RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



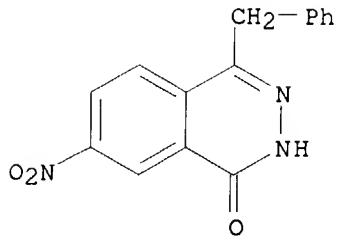
L10 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:606050 CAPLUS
DOCUMENT NUMBER: 83:206050
TITLE: Benzalphthalimidines and related compounds. V. Synthesis of 6-nitro-3-benzal-2-substituted-phthalimidines
AUTHOR(S): Islam, A. M.; Hannout, I. B.; El-Sharief, A. M.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1975), 317(4), 567-74
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:206050

GI For diagram(s), see printed CA Issue.

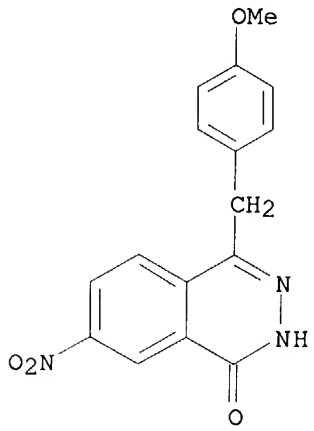
AB The phthalides I [R = Ph, p-MeOC6H4, 3,4-methylenedioxyphenyl, 3,4-MeO(HO)C6H3] were treated with R1NH2 to give II (R1 = Ph, Bu, PhCH2, p-MeC6H4). I and H2NNH2 gave III. I was treated with NH4OH and H2S to give 2,4-H2NCO(H2N)C6H3COCH2R.

IT **57319-59-2P 57319-60-5P 57319-61-6P 57319-62-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

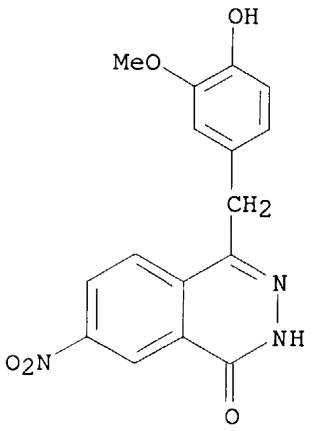
RN 57319-59-2 CAPLUS
CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



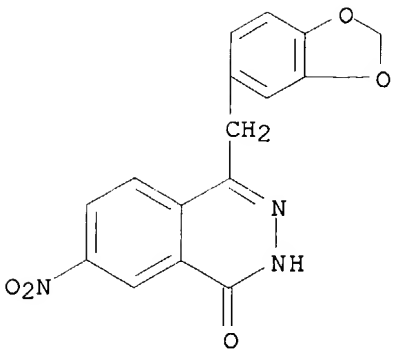
RN 57319-60-5 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



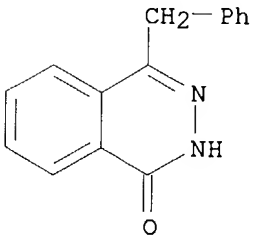
RN 57319-61-6 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-hydroxy-3-methoxyphenyl)methyl]-7-nitro- (9CI) (CA INDEX NAME)



RN 57319-62-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-(1,3-benzodioxol-5-ylmethyl)-7-nitro- (9CI) (CA INDEX NAME)

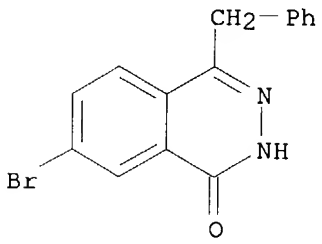


L10 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:563787 CAPLUS
DOCUMENT NUMBER: 83:163787
TITLE: Action of Grignard reagents on N-alkylidene- and N-arylmethyleneaminophthalimides
AUTHOR(S): Awad, William I.; Ismail, Mohamed F.; Kandile, Nadia G.
CORPORATE SOURCE: Univ. Coll. Women, Ain Shams Univ., Cairo, Egypt
SOURCE: Australian Journal of Chemistry (1975), 28(7), 1621-5
CODEN: AJCHAS; ISSN: 0004-9425
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:163787
GI For diagram(s), see printed CA Issue.
AB Alkylideneaminophthalimides I react with RMgX (X = Cl, Br, iodo, R = Ph, PhCH2, Et, p-tolyl, p-anisyl) to give different products depending on RMgX. The reaction may proceed by addition of 2 moles RMgX to give II (R = Ph, p-anisyl) or o-PhCH2COC6H4 CONHNHCHRCH2Ph (R = Ph, p-anisyl, styryl) or it may proceed through addition and cleavage to give phthalazinones III. III were obtained in higher yields by action of RMgX on N-aminophthalimide. III obtained were (R = Ph, Et, PhCH2, p-tolyl, p-anisyl).
IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)

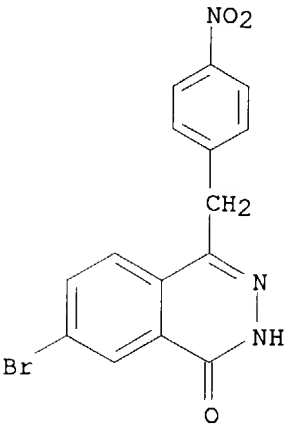


L10 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1975:4085 CAPLUS
DOCUMENT NUMBER: 82:4085
TITLE: Perkin synthesis of bromobenzalphthalides. Their reactions with amines and hydrazine

AUTHOR(S): Islam, A. M.; Khalil, A. M.; El-Maghraby, A. A.
CORPORATE SOURCE: Dep. Chem., Al-Mansoura Fac. Sci., Al-Mansoura, Egypt
SOURCE: Bulletin of the Chemical Society of Japan (1974), 47(5), 1274-6
CODEN: BCSJA8; ISSN: 0009-2673
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The isomeric 5-bromo- and 6-bromo-3-benzalphthalides I (R = 5-Br, 6-Br, R1 = Ph, p-O2NC6H4) were prepared by condensation of 4-bromophthalic anhydride with phenylacetic acids. The reaction of I with primary aromatic amines gave bromobenzalphthalimidines II (R = 5-Br, 6-Br; R1 = Ph, p-O2NC6H4; R2 = Ph, p-O2NC6H4, o-, m-, p-MeC6H4). Bromophthalazinone derivs. III were obtained in good yields by the reaction of bromobenzalphthalides with hydrazine hydrate.
IT **51256-52-1P 51256-54-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51256-52-1 CAPLUS
CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 51256-54-3 CAPLUS
CN 1(2H)-Phthalazinone, 7-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:551878 CAPLUS
DOCUMENT NUMBER: 81:151878
TITLE: Halogenated phthalic anhydride derivatives
AUTHOR(S): Awad, W. I.; Hashem, A. I.

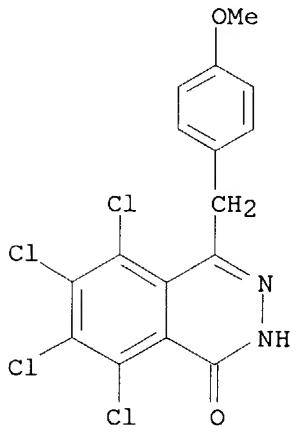
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Egyptian Journal of Chemistry (1973), 16(4), 297-306
CODEN: EGJCA3; ISSN: 0449-2285
DOCUMENT TYPE: Journal
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

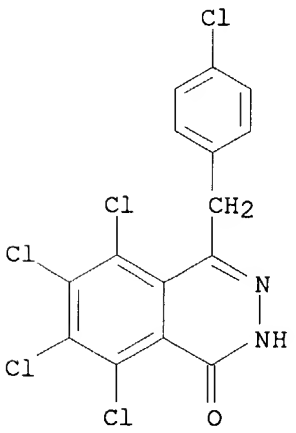
AB The butenolides I (R = Cl, Br, X = CH) and the oxazolones I (R = Cl, Br, X = N) were obtained by treating the tetrahalophthalic anhydride with PhCOCH2CH2CO2H or hippuric acid, resp. On reaction with hydrazine I yielded N-aminotetrahalophthalimides and with PhCH2NH2 o-(PhCH2NHCO)2C6R4 were obtained. Reaction of tetrachlorophthalic anhydride with p-R1C6H4CHO(R1 = H, OMe, Cl) gave II, which were rearranged by NaOMe to III.

IT **54224-06-5P 54224-07-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 54224-06-5 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 54224-07-6 CAPLUS
CN 1(2H)-Phthalazinone, 5,6,7,8-tetrachloro-4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:463654 CAPLUS
DOCUMENT NUMBER: 81:63654
TITLE: Basically substituted benzyl phthalazone derivatives, and acid salts
INVENTOR(S): Vogelsang, Dietrich; Scheffer, Gerhard; Brock, Norbert; Lenke, Dieter
PATENT ASSIGNEE(S): Asta-Werke A.-G. Chemische Fabrik
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3813384	A	19740528	US 1972-218532	19720117

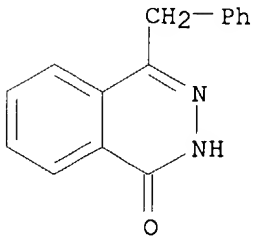
PRIORITY APPLN. INFO.: US 1972-218532 19720117

GI For diagram(s), see printed CA Issue.

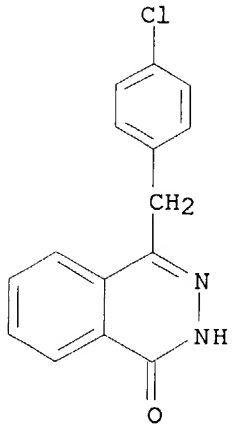
AB About 40 phthalazones I (R = 1-methyl-3-pyrrolidinylmethyl, 2-(1-methyl-2-piperidyl)ethyl, 1-methylperhydro-4-azepinyl, etc.; R1 = H, p-Cl, o-Cl, p-MeO, etc.) were prepared Thus, PhCH2COC6H4CO2H-o was treated with H2NNH2.H2SO4 to give 4-benzyl-1(2H)-phthalazinone, which was treated with 3-(tosyloxymethyl)-1-methylpyrrolidine to give I (R = 1-methyl-2-pyrrolidinylmethyl, R1 = H). The histaminolytical ED50 of I (R = 1-methyl-2-pyrrolidinylmethyl, R1 = p-Cl) in the histamine aerosol test on guinea pigs was 0.0062 mg/kg.

IT **32003-14-8P 53242-88-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

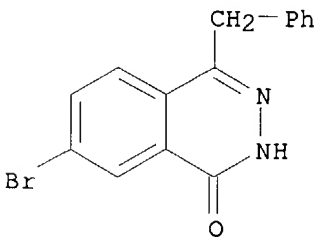
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



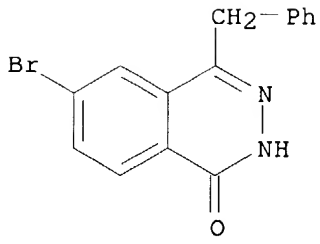
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



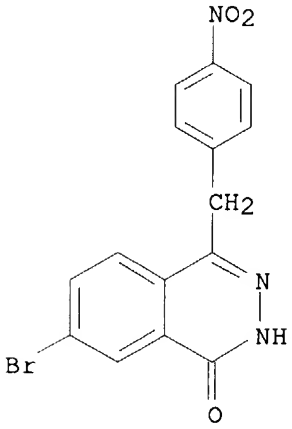
L10 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:82347 CAPLUS
DOCUMENT NUMBER: 80:82347
TITLE: Structural isomers in the Perkin reaction. II. Products of interaction of 4-bromophthalic anhydride with phenylacetic acids and some of their reactions
AUTHOR(S): Islam, A. M.; Hannout, I. B.; Souka, L. M.; Naser, A. M.; El-Maghraby, A. A.; Islam, I. E.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt
SOURCE: Journal fuer Praktische Chemie (Leipzig) (1973), 315(6), 1025-36
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Heating 4-bromo-phthalic anhydride (I) with 4-RC6H4CH2CO2H (II) in the presence of freshly fused AcONa 1 hr at 180-200° gave 30% phthalide III (R = H or NO2, R1 = 6-Br) (IV) and 15% III (R = H or NO2, R1 = 5-Br) (V). Refluxing I and II in Ac2O containing AcONa gave the dione VI (R = H or NO2) in addition to IV and V. Refluxing IV and V in MeOH and MeONa gave VI. Reaction of III with N2H4.H2O in EtOH or with R2NH2 in AcOH at reflux gave the corresponding benzylphthalazones VII or imides VIII, resp.
IT **51256-52-1P 51256-53-2P 51256-54-3P**
51256-55-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 51256-52-1 CAPLUS
CN 1(2H)-Phthalazinone, 7-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



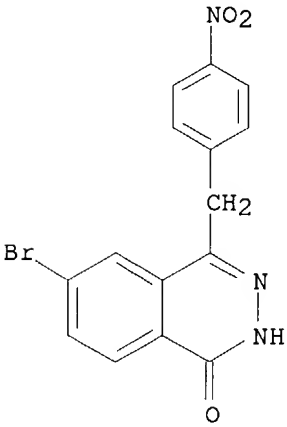
RN 51256-53-2 CAPLUS
CN 1(2H)-Phthalazinone, 6-bromo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 51256-54-3 CAPLUS
CN 1(2H)-Phthalazinone, 7-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)

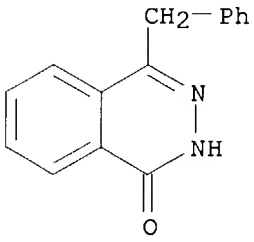


RN 51256-55-4 CAPLUS
CN 1(2H)-Phthalazinone, 6-bromo-4-[(4-nitrophenyl)methyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1972:552090 CAPLUS
DOCUMENT NUMBER: 77:152090

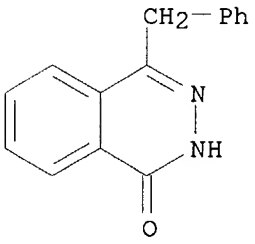
TITLE: Reactions with o-phenylacetylbenzoic acid and related compounds
AUTHOR(S): Sammour, A.; Selim, M. I. B.; Osman, M. W.
CORPORATE SOURCE: Fac. Sci. Eng., Ain Shams Univ., Cairo, Egypt
SOURCE: United Arab Republic Journal of Chemistry (1971), 14(3), 305-10
CODEN: UAJCAZ; ISSN: 0372-3704
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB O-Phenylacetylbenzoic acid (I) condensed with BzH, p-MeOC6H4CHO, piperonal, or furfural to give o-(RCH:CPhCO)C6H4CO2H (II, R = Ph, p-MeOC6H4 3,4-methylenedioxyphenyl, furyl). II (R = Ph) was refluxed with EtOH-HCl to give the phthalide (III). Refluxing I or II (R = Ph) with N2H4, PhNHNH2, or benzoylhydrazine (IV) gave the 4-benzyl-1(2H)-phthalazinone derivs. (V), with elimination of the benzylidene group of II. Refluxing I, II (R = Ph), or III with NH2OH.HCl in boiling pyridine gave 4-benzyl-2,3-benzoxazin-1-one. Treatment of methanolic solution of V (R = H) with piperidine and CH2O yielded the N-Mannich base V (R = piperidino ethyl). Re-fluxing V (R = H) with CH2CHCN in pyridine gave V (R = (CH2)2CN), which on alkaline hydrolysis gave V (R = (CH2)2CO2H). PhMgBr reacted with V (R = H) to give VI.
IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:125247 CAPLUS
DOCUMENT NUMBER: 74:125247
TITLE: 1-Phenylnaphthalenes. VIII. Reactions of hydrazine and its derivatives with some five-membered ring anhydrides
AUTHOR(S): Baddar, Fawzi G.; El-Newaihy, M. F.; Salem, M. R.
CORPORATE SOURCE: Fac. Sci., Ain Shams Univ., Cairo, Egypt
SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (4), 716-21
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB 1-Phenylnaphthalene-2,3-dicarboxylic anhydrides (I; R1, R3 = H, Cl, OMe; R2 = H, OMe; X = O) reacted with Me2NNH2 and arylhydrazines [PhNHNH2, 2,4-(NO2)2C6H3NHNH2, 4-MeC6H4NHNH2, 4-MeOC6H4NH-NH2] to give the N-dimethylamino imides (I, X = NNMe2) and N-arylamino imides (I, X = NNHPh), resp., and with MeNHNH2 and MeNHNHMe to give the corresponding cyclic hydrazides (II and III, resp.). Similarly, 1-phenyl-1,2,3,4-

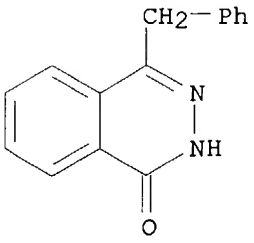
tetrahydronaphthalene-2,3-dicarboxylic anhydride, naphthalene- and 3,4-dihydronaphthalene-1,2-dicarboxylic anhydrides, benzylidenephthalide, and benzylidene- and (p-chlorobenzylidene)-9-phenylnaphtho[2,3-c]furan-1(3H)-one gave the corresponding cyclic hydrazides and N-amino imides with N2H4 and arylhydrazines, resp.

IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1968:427342 CAPLUS
DOCUMENT NUMBER: 69:27342
TITLE: Reactions with aminoguanidine. II. Reaction of aminoguanidine with lactones and carboxylic acid anhydrides
AUTHOR(S): Ried, Walter; Valentin, Joachim
CORPORATE SOURCE: Univ. Frankfurt/M., Frankfurt/M., Fed. Rep. Ger.
SOURCE: Chemische Berichte (1968), 101(6), 2117-23
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 69:27342
GI For diagram(s), see printed CA Issue.
AB Aminoguanidine (I) reacted with γ-butyrolactone to give 5-amino-3-(3-hydroxypropyl)-s-triazole and with 4-phenyl-3-buten-4-olide to give 5-amino-3-(2-benzoylethyl)-s-triazole. The reaction of I with II yielded 2-oxo-3-[2-benzoyl-1-(5-amino-s-triazol-3-yl)ethylidene]-5-phenyl-2,3-dihydrofuran and 1,5-dioxo-3,7-diphenyl-1,5-dihydropyrano[4,3-c]pyran. The reaction of I with naphthalic acid anhydride gave III, while with dehydroacetic acid I gave 3-methyl-4-acetoacetyl-x-guanyl-5-pyrazolone.

IT **32003-14-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 32003-14-8 CAPLUS
CN 1(2H)-Phthalazinone, 4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:499390 CAPLUS
DOCUMENT NUMBER: 65:99390
ORIGINAL REFERENCE NO.: 65:18600c-g
TITLE: Phthalazine derivatives
INVENTOR(S): Sigal, Max V., Jr.; Marchini, Paolo; Poet, Buford L.
PATENT ASSIGNEE(S): S. E. Massengill Co.
SOURCE: 4 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3274185		19660920	US	19631008

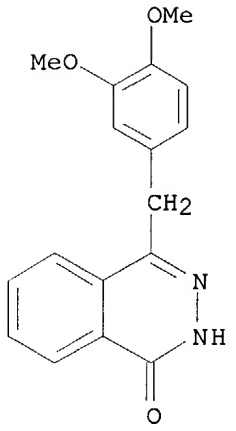
GI For diagram(s), see printed CA Issue.

AB The title compds. are prepared by treating the substituted phenylacetic acid with the chosen substituted phthalic anhydride to give a substituted benzal phthalide which is then treated with NH2NH2. A mixture of 31 g. phthalic anhydride, 48 g. 3,4-(MeO)2C6H3CH2CO2H and 1 g. freshly fused AcONa was heated rapidly to 230°, then slowly to 240°, over a period of 2 hrs. The reaction was maintained at 240° until the distillation of H2O ceased, then cooled to give 34 g. I (R = OMe), m. 132-3° (absolute EtOH). This compound (35 g.) was dissolved in 200 ml. EtOH and 12 ml. NH2NH2 and the mixture refluxed 2 hrs. and cooled to give 34.5 g. II (R = OMe, R1 = OH, R2 = H), m. 193-4° (absolute EtOH). A suspension of this compound (10 g.) in 40 ml. POCl3 was refluxed 1 hr., excess POCl3 evaporated in vacuo, the residue poured over crushed ice and neutralized with 10% Na2CO3, and the mixture extracted with CHCl3 to yield 9 g. II (R = OMe, R1 = Cl, R2 = H), m. 130-2° (absolute EtOH). A solution of 6 g. II (R = R2 = OMe, R1 = Cl) in 50ml. EtOH and 25ml. NH2NH2 was refluxed 10 hrs. to yield 2 g. II (R = R2 = OMe, R1 = NHNH2), m. 178-9° (MeOH). Hydrogenation over 2 g. 5% Pd-C of a solution of 2 g. II (R = R2 = OMe, R1 = Cl) in 280 ml. EtOH containing 0.82 g. KOH, was followed by filtration, evaporation and addition of 2 ml. 30% NaOH. Extraction with C6H6, concentration to 1.5 ml., and addition of 2 ml. Et2O yielded 0.9 g. II (R = R2 = OMe, R1 = H), m. 120-1° (2:1 AcOEt-petr. ether). Similarly, the following compds. were also prepared (type, R, R1, R2, R3, and m.p. given): III -, -, -, OH, 333-5° (decomposition); III -, -, -, Me, 249-54° (decomposition); II, OEt, OH, H, -, 249-59° (decomposition); II, OEt, Cl, H, -, 102°; II, OMe, NHNH2, H, -, 150-2°; II, OEt, H, H,-,125-7°. A solution of 2g. II(R = R2 = OMe, R1 = NHNH2) and 0.45 g. pyruvic acid in 150 ml. EtOH was refluxed 12 hrs. and evaporated to dryness to give 0.7 g. II (R = R2 = OMe, R1 = NHN:CMeCO2H), m. 210-15° (absolute MeOH). Similarly, the following compds. were obtained (type R, R1, R2, and m.p. given)' II, OMe, 2-[(3-hydroxy-5-hydroxymethyl-2- methyl - 4 - pyridyl)methylene]hydrazino, H, 157-9° (decomposition); II, OMe, 3,4,5-(MeO)3C6H2CH:NNH, H, 159-60°. Those derivs. show a high degree of activity as antidepressants and can be used as tranquilizers with a sedative action and as blood pressure depressants.

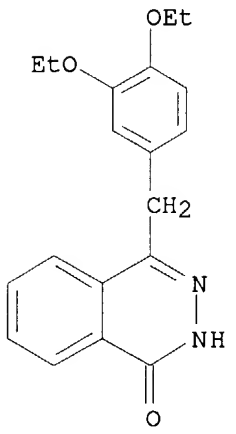
IT **10001-31-7**, 1-Phthalazinol, 4-veratryl- **10001-37-3**, 1-Phthalazinol, 4-(3,4-diethoxybenzyl)-
(preparation of)

RN 10001-31-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(3,4-dimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 10001-37-3 CAPLUS
CN 1(4H)-Phthalazinone, 4-[(3,4-diethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



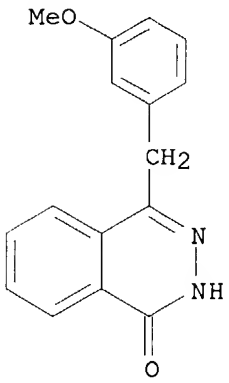
L10 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1963:39759 CAPLUS
DOCUMENT NUMBER: 58:39759
ORIGINAL REFERENCE NO.: 58:6730e-g
TITLE: Synthesis of 2-methoxy- and 3-methoxydibenzo[a,d]-5-cycloheptatrienol
AUTHOR(S): Berti, Giancarlo; Da Settimo, Antonio; Gregori, Giuseppe; Mancini, Franco
CORPORATE SOURCE: Univ. Pisa, Italy
SOURCE: Annali di Chimica (Rome, Italy) (1962), 52, 514-34
CODEN: ANCRAI; ISSN: 0003-4592
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The title compds. have tranquilizing and antihistaminic properties. 3-(m-Methoxybenzal)phthalide is treated with NaOH to give 2-(m-MeOC6H4CH2CO)C6H4CO2H which is reduced with NaBH4 to 3-(m-methoxybenzyl)phthalide (I). I is dissolved in MeOH and treated with NaOH to yield trans Ia which is treated with H in presence of Adams Pt

oxide to give 2-(m-MeOC6H4CH2CH2)C6H4CO2H (II). II is heated at 100° for 90 min. with polyphosphoric acid to yield 2-methoxy-dibenzo[a,d]-1,4-cycloheptadien-5-one, which is treated with N-bromosuccinimide and Bz2O2 and the mixture refluxed with Et3N to yield III, m. 77-7.5°. III (0.8 g.) is dissolved in 35 ml. MeOH, 0.12 g. KBH4 in 4 ml. H2O and 0.2 ml. 2N NaOH added, the mixture kept overnight, MeOH distilled, the residue taken up with H2O, and crystallized to give 0.42 g. 5-ol analog of III (IV), m. 101-3° (ligroine). Similarly prepared is 3-methoxy analog of IV, m. 120-2° (C6H6-petr. ether).

IT **94066-66-7**, 1(2H)-Phthalazinone, 4-(m-methoxybenzyl) (preparation of)

RN 94066-66-7 CAPLUS

CN 1(2H)-Phthalazinone, 4-(m-methoxybenzyl)- (7CI) (CA INDEX NAME)



L10 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1963:33196 CAPLUS

DOCUMENT NUMBER: 58:33196

ORIGINAL REFERENCE NO.: 58:5602b-e

TITLE: Substituted γ-lactones. IX. Synthesis of some substituted 3-arylidenephthalides

AUTHOR(S): Zimmer, Hans; Barry, Roger D.

CORPORATE SOURCE: Univ. of Cincinnati, Cincinnati, OH

SOURCE: Journal of Organic Chemistry (1962), 27, 3710-11
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

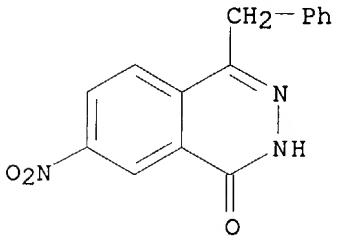
AB cf. CA 57, 2136g. A number of benzaldehydes substituted with activating as well as deactivating groups were subjected to condensation with 6-nitrophthalide (I). No aldols were found. The procedure of Borsche (B., et al., CA 28, 40502) was used for the preparation of 3-arylidene-6-nitrophthalides without solvent, and the product recrystd. from AcOH, CHCl3, MeNO2, or o-C6H4Cl2. I (179 g.), 250 ml. 1,2,4-trichlorobenzene, 159 ml. BzH, and 2 ml. piperidine refluxed 3 hrs. with intermittent removal of the azeotrope, the mixture cooled, treated with 500 ml. hexane, and the crystals collected gave 174 g. 3-benzylidene-6-nitrophthalide (II), m. 234-6°. II (5.3 g.), 20 ml. 95% alc., and 4 ml. 85% N2H4.H2O refluxed 1.5 hrs. and the product allowed to crystallize gave 2.1 g. 4-benzyl-7-nitrophthalaz-1-ol (sic), m. 206°. II (5.3 g.) stirred 20 min. with 4.6 g. Na in 100 ml. anhydrous MeOH, the mixture acidified, and the solid collected gave 3.4 g. 2-phenyl-6-nitroindan-1,3-dione, m. 212° (o-C6H4Cl2). The following 3-arylidene-6-nitrophthalides (III) were thus prepared (R, % yield, solvent of crystallization,

and m.p. given): 4-MeC6H4, 24, MeNO2, 204-5°; 2-HOC6H4, 14, CHCl3, 205°; 4-HOC6H4, 23, CHCl3, 258-9°; 2-O2NC6H4, 10, o-C6H4Cl2, 216°; 3-O2NC6H4, 15, MeNO2, 251°; 4-O2NC6H4, 17, MeNO2, 292°; 4-MeOC6H4, 44, AcOH, 199°; 4-iso-PrC6H4, 31, MeNO2, 221-2°; 3,4-methylenedioxyphenyl, 86, dioxane, 243-4°; 3,4-MeO(HO)C6H3, 20, o-C6H4Cl2, 274°; 4-Me2NC6H4, 52, o-C6H4Cl2, 272-3°; 4-Et2NC6H4, 55, o-C6H4Cl2, 270°; 1-naphthyl, 18, o-C6H4Cl2, 292-3°; 1-furfuryl, 18, MeNO2, 206°. For all the products the trans configuration was assigned. The instability of the cis isomer was attested by examination of models.

IT **57319-59-2**, 1-Phthalazinol, 4-benzyl-7-nitro-
(preparation of)

RN 57319-59-2 CAPLUS

CN 1(2H)-Phthalazinone, 7-nitro-4-(phenylmethyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:59519 CAPLUS

DOCUMENT NUMBER: 55:59519

ORIGINAL REFERENCE NO.: 55:11426f-i

TITLE: Phthalazine and related compounds. VI. 1-(3,4-Dimethoxybenzyl)-6,7-dimethoxyphthalazine

AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo

CORPORATE SOURCE: Univ. Kanazawa

SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1960), 10, 15-17
CODEN: KDYKAB; ISSN: 0451-3231

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

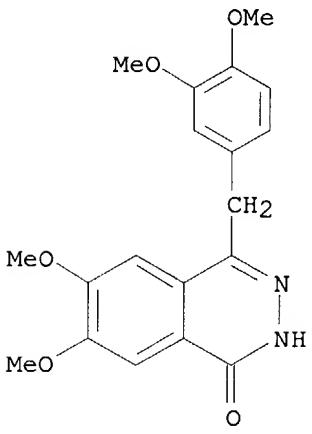
AB cf. CA 54, 4606i. Hemipinic anhydride (8.4 g.), 8.0 g. homoveratric acid, and 0.2 g. AcONa is heated at 235° 5 hrs., cooled, dissolved in 40 ml. EtOH, the solution filtered, and the solid washed with 5% NH4OH to give 5.6-6.0 g. 3-(3,4-dimethoxybenzal)-5,6-dimethoxyphthalide (I), light yellow needles, m. 182-3° (AcOH). I (5.0 g.) and 1.0 g. N2H4 in 5 ml. EtOH is refluxed 1 hr., cooled, 1 ml. 5% HCl added, and the solid washed with H2O to give 4.5 g. 1-(3,4-dimethoxybenzal)-6,7-dimethoxyphthalaz-4-one (II), m. 210-12° (AcOH). II (2.0 g.) is heated with 6 ml. POCl3 5 min., excess POCl3 removed in vacuo, the mixture poured into 50 g. ice, made alkaline with 10% Na2CO3, and extracted with CHCl3 to give 2.0 g. 1-(3,4-dimethoxybenzyl)-4-chloro-6,7-dimethoxyphthalazine (III), light yellow needles, m. 175-6° (C6H6 or EtOH). III (1.4 g.) is dissolved in 240 ml. EtOH, catalytically reduced over 1.5 g. 1% Pd-C and 0.3 g. KOH, the mixture filtered, the filtrate evaporated, extracted with C6H6 under strongly alkaline conditions, the extract evaporated, and treated with a small volume of Et2O to give 1 g. 1-(3,4-dimethoxybenzyl)-6,7-dimethoxyphthalazine, m. 120-1° [hydrochloride m. 210-12°

(MeOH); picrolonate m. 214° (PhNO2EtOH)], exhibiting smooth muscle relaxing activity.

IT **102081-86-7**, 1(2H)-Phthalazinone, 6,7-dimethoxy-4-veratryl- (preparation of)

RN 102081-86-7 CAPLUS

CN 1(2H)-Phthalazinone, 6,7-dimethoxy-4-veratryl- (6CI) (CA INDEX NAME)



L10 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1961:13528 CAPLUS

DOCUMENT NUMBER: 55:13528

ORIGINAL REFERENCE NO.: 55:2701a-e

TITLE: 5-Fluorocytosine

INVENTOR(S): Duschinsky, Robert; Heidelberger, Charles

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.

SOURCE: Division of U.S. 2,802,005 (CA 52, 2100e)

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

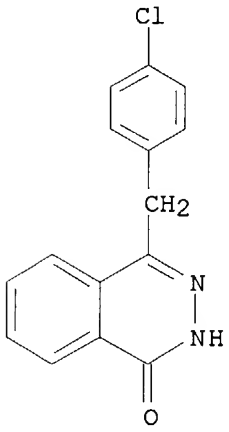
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2945038		19600712	US	

AB Alkali metal enolates of lower alkyl fluoromalonaldehydate were condensed with an S-(lower alkyl or benzyl)isothiourea, and the product was halogenated, aminated, and then hydrolyzed to obtain the antimetabolite, 5-fluorocytosine (I), from which salts were prepared A solution of 43 g. freshly prepared EtO2CCF:CHOK 50.6 g. PhCH2SC(:NH)NH2.HCl, and 13.5 g. MeONa in 640 ml. MeOH was refluxed and stirred 2 hrs., and evaporated to dryness in vacuo. The residue was taken up in 220 ml. H2O, 12 ml. 2N aqueous NaOH added to make the solution alkaline to phenolphthalein and the solution extracted with Et2O. The aqueous layer was acidified with 16 ml. concentrated aqueous HCl and cooled with ice to precipitate 2-benzylthio-5-fluoro-3(4H)-pyrimidinone (II), m. 216-18° (EtOH). 2-Ethylthio-5-fluoro-3(4H)-pyrimidinone (10 g.) and 12 g. PCl5 were heated on a steam bath to form a clear solution, the POCl3 removed in vacuo while heating was continued, and the oily residue added to crushed ice to cause crystallization The mixture was extracted with Et2O, and

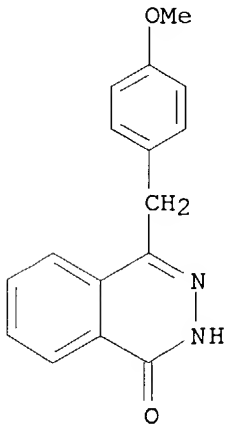
the solution dried over Na2SO4 and evaporated to obtain an oil (III), mainly 2-ethylthio-4-chloro-5-fluoropyrimidine, which crystallized below room temperature
III and 120 ml. liquid NH3 in a boiling water bath were autoclaved 12 hrs., the NH3 was evaporated, the residue taken up in 100 ml. H2O plus 10 ml. EtOH, and the resultant crystals were filtered off and washed with H2O until free from Cl, to give 2-ethylthio-4-amino-5-fluoropyrimidine (IV), m. 94-5° (ligroine, b. 90-120°). Crude IV (8.53 g.) and 85 ml. aqueous 48% HBr were refluxed 4 hrs. under N, the solution evaporated in vacuo, and the residue taken up in H2O. The solution was evaporated, the residue in 25 ml. hot H2O filtered through C, 11 ml. concentrated NH3 added, and the mixture cooled in ice and filtered to give I, m. about 297°.

IT **53242-88-9**, 1(2H)-Phthalazinone, 4-p-chlorobenzyl-
57835-95-7, 1(2H)-Phthalazinone, 4-p-methoxybenzyl-
101793-14-0, 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl-
101793-15-1, 1(2H)-Phthalazinone, 4-p-propoxybenzyl-
(preparation of)

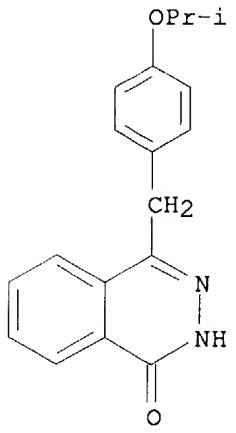
RN 53242-88-9 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)



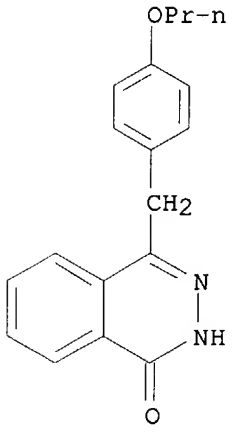
RN 57835-95-7 CAPLUS
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 101793-14-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl- (6CI) (CA INDEX NAME)



RN 101793-15-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-p-propoxybenzyl- (6CI) (CA INDEX NAME)



L10 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1961:13527 CAPLUS
DOCUMENT NUMBER: 55:13527
ORIGINAL REFERENCE NO.: 55:2700g-i,2701a
TITLE: Base-substituted phthalazones
INVENTOR(S): Engelbrecht, Heinz J.; Lenke, Dieter; Muller, Hildegard
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 17075		19590616	DD	

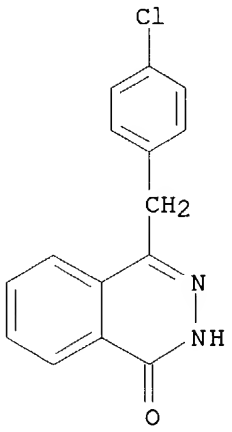
AB Reaction of alkali metal salts of 4-(R1-substituted) phthalazones (I) (R1 = aryl or aralkyl) with XR2NR3R4 (X = halogen, R2 = alkylene containing 2-5 C

atoms, R3 and R4 = lower alkyls, which may be part of a heterocycle) gave 2-R3R4NR2 4-R1 derivs. (II) of phthalazone. II were excellent antihistaminics which also had spasmolytic and local anesthetic properties. Thus, K salt of I (R1 = PhCH2) 14.8 heated several hrs. in PhMe with Cl(CH2)2NEt2 (III) gave II (R1 = PhCH2, R2 = (CH2)2, R3 = R4 = Et) 14.1 parts, b0.1 208-14°; HCl salt m. 142-3°. With Cl(CH2)2NMe2 the corresponding II was obtained, b0.3 215-22°; HCl salt m. 178°; methiodide m. 200°. Similarly, Cl(CH2)3NMe2 gave the corresponding II, b0.5 218°; HCl salt m. 173-4°. I (R1 = Ph) was treated in PhMe with NaNH2 at 90° until no more NH3 was evolved then with III to give II (R1 = Ph, R2 = (CH2)2, R3 = R4 = Et), b0.5 225-30°; HCl salt m. 198°. In the same way were made the following II (R1, R2, R3, R4, b.p./mm., and m.p. of HCl salt given): p-ClC6H4CH2, (CH2)2, Me, Me, 215-20°/0.2, 248°; p-PrOC6H4CH2, (CH2)2, Me, Me, -, 142°; p-MeC6H4CH2, (CH2)2, Me, Me, 220-3°/0.2, 202-3°; p-iso-PrOC6H4CH2, (CH2)2, (R3R4:) CH2CH2OCH2CH2, -, 100-1°. 2-(2-Dimethylaminomethyl)-4-benzyl-6(7)-chlorophthalazone, b0.5 230-40°, was prepared HCl salt m. 203-4°. The starting I were prepared by condensation of the corresponding phthalides (IV) with N2H4 (V). Thus, p-ClC6H4CH2CO2H with phthalic anhydride (VI) gave p-chlorobenzalphthalide, m. 150°, which with VI gave I (R1 = p-ClC6H4CH2), m. 218°. Similarly were prepared the following V and I (R1 and m.ps. given): p-PrOC6H4CH2, 99-100°, 190°; p-MeOC6H4CH2, 148°, 196°; p-iso-PrOC6H4CH2, -, 208°. 4-Benzyl-6(7)-chlorophthalazone, m. 163°, was prepared from benzal-4(5)-chlorophthalide, m. 156-7°.

IT **53242-88-9**, 1(2H)-Phthalazinone, 4-p-chlorobenzyl-
57835-95-7, 1(2H)-Phthalazinone, 4-p-methoxybenzyl-
101793-14-0, 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl-
101793-15-1, 1(2H)-Phthalazinone, 4-p-propoxybenzyl-
(preparation of)

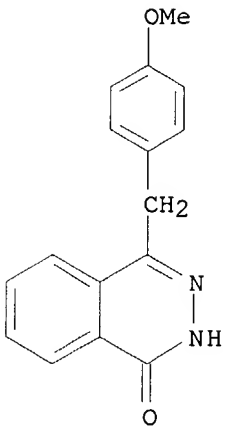
RN 53242-88-9 CAPLUS

CN 1(2H)-Phthalazinone, 4-[(4-chlorophenyl)methyl]- (9CI) (CA INDEX NAME)

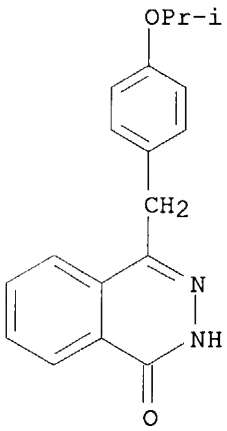


RN 57835-95-7 CAPLUS

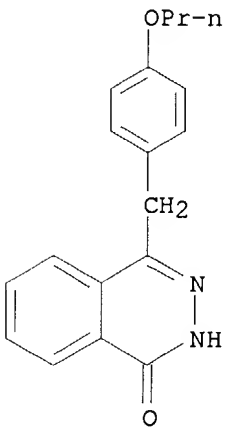
CN 1(2H)-Phthalazinone, 4-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 101793-14-0 CAPLUS
CN 1(2H)-Phthalazinone, 4-p-isopropoxybenzyl- (6CI) (CA INDEX NAME)



RN 101793-15-1 CAPLUS
CN 1(2H)-Phthalazinone, 4-p-propoxybenzyl- (6CI) (CA INDEX NAME)

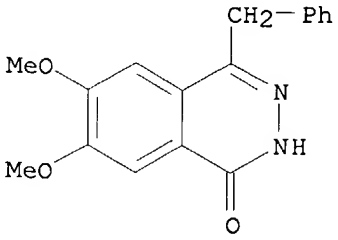


L10 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1960:23165 CAPLUS
DOCUMENT NUMBER: 54:23165
ORIGINAL REFERENCE NO.: 54:4606i,4607a-f
TITLE: Phthalazine and related compounds. V. 1-(o-Toluoyl)and 1-benzyl-6,7-dimethoxyphthalazine
AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo
CORPORATE SOURCE: Univ. Kanazawa
SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1959), 9, 6-11
CODEN: KDYKAB; ISSN: 0451-3231
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 52, 6361d. Grignard reagent prepared from 5 g. obromotoluene, 0.7 g. Mg, and 15 cc. Et2O is dropped into a warm solution of 6 g. metahemipinic anhydride in 180 cc. C6H6 during 30 min., refluxed 2 more hrs., kept overnight. and evaporated The residue is stirred with 20 cc. saturated NH4Cl solution and 30 cc. 10% HCl, warmed a while, cooled, and filtered. The resinous matter is mixed with warm NaHCO3 solution, filtered, and the filtrate acidified with HCl to give 4 g. 2-(o-toluoyl)-4,5-dimethoxybenzoic acid (I), m. 206-8° (C6H6 or C6H6-EtOH). I (0.5 g.) is heated with 30 cc. 2% KMnO4 in the presence of 10 cc. 5% Na2CO3 solution to give 0.5 g. 4,5-dimethoxybenzophenone-2,2'-dicarboxylic acid, columns, m. 145-7° (decomposition); further heating gives the anhydride, m. 229-30° (C6H6 or EtOH). I (0.5 g.) is heated with 10 cc. concentrated H2SO4 at 80-5° then poured over ice to give 0.3 g. 1-methyl-6,7-dimethoxyanthraquinone, yellowish orange needles, m. 221-3° (C6H6). I is condensed with PhCH2CO2H, the product (II) (3 g.) refluxed with 10 cc. 10% Na2CO3 and 20 cc. 2% NaOH and then acidified with dilute HCl to give 2.5 g. 2-phenylacetyl-4,5-dimethoxybenzoic acid (III), m. 116-18°. To 0.6 g. III in 10 cc. 5% Na2CO3 is added 30 cc. 2% KMnO4 during 1 hr. to give 0.55 g. 4,5-dimethoxybenzil-2-carboxylic acid (IV), m. 175-7° (C6H6-petr. benzin). IV (0.1 g.) and 0.04 g. o-phenylenediamine is refluxed in 2 cc. EtOH 4 hrs. to give 0.1 g. V, m. 229-30° (EtOH). II (4 g.) and 1 g. N2H4.H2O is refluxed with 5 cc. EtOH 2 hrs., cooled, and acidified with dilute HCl to give 4 g. 1-benzyl-6,7-dimethoxy-4-phthalazone (VI), needles, m. 182-4° (MeOH or EtOH). Similar treatment with II also affords VI in the same yield. VI (6 g.) is gently warmed with 7 cc. POCl3, then boiled 5 min., and evaporated in vacuo. The sirupy residue is stirred with 10 g. ice, made alkaline with NH4OH, extracted with CHCl3 several times, and the extract evaporated to give 1.3 g. 1-benzyl-4-chloro-6,7-dimethoxyphthalazine (VII), m. 154-5° (MeOH). Catalytic reduction of 0.7 g. VII using 0.3 g. Pd-C, 50 cc. EtOH, and 0.5 g. NaOH at room temperature gives 0.6 g. 1-benzyl-6,7-dimethoxyphthalazine, needles, m. 156° (xylene); picrate m. 180-2° (alc.).

IT **102025-92-3**, 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy-
(preparation of)

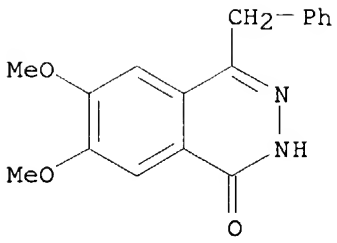
RN 102025-92-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (6CI) (CA INDEX NAME)



L10 ANSWER 72 OF 72 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1959:23334 CAPLUS
DOCUMENT NUMBER: 53:23334
ORIGINAL REFERENCE NO.: 53:4287h-i,4288a-c
TITLE: Phthalazine and related compounds. IV. Synthesis of 1-phenyl- and 1-benzyl-6,7-dimethoxyphthalazine
AUTHOR(S): Ikeda, Tetsutaro; Kanahara, Saburo; Ujiie, Toshimitsu
CORPORATE SOURCE: Kanazawa Univ.
SOURCE: Kanazawa Daigaku Yakugakubu Kenkyu Nempo (1958), 8, 1-4
CODEN: KDYKAB; ISSN: 0451-3231
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB cf. C.A. 52, 6361d. Veratric acid (32 g.) heated with 230 cc. HCl-saturated (at 15-20°) HCHO 6-7 hrs. at 60-70°, kept overnight, concentrated in vacuo, 100 cc. H2O added, and the solution neutralized with NH4OH gives 23 g. m-meconin (I), colorless needles, m. 154-6° (MeOH), yielding with alkaline KMnO4 91% m-hemipinic acid (II). A mixture of 40 g. II and 100 g. Ac2O refluxed 15 min., concentrated to 1/2 volume, cooled, and the separated mass treated with ether and dried over NaOH gives 34 g. m-hemipinic anhydride (III), m. 175-7°. A warm (50-60°) mixture of 4.4 g. III and 300 cc. C6H6 treated dropwise during 3 min., with a Grignard reagent prepared from 0.4 g. Mg, 3.4 g. PhBr, and 12 cc. Et2O refluxed 4 hrs. with occasional shaking, 20 cc. 30% NH4Cl and 5 cc. concentrated HCl, added, and the separated C6H6-Et2O layer evaporated gives 1.8 g. 2,4,5-Bz(MeO)2C6H2CO2H (IV), needles, m. 195-7° (C6H6 or alc.). Similar treatment of 5 g. III with a Grignard reagent prepared from 0.6 g. Mg, 3.1 g. PhCH2Cl, and 20 cc. Et2O gives 1.2 g. 2-PhCH2CO homolog (V), microneedles, m. 206-7° (MeOH). IV (2 g.) in 4 cc. alc. refluxed with 0.8 g. N2H4 1 hr. gives 1.5 g. 1-phenyl-6,7-dimethoxy-4-phthalazone (VI), needles, m. 257-60° (alc.). Similarly from V is prepared the 1-PhCH2 homolog (VII), m. 234-5° (AcOH). VI (1.3 g.) heated with 8 g. POCl3 some min., poured into 70 g. ice, and made alkaline with diluted NH4OH gives 1-phenyl-4-chloro-6,7-dimethoxyphthalazine (VIII), light yellow needles, m. 178-9° (decomposition; alc.). Similarly III gives the 1-benzyl homolog (IX), light yellow needles, m. 160-2° (diluted alc.). A suspension of 0.3 g. VIII in 90 cc. EtOH reduced with H, with 1 g. Raney Ni, and 0.1 g. NaOH at 5°, filtered, the filtrate evaporated, the residue extracted with C6H6, the extract evaporated, and the residue treated with Et2O gives 1-phenyl-6,7-dimethoxyphthalazine, m. 127° (xylene); picrate m. 175-7° (alc.). IV similarly gives the 1-benzyl homolog, needles, m. 129-30° (xylene); picrate, m. 193.5-4° (MeOH).

IT **102025-92-3**, 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (preparation of)
RN 102025-92-3 CAPLUS
CN 1(2H)-Phthalazinone, 4-benzyl-6,7-dimethoxy- (6CI) (CA INDEX NAME)


CH2— Ph
MeO
MeO
N
NH
O